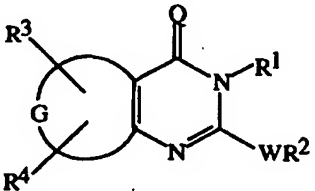


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| (54) Title: FUNGICIDAL PYRIMIDINONES (57) Abstract Compounds of Formula (I), and their <i>N</i> -oxides and agriculturally suitable salts, are disclosed which are useful as fungicides, wherein W is O, S(O) _n or NR ⁵ ; n is 0, 1 or 2; Q is O or S; G is a fused phenyl, naphthalene, thiophene, furan, pyrrole, pyridine, thiazole, oxazole, imidazole, pyrazine, pyridazine or pyrimidine ring; and R ¹ -R ⁵ are as defined in the disclosure. Also disclosed are compositions containing the compounds of Formula (I) and a method for controlling plant diseases caused by fungal plant pathogens which involves applying an effective amount of a compound of Formula (I). <div style="text-align: center;">(I)</div> | | |

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TITLE

FUNGICIDAL PYRIMIDINONES

BACKGROUND OF THE INVENTION

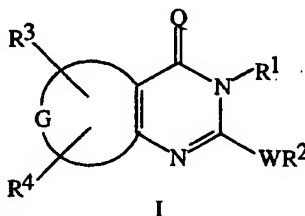
This invention relates to certain pyrimidinones, their *N*-oxides, agriculturally
 5 suitable salts and compositions, and methods of their use as fungicides.

The control of plant diseases caused by fungal plant pathogens is extremely
 important in achieving high crop efficiency. Plant disease damage to ornamental,
 vegetable, field, cereal, and fruit crops can cause significant reduction in productivity and
 thereby result in increased costs to the consumer. Many products are commercially
 10 available for these purposes, but the need continues for new compounds which are more
 effective, less costly, less toxic, environmentally safer or have different modes of action.

DT 2,210,503, DT 2,411,274, DT 2,411,273, and DD-A-240,892 disclose certain
 thienopyrimidine compounds. These publications, however, do not disclose the
 compounds of the present invention nor agricultural fungicide utility. U.S. 3,755,582
 15 and U.S. 3,867,384 disclose certain 4(3*H*)-quinazolinone fungicides. These publications,
 however, do not disclose the compounds of the present invention.

SUMMARY OF THE INVENTION

This invention is directed to compounds of Formula I including all geometric and
 stereoisomers, *N*-oxides, and agriculturally suitable salts thereof, agricultural
 20 compositions containing them and their use as fungicides:



wherein

25 W is O, S(O)_n or NR⁵;

n is 0, 1 or 2;

Q is O or S;

G is a fused phenyl, naphthalene, thiophene, furan, pyrrole, pyridine, thiazole,
 oxazole, imidazole, pyrazine, pyridazine or pyrimidine ring;

30 R¹ is C₁-C₁₀ alkyl; C₃-C₆ cycloalkyl; C₃-C₁₀ alkenyl; C₃-C₁₀ alkynyl; C₁-C₁₀
 haloalkyl; C₃-C₁₀ haloalkenyl; C₃-C₁₀ haloalkynyl; C₂-C₁₀ alkoxyalkyl;
 C₂-C₁₀ alkylthioalkyl; C₂-C₁₀ alkylsulfonylalkyl; C₄-C₁₀ cycloalkylalkyl;

- C₄-C₁₀ alkenyloxyalkyl; C₄-C₁₀ alkynyloxyalkyl; C₄-C₁₀ alkenylthioalkyl;
 C₄-C₁₀ alkynylthioalkyl; C₂-C₁₀ haloalkoxyalkyl; C₄-C₁₀ alkoxyalkenyl;
 C₄-C₁₀ alkylthioalkenyl; C₄-C₁₀ trialkylsilylalkyl; C₁-C₁₀ alkoxy; NR⁶R⁷;
 R¹¹; phenyl, pyridinyl, furanyl, thienyl, naphthalenyl, benzofuranyl,
 5 benzo[*b*]thiophenyl or quinolinyll each optionally substituted with R⁸, R⁹ and
 R¹⁰; or C₁-C₁₀ alkyl substituted with NR⁶R⁷, nitro, cyano, CO₂R⁶, or
 phenyl optionally substituted with R⁸, R⁹ and R¹⁰;
- 10 R² is C₁-C₁₀ alkyl; C₃-C₇ cycloalkyl; C₃-C₁₀ alkenyl; C₃-C₁₀ alkynyl; C₁-C₁₀
 haloalkyl; C₃-C₁₀ haloalkenyl; C₃-C₁₀ haloalkynyl; C₂-C₁₀ alkoxyalkyl;
 C₂-C₁₀ alkylthioalkyl; C₂-C₁₀ alkylsulfonylalkyl; C₄-C₁₀ cycloalkylalkyl;
 C₄-C₁₀ alkenyloxyalkyl; C₄-C₁₀ alkynyloxyalkyl; C₄-C₁₀ alkenylthioalkyl;
 C₄-C₁₀ alkynylthioalkyl; C₂-C₁₀ haloalkoxyalkyl; C₄-C₁₀ alkoxyalkenyl;
 C₄-C₁₀ alkylthioalkenyl; C₄-C₁₀ trialkylsilylalkyl; R¹¹; phenyl optionally
 15 substituted with R⁸, R⁹ and R¹⁰; or C₁-C₁₀ alkyl substituted with NR⁶R⁷,
 cyano, nitro, CO₂R⁶, or phenyl optionally substituted with R⁸, R⁹ and R¹⁰;
 or
- when W is NR⁵, then R² can additionally be selected from -OR⁷; -N=CR⁶R⁶;
 -NR⁶R⁷; and pyridinyl, furanyl, thienyl and naphthalenyl each optionally
 20 substituted with R⁸, R⁹ and R¹⁰; or
- when W is O, then R² can additionally be selected from -N=CR⁶R⁶ and -NR⁶R⁷;
 R³ is hydrogen; halogen; C₁-C₈ alkyl; C₃-C₈ cycloalkyl; C₂-C₈ alkenyl; C₂-C₈
 alkynyl; C₁-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₃-C₈ haloalkynyl; C₁-C₈
 alkoxy; C₁-C₈ haloalkoxy; C₃-C₈ alkenyloxy; C₃-C₈ alkynyloxy; C₁-C₈
 alkylthio; C₁-C₈ alkylsulfonyl; C₂-C₈ alkoxyalkyl; C₃-C₈ trialkylsilyl; nitro;
 25 NR⁶R⁷; C₅-C₈ trialkylsilylalkynyl; or phenyl optionally substituted with at
 least one R¹³;
- R⁴ is hydrogen, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy or C₁-C₄
 haloalkoxy;
- R⁵ is hydrogen, C₁-C₄ alkyl or -C(=O)R¹²;
- 30 each R⁶ is independently hydrogen; C₁-C₄ alkyl; or phenyl optionally substituted
 with at least one R¹³;
- each R⁷ is independently hydrogen; C₁-C₈ alkyl; or phenyl optionally substituted
 with at least one R¹³; or
- 35 each pair of R⁶ and R⁷, when attached to the same nitrogen atom, can
 independently be taken together as -CH₂CH₂CH₂CH₂-, -CH₂(CH₂)₃CH₂-,

-CH₂CH₂OCH₂CH₂-, -CH₂CH(Me)CH₂CH(Me)CH₂- or
 -CH₂CH(Me)OCH(Me)CH₂-;

each R⁸ is independently C₁-C₆ alkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkyl; halogen;
 C₂-C₈ alkynyl; C₁-C₆ alkylthio; phenyl or phenoxy each optionally
 5 substituted with at least one R¹³; cyano; nitro; C₁-C₆ haloalkoxy; C₁-C₆
 haloalkylthio; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; acetyl; CO₂Me; or
 N(C₁-C₂ alkyl)₂;

each R⁹ is independently methyl, ethyl, methoxy, methylthio, halogen,
 CO₂(C₁-C₃ alkyl), C(O)NR⁶R⁷ or trifluoromethyl;

10 each R¹⁰ is independently halogen;

each R¹¹ is independently C₁-C₁₀ alkyl substituted with an 8-, 9- or 10-membered
 fused carbobicyclic or fused heterobicyclic ring; or R¹¹ is C₁-C₁₀ alkyl
 substituted with a 3-, 4-, 5- or 6-membered heteromonocyclic ring; wherein
 said heterobicyclic or heteromonocyclic rings contain 1 to 4 heteroatoms
 15 independently selected from the group nitrogen, oxygen and sulfur, provided
 that each heterobicyclic or heteromonocyclic ring contains no more than 4
 nitrogens, no more than 2 oxygens and no more than 2 sulfurs, wherein said
 heterobicyclic or heteromonocyclic ring is bonded to the alkyl group through
 a carbon atom of the ring, and wherein said carbobicyclic, heterobicyclic or
 20 heteromonocyclic ring is optionally substituted with R⁸, R⁹ and R¹⁰;

R¹² is hydrogen, C₁-C₄ alkyl, C₁-C₄ alkoxy or NR⁶R⁷; and

each R¹³ is independently halogen, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkyl,
 nitro or cyano;

provided that

- 25 (i) when G is a fused thiophene ring, then R³ is other than hydrogen, C₁-C₈ alkyl,
 nitro or phenyl optionally substituted with at least one R¹³;
 (ii) when G is a fused pyridine ring, then R³ is other than hydrogen or C₁-C₈ alkyl;
 (iii) when G is a fused imidazole ring, then R³ is other than hydrogen or C₁-C₈
 alkyl; and
 30 (iv) when G is a fused phenyl ring, then at least one of R¹ and R² is R¹¹.

DETAILS OF THE INVENTION

In the above recitations, the term "alkyl", used either alone or in compound words
 such as "alkylthio" or "haloalkyl" includes straight-chain or branched alkyl, such as,
 methyl, ethyl, *n*-propyl, *i*-propyl, or the different butyl, pentyl or hexyl isomers.

35 "Alkenyl" includes straight-chain or branched alkenes such as ethenyl, 1-propenyl,
 2-propenyl, and the different butenyl, pentenyl and hexenyl isomers. "Alkenyl" also

includes polyenes such as 1,2-propadienyl and 2,4-hexadienyl. "Alkynyl" includes straight-chain or branched alkynes such as ethynyl, 1-propynyl, 2-propynyl and the different butynyl, pentynyl and hexynyl isomers. "Alkynyl" can also include moieties comprised of multiple triple bonds such as 2,5-hexadiynyl. "Alkoxy" includes, for example, methoxy, ethoxy, *n*-propyloxy, isopropyloxy and the different butoxy, pentoxy and hexyloxy isomers. "Alkoxyalkyl" denotes alkoxy substitution on alkyl. Examples of "alkoxyalkyl" include CH_3OCH_2 , $\text{CH}_3\text{OCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{OCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. "Alkenyloxy" includes straight-chain or branched alkenyloxy moieties. Examples of "alkenyloxy" include $\text{H}_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)_2\text{C}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{CHCH}_2\text{O}$, $(\text{CH}_3)\text{CH}=\text{C}(\text{CH}_3)\text{CH}_2\text{O}$ and $\text{CH}_2=\text{CHCH}_2\text{CH}_2\text{O}$. "Alkynyloxy" includes straight-chain or branched alkynyloxy moieties. Examples of "alkynyloxy" include $\text{HC}\equiv\text{CCH}_2\text{O}$, $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{O}$ and $\text{CH}_3\text{C}\equiv\text{CCH}_2\text{CH}_2\text{O}$. "Alkylthio" includes branched or straight-chain alkylthio moieties such as methylthio, ethylthio, and the different propylthio, butylthio, pentylthio and hexylthio isomers. "Alkylthioalkyl" denotes alkylthio substitution on alkyl. Examples of "alkylthioalkyl" include CH_3SCH_2 , $\text{CH}_3\text{SCH}_2\text{CH}_2$, $\text{CH}_3\text{CH}_2\text{SCH}_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{CH}_2\text{SCH}_2$ and $\text{CH}_3\text{CH}_2\text{SCH}_2\text{CH}_2$. Examples of "alkylsulfonyl" include $\text{CH}_3\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{S}(\text{O})_2$, $\text{CH}_3\text{CH}_2\text{CH}_2\text{S}(\text{O})_2$, $(\text{CH}_3)_2\text{CHS}(\text{O})_2$ and the different butylsulfonyl, pentylsulfonyl and hexylsulfonyl isomers. "Trialkylsilyl" includes $(\text{CH}_3)_3\text{Si}$, $(\text{CH}_3\text{CH}_2)_3\text{Si}$ and $[(\text{CH}_3)_3\text{C}](\text{CH}_3)_2\text{Si}$. "Alkylsulfonylalkyl", "alkenyloxyalkyl", "alkynyloxyalkyl", "alkenylthioalkyl", and the like, are defined analogously to the above examples. "Cycloalkyl" includes, for example, cyclopropyl, cyclobutyl, cyclopentyl, and cyclohexyl. Examples of "cycloalkylalkyl" include cyclopropylmethyl, cyclopentylethyl, and other cycloalkyl moieties bonded to straight-chain or branched alkyl groups. One skilled in the art will appreciate that not all nitrogen containing heterocycles can form *N*-oxides since the nitrogen requires an available lone pair for oxidation to the oxide; one skilled in the art will recognize those nitrogen containing heterocycles which can form *N*-oxides. One skilled in the art will also recognize that the *N*-oxides of compounds of Formula I can be made by oxidizing the corresponding nitrogen compound with a strong oxidizing agent such as *meta*-chloroperoxybenzoic acid.

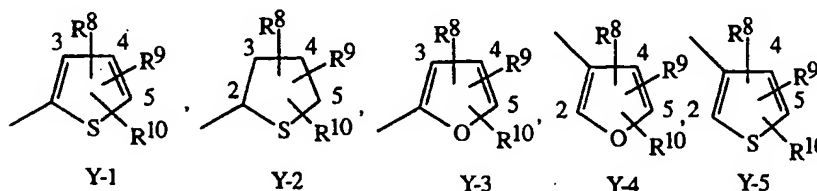
The term "halogen", either alone or in compound words such as "haloalkyl", includes fluorine, chlorine, bromine or iodine. Further, when used in compound words such as "haloalkyl", said alkyl may be partially or fully substituted with halogen atoms which may be the same or different. Examples of "haloalkyl" include F_3C , ClCH_2 , CF_3CH_2 and CF_3CCl_2 . The terms "haloalkenyl", "haloalkynyl", "haloalkoxy", and the

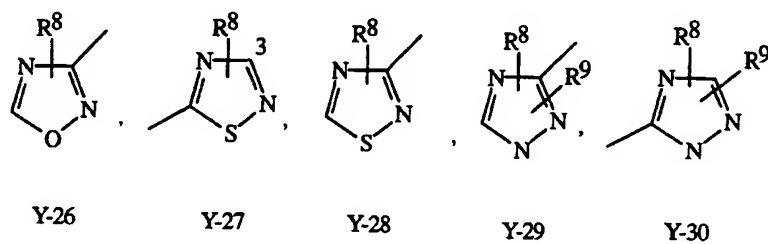
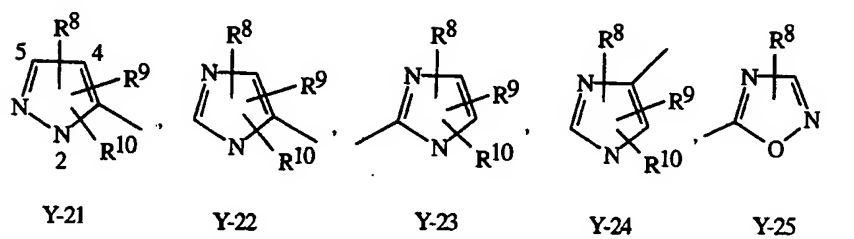
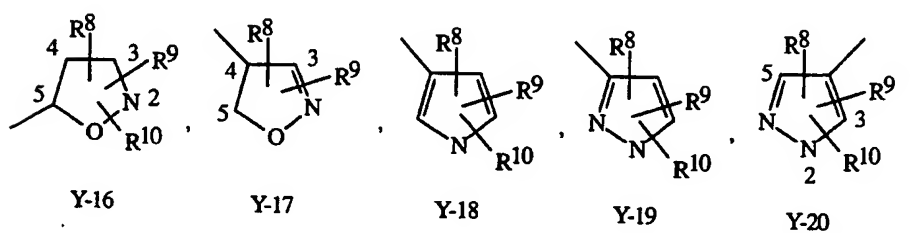
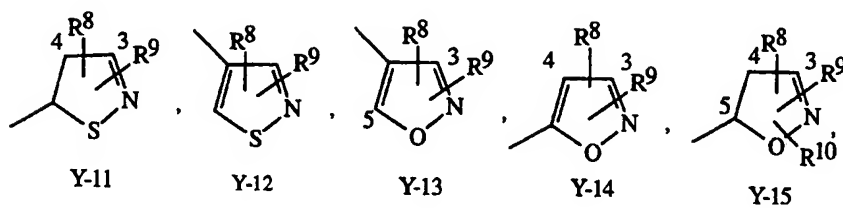
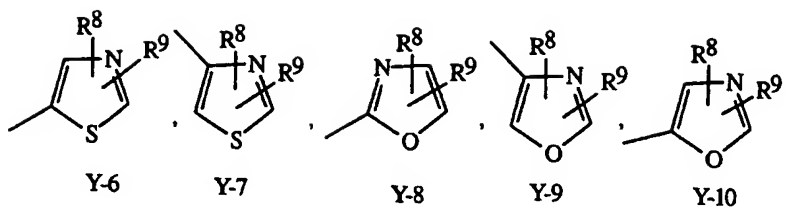
like, are defined analogously to the term "haloalkyl". Examples of "haloalkenyl" include $(\text{Cl})_2\text{C}=\text{CHCH}_2$ and $\text{CF}_3\text{CH}_2\text{CH}=\text{CHCH}_2$. Examples of "haloalkynyl" include $\text{HC}\equiv\text{CCHCl}$, $\text{CF}_3\text{C}\equiv\text{C}$, $\text{CCl}_3\text{C}\equiv\text{C}$ and $\text{FCH}_2\text{C}\equiv\text{CCH}_2$. Examples of "haloalkoxy" include CF_3O , $\text{CCl}_3\text{CH}_2\text{O}$, $\text{HCF}_2\text{CH}_2\text{CH}_2\text{O}$ and $\text{CF}_3\text{CH}_2\text{O}$. Examples of "haloalkylthio" include CCl_3S , CF_3S , $\text{CCl}_3\text{CH}_2\text{S}$ and $\text{ClCH}_2\text{CH}_2\text{CH}_2\text{S}$.

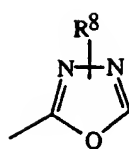
The total number of carbon atoms in a substituent group is indicated by the " $\text{C}_i\text{-C}_j$ " prefix where i and j are numbers from 1 to 10. For example, $\text{C}_1\text{-C}_3$ alkylsulfonyl designates methylsulfonyl through propylsulfonyl; C_2 alkoxyalkyl designates CH_3OCH_2 ; C_3 alkoxyalkyl designates, for example, $\text{CH}_3\text{CH}(\text{OCH}_3)$, $\text{CH}_3\text{OCH}_2\text{CH}_2$ or $\text{CH}_3\text{CH}_2\text{OCH}_2$; and C_4 alkoxyalkyl designates the various isomers of an alkyl group substituted with an alkoxy group containing a total of four carbon atoms, examples including $\text{CH}_3\text{CH}_2\text{CH}_2\text{OCH}_2$ and $\text{CH}_3\text{CH}_2\text{OCH}_2\text{CH}_2$. In the above recitations, when a compound of Formula I is comprised of one or more heterocyclic rings, all substituents are attached to these rings through any available carbon or nitrogen by replacement of a hydrogen on said carbon or nitrogen.

Exemplary values of a 8-, 9- or 10-membered fused carbobicyclic or fused heterobicyclic ring, and a 3-, 4-, 5- or 6-membered heteromonocyclic ring wherein said heterobicyclic or heteromonocyclic rings contain 1 to 4 heteroatoms independently selected from the group nitrogen, oxygen and sulfur, provided that each heterobicyclic or heteromonocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs, include the ring systems illustrated in Exhibit 1. As with the carbon atoms in the ring, the nitrogen atoms which require substitution to fill their valence are substituted with hydrogen or with R^8 , R^9 or R^{10} . In the bicyclic ring systems (e.g., Y-66 – Y-90), the R^8 , R^9 and R^{10} groups may substitute either ring. Although the R^8 , R^9 and/or R^{10} groups are shown in the structures Y-1 to Y-100, it is noted that they do not need to be present since they are optional substituents.

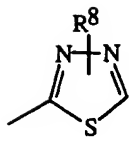
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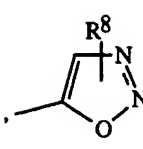




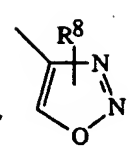
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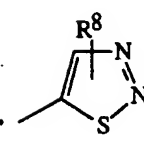
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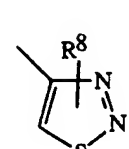
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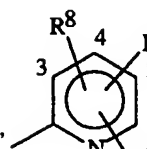
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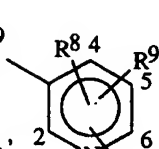
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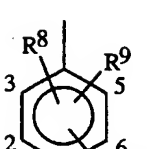
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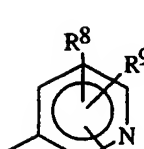
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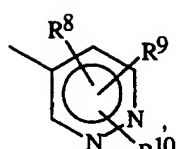
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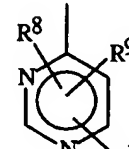
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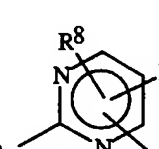
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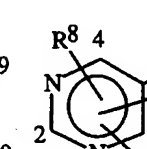
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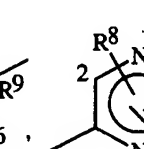
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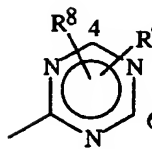
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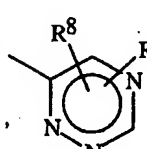
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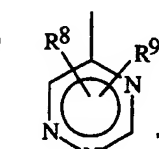
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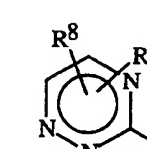
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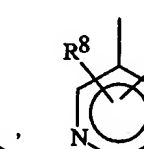
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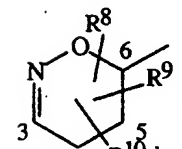
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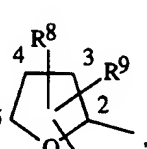
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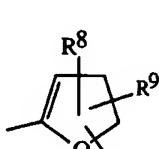
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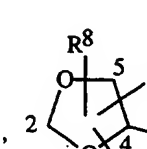
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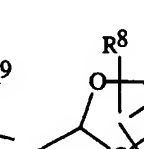
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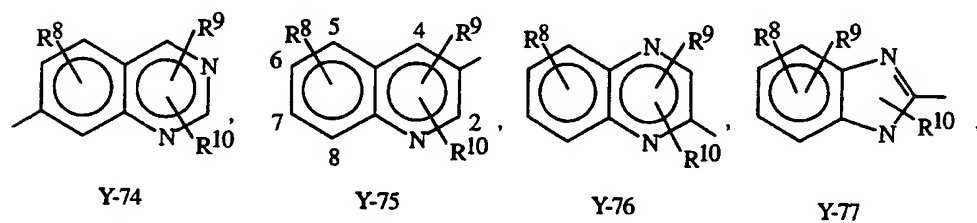
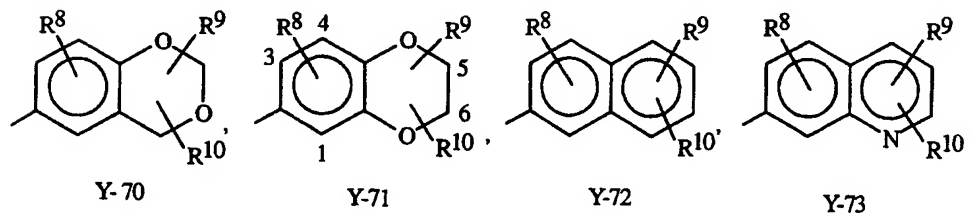
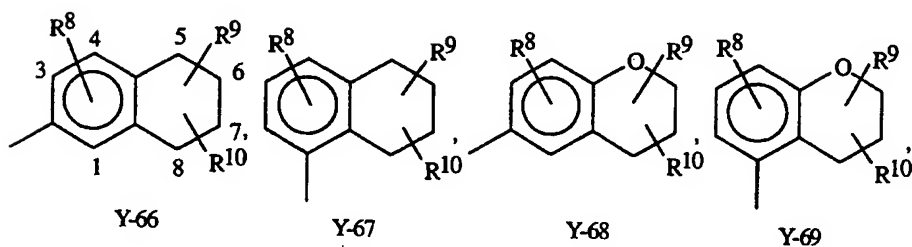
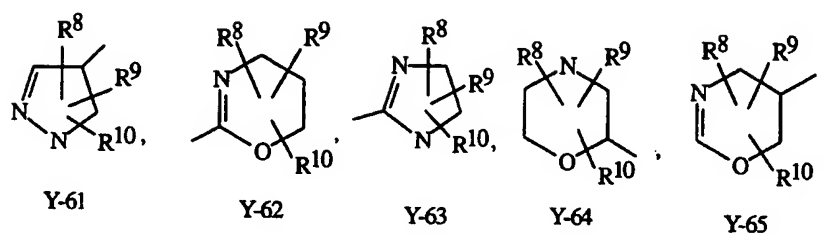
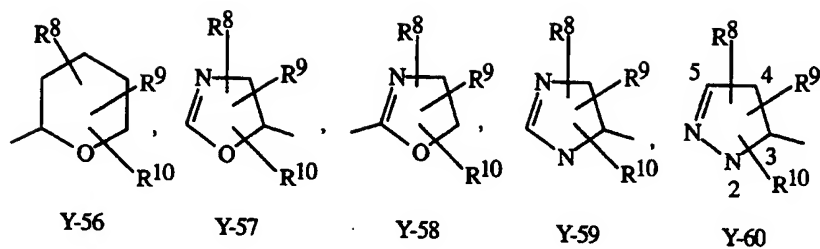
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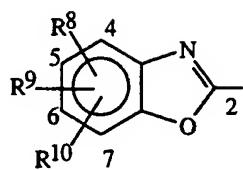


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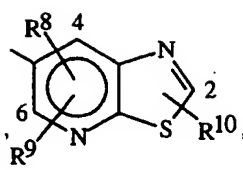


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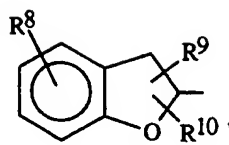




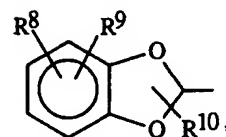
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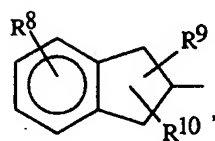
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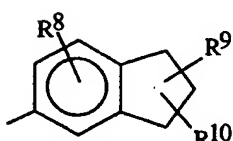
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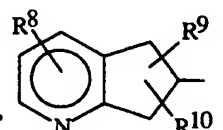
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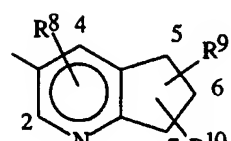
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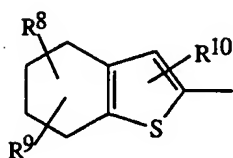
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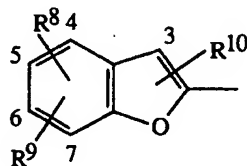
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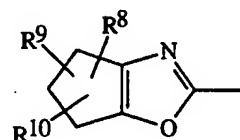
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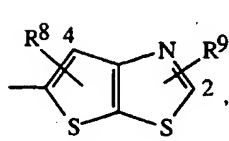
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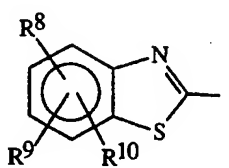
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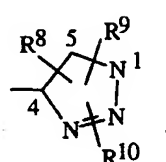
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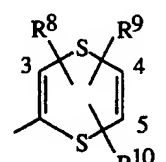
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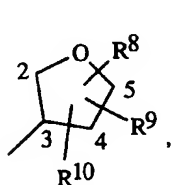
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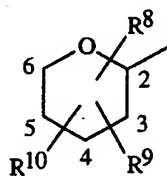
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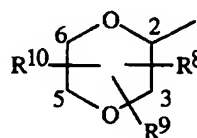
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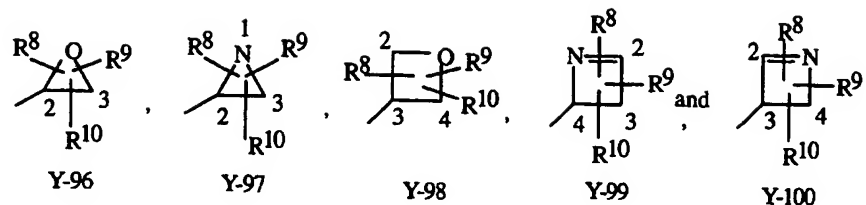
Y-93



Y-94



Y-95



When a group contains a substituent which can be hydrogen, for example R³ or R⁷, then, when this substituent is taken as hydrogen, it is recognized that this is equivalent to said group being unsubstituted.

5 Compounds of this invention can exist as one or more stereoisomers. The various stereoisomers include enantiomers, diastereomers, atropisomers and geometric isomers. One skilled in the art will appreciate that one stereoisomer may be more active and/or may exhibit beneficial effects when enriched relative to the other stereoisomer(s) or when separated from the other stereoisomer(s). Additionally, the skilled artisan knows how to
10 separate, enrich, and/or to selectively prepare said stereoisomers. Accordingly, the present invention comprises compounds selected from Formula I, *N*-oxides and agriculturally suitable salts thereof. The compounds of the invention may be present as a mixture of stereoisomers, individual stereoisomers, or as an optically active form.

15 The salts of the compounds of the invention include acid-addition salts with inorganic or organic acids such as hydrobromic, hydrochloric, nitric, phosphoric, sulfuric, acetic, butyric, fumaric, lactic, maleic, malonic, oxalic, propionic, salicylic, tartaric, 4-toluenesulfonic or valeric acids. The salts of the compounds of the invention also include those formed with organic bases (e.g., pyridine, ammonia, or triethylamine) or inorganic bases (e.g., hydrides, hydroxides, or carbonates of sodium, potassium,
20 lithium, calcium, magnesium or barium) when the compound contains an acidic group.

Preferred compounds for reasons of better activity and/or ease of synthesis are:

Preferred 1. Compounds of Formula I above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

Q is O;

25 G is a fused naphthalene, thiophene, pyridine, thiazole, oxazole or pyrimidine ring;

R¹ is C₁-C₈ alkyl; C₃-C₅ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₁-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₂-C₈ alkoxyalkyl; C₂-C₈ alkylthioalkyl; C₄-C₈ cycloalkylalkyl; C₄-C₈ alkenyloxyalkyl; C₁-C₈

alkoxy; phenyl, pyridinyl, furanyl or thienyl each optionally substituted with R^8 and R^9 ; or C_1 - C_8 alkyl substituted with cyano;
 R^2 is C_1 - C_8 alkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_1 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; C_2 - C_8 alkoxyalkyl; C_2 - C_8 alkylthioalkyl; C_4 - C_8 cycloalkylalkyl; C_4 - C_8 alkenyloxyalkyl; phenyl optionally substituted with R^8 ; or C_1 - C_8 alkyl substituted with cyano; or
 when W is NR^5 , then R^2 can additionally be selected from $-N=CR^6R^6$ and $-NR^6R^7$;

R^3 is hydrogen, halogen, C_1 - C_8 alkyl, C_3 - C_8 cycloalkyl, C_2 - C_8 alkynyl, C_1 - C_8 haloalkyl, C_1 - C_8 alkoxy, C_1 - C_8 haloalkoxy, C_1 - C_8 alkylthio, C_1 - C_8 alkylsulfonyl, C_2 - C_8 alkoxyalkyl or C_5 - C_8 trialkylsilylalkynyl;
 each R^8 is independently methyl, ethyl, methoxy, ethoxy, C_1 - C_2 haloalkyl, halogen, ethynyl, 2-propynyl, methylthio, ethylthio, cyano, nitro, C_1 - C_2 haloalkoxy, ethenyl, 2-propenyl, acetyl, CO_2Me or $N(C_1-C_2 \text{ alkyl})_2$; and

R^9 is methyl, ethyl, methoxy, methylthio, halogen or trifluoromethyl.

Preferred 2. Compounds of Preferred 1 wherein:

G is a fused naphthalene, thiophene or pyridine ring;

R^1 is C_3 - C_8 alkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_3 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; C_3 - C_8 alkoxyalkyl; or phenyl optionally substituted with R^8 and R^9 ;

R^2 is C_3 - C_8 alkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_3 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; C_3 - C_8 alkoxyalkyl; or phenyl optionally substituted with R^8 ; or

when W is NR^5 , then R^2 can additionally be selected from $-N=CR^6R^6$ and $-NR^6R^7$;

R^3 is halogen, C_1 - C_4 alkyl, ethynyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy or trimethylsilylethynyl; and

each R^8 is independently methyl, ethyl, methoxy, trifluoromethyl, halogen, methylthio or $N(C_1-C_2 \text{ alkyl})_2$.

Preferred 3. Compounds of Preferred 2 wherein:

G is a fused thiophene or pyridine ring;

R^1 is C_3 - C_8 alkyl, C_3 - C_8 alkenyl, C_3 - C_8 alkynyl, C_3 - C_8 haloalkyl or C_3 - C_8 haloalkenyl;

R^2 is C_3 - C_8 alkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_3 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; or phenyl optionally substituted with R^8 ;

R³ is halogen; and

R⁴ is hydrogen or halogen.

Preferred 4. Compounds of Formula I above, and *N*-oxides and agriculturally suitable salts thereof, wherein:

5 Q is O;

G is a fused phenyl ring;

10 R¹ is C₁-C₈ alkyl; C₃-C₆ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₁-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₂-C₈ alkoxyalkyl; C₂-C₈ alkylthioalkyl; C₄-C₈ cycloalkylalkyl; C₄-C₈ alkenyloxyalkyl; C₁-C₈ alkoxy; R¹¹; phenyl, pyridinyl, furanyl or thienyl each optionally substituted with R⁸ and R⁹; or C₁-C₈ alkyl substituted with cyano;

15 R² is C₁-C₈ alkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₁-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₂-C₈ alkoxyalkyl; C₂-C₈ alkylthioalkyl; C₄-C₈ cycloalkylalkyl; C₄-C₈ alkenyloxyalkyl; R¹¹; phenyl optionally substituted with R⁸; or C₁-C₈ alkyl substituted with cyano; or when W is NR⁵, then R² can additionally be selected from -N=CR⁶R⁶ and -NR⁶R⁷;

20 R³ is hydrogen, halogen, C₁-C₈ alkyl, C₂-C₈ alkynyl, C₁-C₈ haloalkyl, C₁-C₈ alkoxy, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ alkylsulfonyl, or C₅-C₈ trialkylsilylalkynyl;

each R⁸ is independently methyl, ethyl, methoxy, ethoxy, C₁-C₂ haloalkyl, halogen, ethynyl, 2-propynyl, methylthio, ethylthio, cyano, nitro, C₁-C₂ haloalkoxy, ethenyl, 2-propenyl, acetyl or N(C₁-C₂ alkyl)₂; and

25 each R¹¹ is independently C₁-C₆ alkyl substituted with a 8-, 9- or 10-membered fused carbobicyclic or fused heterobicyclic ring; or R¹¹ is C₁-C₆ alkyl substituted with a 5- or 6-membered heteromonocyclic ring; wherein said heterobicyclic or heteromonocyclic rings contain 1 to 4 heteroatoms independently selected from the group nitrogen, oxygen and sulfur, provided that
30 each heterobicyclic or heteromonocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs, and wherein said carbobicyclic, heterobicyclic or heteromonocyclic ring is optionally substituted with R⁸ and R⁹.

Preferred 5. Compounds of Preferred 4 wherein:

R^1 is C_3 - C_8 alkyl; C_3 - C_5 cycloalkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_3 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; C_3 - C_8 alkoxyalkyl; C_4 - C_5 cycloalkylalkyl; R^{11} ; or phenyl optionally substituted with R^8 and R^9 ;

R^2 is C_3 - C_8 alkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_3 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; C_3 - C_8 alkoxyalkyl; R^{11} ; or phenyl optionally substituted with R^8 ; or

when W is NR^5 , then R^2 can additionally be selected from $-N=CR^6R^6$ and $-NR^6R^7$;

R^3 is halogen, C_1 - C_4 alkyl, ethynyl, C_1 - C_4 haloalkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkoxy or trimethylsilylethynyl;

each R^8 is independently methyl, ethyl, methoxy, trifluoromethyl, halogen, methylthio or $N(C_1$ - C_2 alkyl) $_2$; and

each R^{11} is independently C_1 - C_4 alkyl substituted with a fused heterobicyclic ring selected from 1,3-benzodioxole, benzo[*b*]thiophene and benzofuran; or R^{11} is C_1 - C_4 alkyl substituted with a 5- or 6-membered heteromonocyclic ring selected from thiophene, furan, thiazole, oxazole, isothiazole, isoxazole, pyrrole, pyrazole, imidazole, tetrahydrofuran, 1,3-dioxolane, dihydrooxazole, dihydroimidazole, dihydropyrazole, dihydroisoxazole, pyridine, dihydrooxazine, tetrahydropyran and morpholine; wherein said heterobicyclic or heteromonocyclic ring is optionally substituted with R^8 and R^9 .

Preferred 6. Compounds of Preferred 5 wherein:

R^1 is R^{11} ;

R^2 is C_3 - C_8 alkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_3 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; or phenyl optionally substituted with R^8 ;

R^3 is halogen;

R^4 is hydrogen or halogen; and

R^{11} is C_1 - C_4 alkyl substituted with a 5- or 6-membered heteromonocyclic ring selected from thiophene, furan, thiazole, oxazole, isothiazole, isoxazole, pyrazole, imidazole, tetrahydrofuran, 1,3-dioxolane, dihydropyrazole, dihydroisoxazole, pyridine and dihydrooxazine; wherein said heteromonocyclic ring is optionally substituted with R^8 and R^9 .

Most preferred are compounds of Formula I selected from the group:

- 7-bromo-2-propoxy-3-propylthieno[3,2-*d*]pyrimidin-4(3*H*)-one;
- 6-bromo-2-propoxy-3-propylpyrido[2,3-*d*]pyrimidin-4(3*H*)-one;
- 6-bromo-3-propyl-2-(propylthio)pyrido[2,3-*d*]pyrimidin-4(3*H*)-one;
- 5 6-iodo-2-propyloxy-3-[(tetrahydro-2-furanyl)methyl]-4(3*H*)-quinazolinone;
- 6-bromo-2-propyloxy-3-[(tetrahydro-2-furanyl)methyl]-4(3*H*)-quinazolinone;
- 6-bromo-3-[(3-bromo-4,5-dihydro-5-isoxazolyl)methyl]-2-propoxy-4(3*H*)-quinazolinone;
- 6,7-dibromo-2-propoxy-3-propylthieno[3,2-*d*]pyrimidin-4(3*H*)-one;
- 10 6,8-diiodo-2-(propylthio)-3-[(tetrahydro-2-furanyl)methyl]-4(3*H*)-quinazolinone; and
- 3-(cyclopropylmethyl)-6-iodo-2-(propylthio)pyrido[2,3-*d*]pyrimidin-4(3*H*)-one.

This invention also relates to fungicidal compositions comprising fungicidally effective amounts of the compounds of the invention and at least one of a surfactant, a solid diluent or a liquid diluent. The preferred compositions of the present invention are those which comprise the above preferred compounds.

This invention also relates to a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of the compounds of the invention (e.g., as a composition described herein). The preferred methods of use are those involving the above preferred compounds.

Of note are embodiments where each R⁹ is independently methyl, ethyl, methoxy, methylthio, halogen or trifluoromethyl when G is other than a fused phenyl ring; embodiments where R³ is hydrogen, halogen, C₁-C₈ alkyl, C₂-C₈ alkenyl, C₂-C₈ alkynyl, C₁-C₈ haloalkyl, C₃-C₈ haloalkenyl, C₃-C₈ haloalkynyl, C₁-C₈ alkoxy, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ alkylsulfonyl, C₃-C₈ trialkylsilyl, nitro, NR⁶R⁷ or C₅-C₈ trialkylsilylalkynyl when G is a fused phenyl ring; and embodiments where each R⁸ is independently C₁-C₆ alkyl, C₁-C₆ alkoxy, C₁-C₆ haloalkyl, halogen, C₂-C₈ alkynyl, C₁-C₆ alkylthio, phenyl or phenoxy each optionally substituted with R¹³, cyano, nitro, C₁-C₆ haloalkoxy, C₁-C₆ haloalkylthio, C₂-C₆ alkenyl, C₂-C₆ haloalkenyl, acetyl or N(C₁-C₂ alkyl)₂ when G is a fused phenyl ring.

The compounds of Formula I can be prepared by one or more of the following methods and variations as described in Schemes 1-17. The definitions of W, n, Q, G, R¹-R¹³ in the compounds of Formulae 1-6 below are as defined above in the Summary of the Invention. Compounds of Formulae Ia-It are various subsets of the compounds of Formula I, and all substituents for Formulae Ia-It are as defined above for Formula I.

The synthesis of compounds of Formula I is described below. First, the synthesis of the pyrimidinone ring system is described. In this first section, when R^1 and/or R^2 are R^{11} , the R^{11} substituent is incorporated into the substrates which are used in the syntheses described therein. Alternatively, the pyrimidinone ring system can be prepared using a precursor to the R^{11} group, and then the R^{11} group can be introduced afterwards. Syntheses of various R^{11} groups are described in the second section which describes this alternate strategy.

Synthesis of the Pyrimidinone Ring System

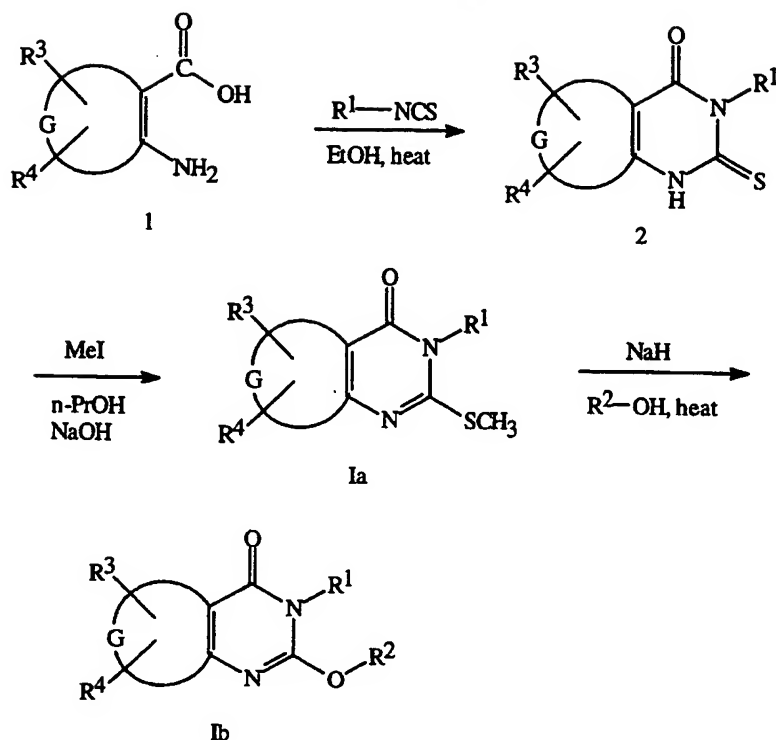
Compounds of Formula Ib, compounds of Formula I wherein W and Q are each O, can be made by the method illustrated in Scheme 1.

A 2-aminoaryl carboxylic acid of Formula 1 is condensed with an isothiocyanate of Formula R^1 -NCS to form the 2-thiopyrimidinone of Formula 2. The condensation is preferably performed in the presence of a base such as triethylamine. S-Methylation of this compound affords the 2-methylthio-pyrimidinone of Formula Ia.

For the introduction of the R^2O group, the 2-methylthio bicyclic pyrimidinone of Formula Ia is treated with a mixture of a base, for example sodium hydride, in R^2OH solvent. The reaction mixture is stirred at a temperature from about 0 °C to 120 °C for 1 to 120 hours. The desired 2- R^2O bicyclic pyrimidinone can be isolated from the reaction mixture by extraction into a water-immiscible solvent, and purified by chromatography or recrystallization. Synthetic procedures for the preparation of related 4(3H)-quinazolinones are described in U.S. 3,755,582 and incorporated herein by reference.

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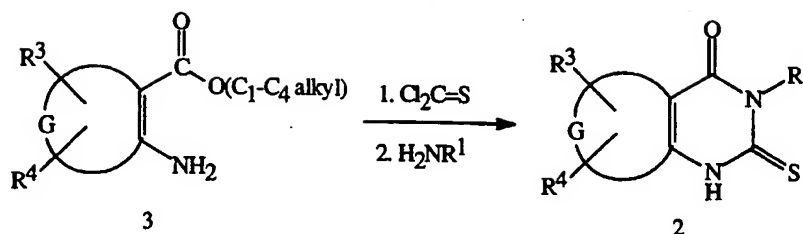
Scheme 1



2-Aminocarboxylic acids of Formula 1 are commercially available or can be prepared by known methods. For example, see *J. Heterocycl. Chem.*, (1966), 3, 252; *J. Org. Chem.*, (1952), 17, 547; *J. Org. Chem.*, (1949), 14, 97; *Synth. Commun.*, (1979), 9, 731. The isothiocyanates of Formula R^1-NCS can be prepared from the corresponding amine by treatment with thiophosgene as known in the art. For example, see *J. Heterocycl. Chem.*, (1990), 27, 407.

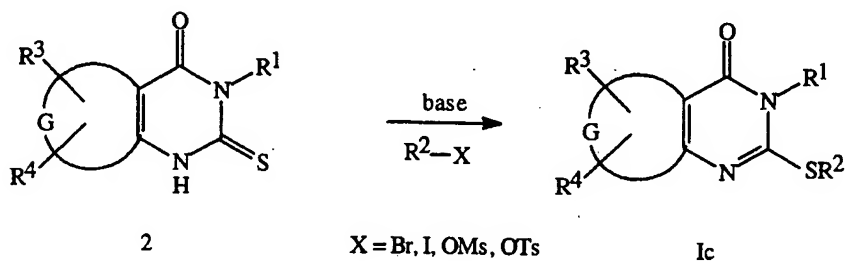
Alternatively, 2-thiopyrimidinediones of Formula 2 can be prepared by treatment of the (C_1 - C_4 alkyl) carboxylic acid ester of Formula 3 with thiophosgene to form the isothiocyanate ester, followed by treatment with an amine of formula R^1NH_2 (Scheme 2).

17

Scheme 2

The ester of Formula 3 is treated with thiophosgene at a temperature from about -20 to 100 °C for 1 to 48 hours optionally in an inert solvent. Often this reaction is performed in a biphasic mixture in the presence of a base, such as calcium carbonate, and an acid, such as aqueous hydrochloric acid. The resulting isothiocyanate may be isolated by extraction into a water-immiscible solvent, such as methylene chloride, followed by drying of the organic extracts and evaporation under reduced pressure. Alternatively, the isothiocyanate can be combined in situ with the amine of Formula H₂NR¹ and stirred at about -20 to 50 °C for 0.1 to 24 hours. The desired 2-thiopyrimidinedione of Formula 2 can be isolated from the reaction mixture by aqueous extraction, and purified by chromatography or recrystallization. Similar synthetic procedures are described in *J. Heterocycl. Chem.*, (1990), 27, 407.

Pyrimidinones of Formula Ic, compounds of Formula I wherein W is S and Q is O, can be prepared by a modification of the synthesis illustrated in Scheme 1. As illustrated in Scheme 3, the 2-thiopyrimidinedione of Formula 2 is alkylated with R²-X wherein X is a typical leaving group such as Br, I, CH₃SO₃ (OMs), or (4-CH₃-Ph)SO₃ (OTs) to afford the 2-R²S fused pyrimidinone of Formula Ic. One or more equivalents of a base can be used to accelerate the process. Bases such as sodium hydroxide and sodium hydride are suitable.

Scheme 3

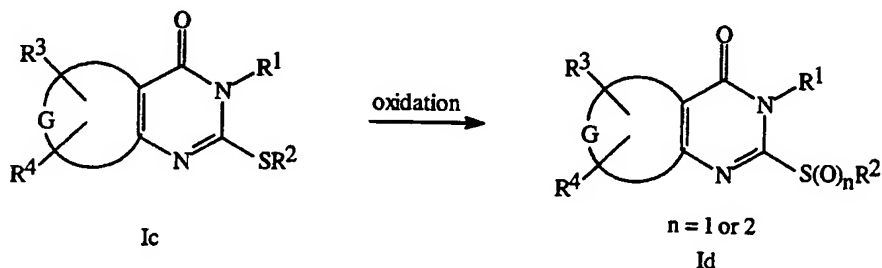
Typically, the 2-thiopyrimidinedione is dissolved or dispersed in an inert solvent such as dimethylformamide and treated with a base at a temperature from about -20 to

60 °C. The reaction mixture may then be heated to just above ambient temperature to the reflux temperature of the solvent for 0.1 to 24 hours to effect deprotonation. The reaction mixture is cooled and treated with R^2-X and stirred for 0.1-24 hours at a temperature from about 20 °C to the reflux temperature of the solvent. The pyrimidinone of Formula Ic can be isolated by extraction into a water-immiscible solvent, and purified by chromatography or recrystallization.

2-Thiopyrimidinediones of Formula 2 are prepared as described above in Schemes 1 and 2.

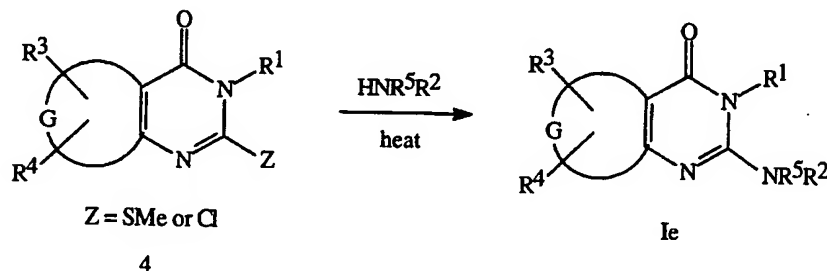
Fused bicyclic pyrimidinones of Formula Id, compounds of Formula I wherein Q is O and W is S(O) or S(O)₂, can be prepared by oxidation of the corresponding -SR² compound of Formula Ic using well-known procedures for oxidation of sulfur (Scheme 4). For example, see March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 1089.

Scheme 4



Fused bicyclic pyrimidinones of Formula Ie, compounds of Formula I wherein Q is O and W is NR⁵, can be prepared by the method illustrated in Scheme 5. This method is described in detail in U.S. 3,867,384 and incorporated herein by reference.

Scheme 5



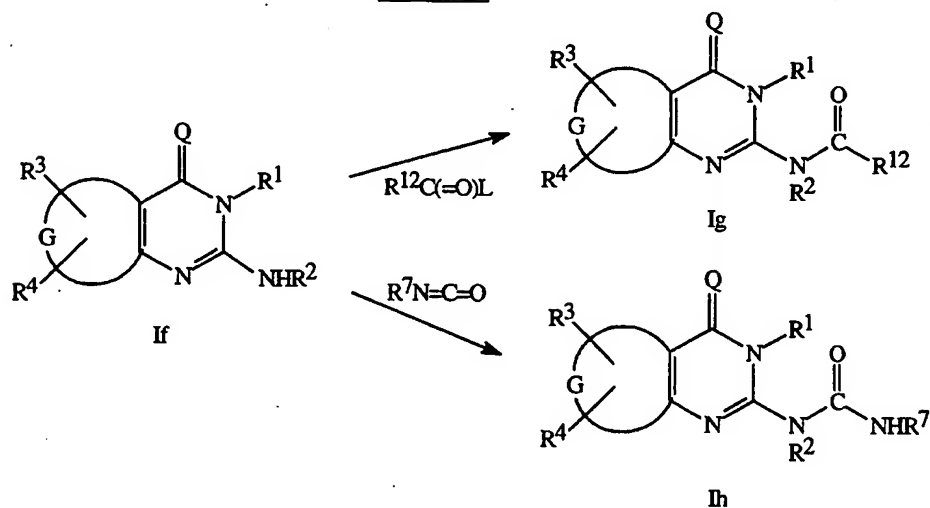
One method of preparation of compounds of Formula Ie is by treatment of a 2-methylthio pyrimidinone of Formula 4 ($Z = \text{SMe}$) with an excess of an amine of Formula HNR^5R^2 at about 150 to 175 °C. A second method is to contact a 2-chloro-pyrimidinone of Formula 4 ($Z = \text{Cl}$) with one equivalent of HNR^5R^2 and one equivalent of an acid scavenger, for example triethylamine, or with two equivalents of HNR^5R^2 , at a temperature between 60 and 120 °C optionally in the presence of a solvent.

The preparation of compounds of pyrimidinones wherein Z is SMe is described above and in U.S. 3,755,582. The synthesis of pyrimidinones of Formula 4 wherein Z is Cl is described in U.S. 3,867,384. Amines of Formula HNR^5R^2 are commercially available or can be prepared by well-known methods (March, J. *Advanced Organic Chemistry*; 3rd ed., John Wiley: New York, (1985), p 1153).

In addition to the methods described above, compounds of Formula Ib and Ic can be prepared by displacement of the 2-chlorine in the appropriate fused pyrimidinone, rather than by displacement of the 2-SCH₃ group (Scheme 1) or S-alkylation of the thiocarbonyl (Scheme 3).

In addition to the method described above, pyrimidinones of Formulae Ig and Ih, compounds of Formula I wherein $\text{R}^5 = \text{C}(=\text{O})\text{R}^{12}$, can be prepared by acylation of the corresponding $\text{R}^5 = \text{H}$ compound of Formula If as illustrated in Scheme 6.

Scheme 6



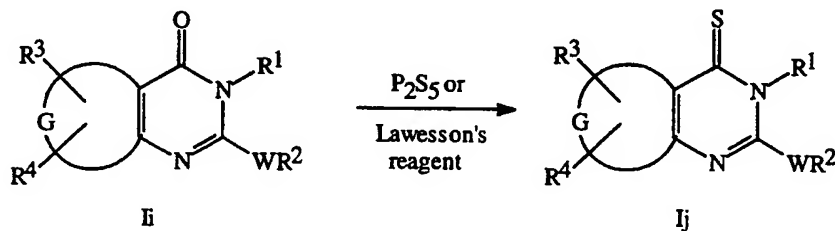
The pyrimidinones of Formula If are treated with an acylating agent of Formula $\text{R}^{12}\text{C}(=\text{O})\text{L}$ wherein L is an appropriate leaving group such as chlorine or $\text{OC}(=\text{O})(\text{H or C}_1\text{-C}_4 \text{ alkyl})$. In a similar fashion, compounds of Formula I wherein R^5 is

-C(=O)NHR⁷ (Formula Ih) can be prepared by condensing pyrimidinones of Formula If with isocyanates of Formula R⁷N=C=O using well known procedures.

Compounds of Formula Ij, compounds of Formula I wherein Q is S, can be prepared as illustrated in Scheme 7.

5

Scheme 7



Treatment of the fused pyrimidinone of Formula Ii with phosphorous pentasulfide or Lawesson's reagent [2,4-bis(4-methoxyphenyl)-1,3-dithia-2,4-diphosphetane-2,4-disulfide] in an inert solvent such as dioxane at a temperature from 0 °C to the reflux temperature of the solvent for 0.1 to 72 hours affords the pyrimidinethione of Formula Ij. This procedure is described in U.S. 3,755,582 and incorporated herein by reference.

For some compounds of Formula I, the R³ and/or R⁴ substituent is more conveniently introduced after cyclization to form the fused pyrimidinone. For example, the fused bromo-thiophene compound of Example 1 below was prepared by first synthesizing the fused pyrimidinone ring system, and then introducing the bromine substituent onto the thiophene ring. Other R³ and R⁴ substituents can be introduced onto the G ring in a similar fashion, or by displacement of a leaving group. For example, displacement of the bromine on the thiophene ring with the appropriate nucleophile can afford the corresponding R³ = alkoxy, alkylthio, or NR⁶R⁷ compound.

Salts of compounds of Formula I can be formed by treating the free base of the corresponding compound with strong acids such as hydrochloric or sulfuric acid. Salts can also be prepared by alkylation of a tertiary amine group in the molecule to form, for example, the trialkylammonium salt. N-Oxides of compounds of Formula I can be made by oxidizing the corresponding reduced nitrogen compound with a strong oxidizing agent such as *meta*-chloroperoxybenzoic acid.

Synthesis of R¹¹ Groups

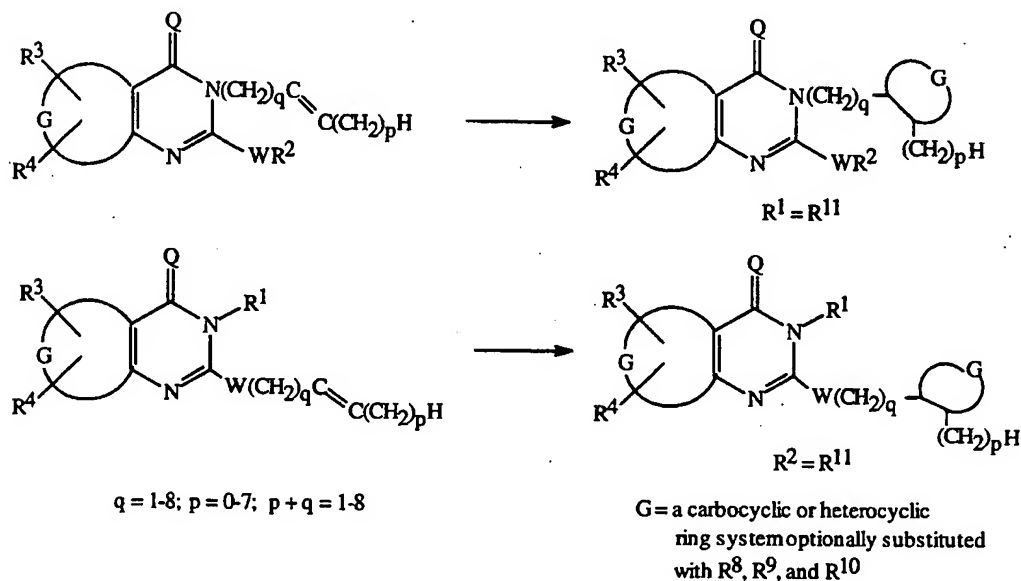
As indicated above, compounds of Formula I can be prepared by incorporation of the R¹¹ group after the synthesis of the pyrimidinone ring system. A method for preparing the desired R¹¹ group is to form the carbocycle or heterocycle from the

30

pyrimidinone wherein R^1 = alkenyl or alkynyl, or R^2 = alkenyl or alkynyl. Methods for preparing carbocycles or heterocycles from alkenes and alkynes are well-known in the literature.

- 5 The method of incorporating R^{11} into the corresponding alkenyl compound is generically illustrated in Scheme 8. The first reaction illustrates the method for preparing $R^1 = R^{11}$ compounds from the corresponding R^1 = alkenyl compound. The second reaction illustrates how the same methodology can be used to prepare the $R^2 = R^{11}$ compounds.

Scheme 8

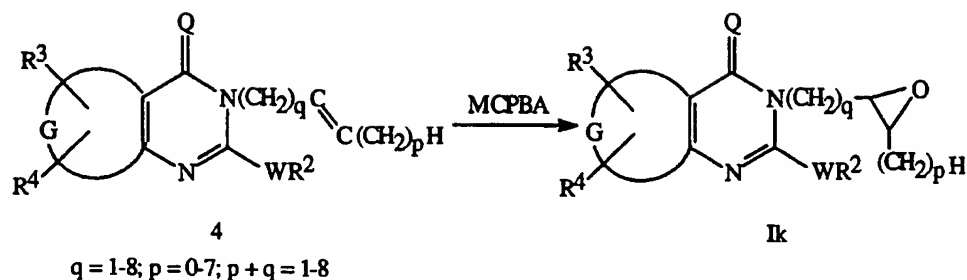


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The descriptions below refer to the preparation of the $R^1 = R^{11}$ compounds, although one skilled in the art recognizes that the same procedures can be used to prepare the $R^2 = R^{11}$ materials as well. The starting R^1 or R^2 alkenes are prepared by the methods described above and illustrated in Schemes 1-7.

15 3-Membered Ring Heterocycles

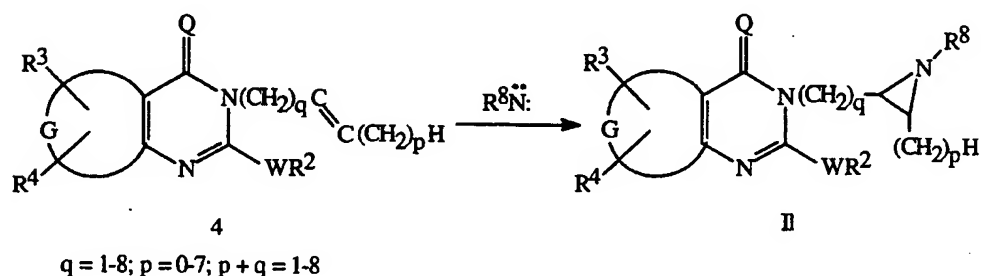
Compounds of Formula Ik, compounds of Formula I wherein R^1 is R^{11} and R^{11} comprises an epoxide, can be prepared as illustrated in Scheme 9.

Scheme 9

Treatment of the alkene of Formula 4 with an oxidizing agent such as *m*-chloroperoxybenzoic acid (MCPBA) in an inert solvent such as methylene chloride affords the epoxide of Formula Ik as described by Schwartz, N., in *J. Org. Chem.*, (1964), 29, 1976.

Similarly, the aziridines of Formula II can be prepared from the alkenes of Formula 4 by condensation with a nitrene as illustrated in Scheme 10 and described in Abramovitch, R. *J. Chem. Soc., Chem. Commun.*, (1972), 1160.

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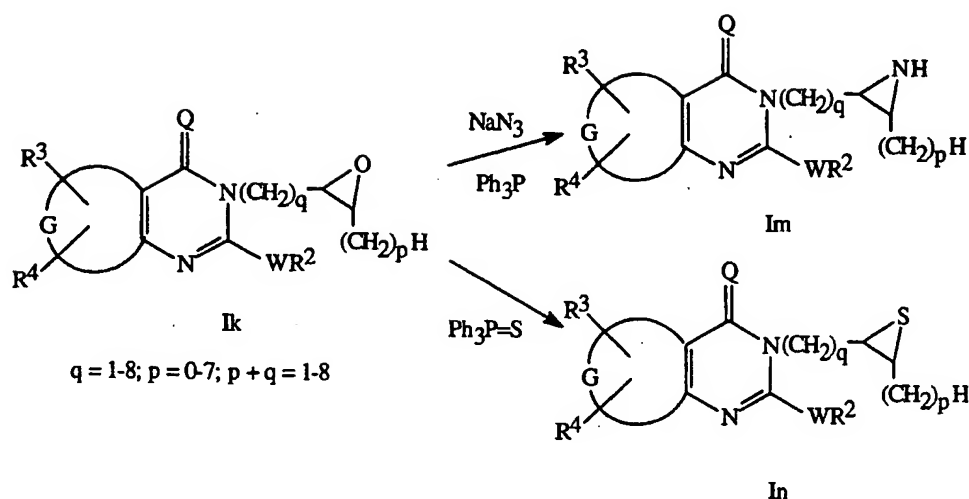
Scheme 10

The NH aziridine compound of Formula Im can be prepared from the corresponding epoxide by contact with sodium azide and triphenylphosphine as illustrated below in Scheme 11 and described by Ittah, Y. in *J. Org. Chem.*, (1978), 43, 4271. The episulfide of Formula In can also be prepared from the epoxide using triphenylphosphine sulfide using techniques taught by Chan, T. in *J. Am. Chem. Soc.*, (1972), 94, 2880.

15

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Scheme 11



In addition to the methods described above, methods for accessing compounds of Formulae Ik-In are taught in Calo, V., *J. Chem. Soc., Chem. Commun.*, (1975), 621;

- 5 Fujisawa, T., *Chem. Lett.*, (1972), 935; and March, J. *Advanced Organic Chemistry*, 3rd ed., John Wiley: New York, (1985), p 741.

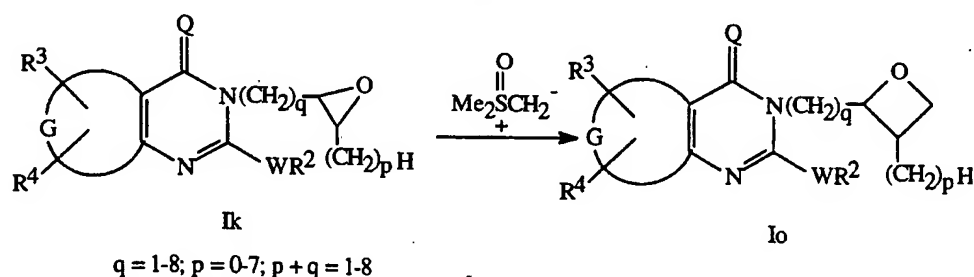
4-Membered Ring Heterocycles

The synthesis of oxetanes of Formula Io may be achieved by ring expansion of the corresponding epoxide using dimethyloxosulfonium methylide as illustrated in

- 10 Scheme 12 and described by J. Okuma in *J. Org. Chem.*, (1983), 48, 5133. In some cases, a mixture of regioisomers will be obtained. Additional methods for preparing oxetanes, as well as other 4-membered ring heterocycles, from an alkene precursor are well-known in the art. For example, see: Buchi, G., *J. Am. Chem. Soc.*, (1954), 76, 4327; and Pifferi, G., *J. Heterocyclic Chem.*, (1967), 4, 619.

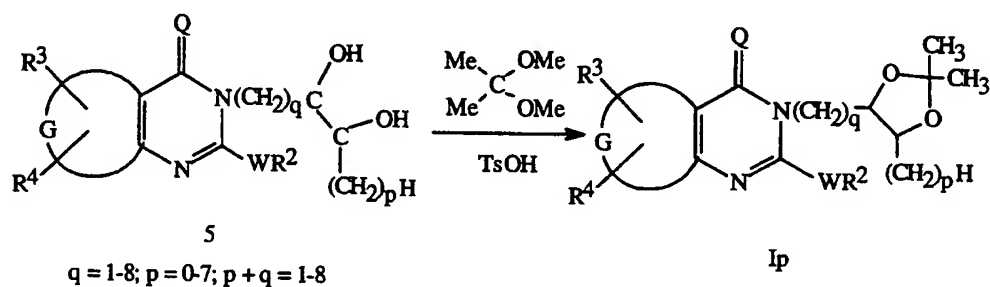
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Scheme 12



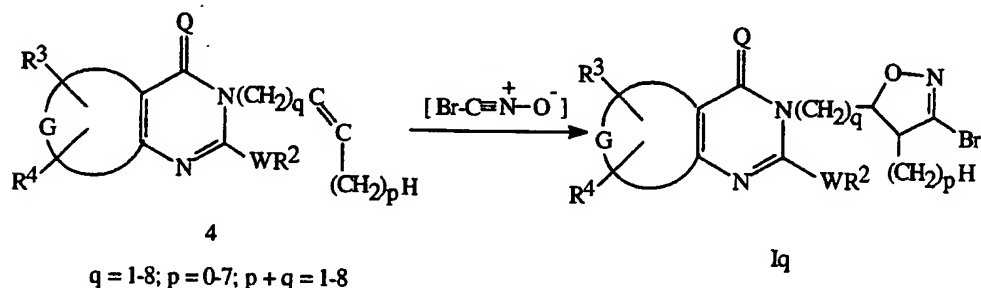
5-Membered Ring Heterocycles

- Compounds of Formula I wherein R¹¹ comprises a 5-membered ring heterocycle can be obtained in a variety of ways. For example, dioxolane compounds can be prepared from the glycol using known methods. A method exemplifying the preparation of the dimethyl-dioxolane is illustrated in Scheme 13 and described by A. Hampton in *J. Am. Chem. Soc.*, (1961), 83, 3640.

Scheme 13

- Reaction of the glycol of Formula 5 with *p*-toluenesulfonic acid (TsOH) and 2,2-dimethoxypropane provides the desired material. The glycol of Formula 5 can be prepared from the alkene of Formula 4 using vicinal bis-hydroxylation reagents such as osmium tetroxide (see Wade, P., *Tetrahedron Lett.*, (1989), 5969).

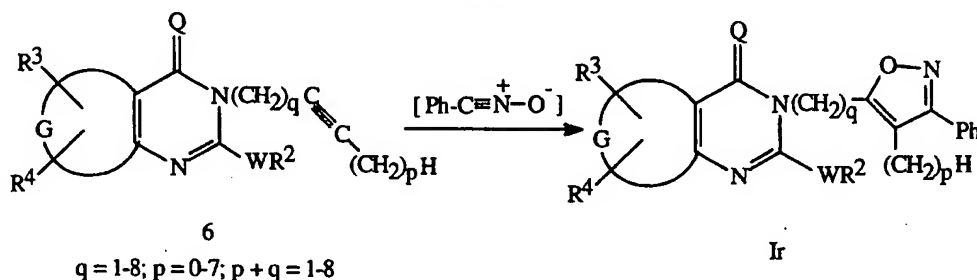
- Some 5-membered ring compounds can be prepared from the alkene of Formula 4 using a 1,3-dipole cyclization. For example, reaction of 4 with bromonitrile oxide produces the dihydroisoxazole of Formula Iq as illustrated in Scheme 14 (see Wade, P., in *J. Org. Chem.*, (1990), 55, 3045).

Scheme 14

Cycloaddition of 1,3-dipoles with alkynes are also well-documented in the literature. For example, C. Kashima in *Heterocycles*, (1979), 12, 1343 teaches the

condensation of an alkyne with benzene nitrile oxide to form the isoxazole. A similar process to prepare the isoxazole of Formula Ir is illustrated in Scheme 15.

Scheme 15



Many 1,3-dipoles are known to react with alkenes and alkynes of Formulae 4 and 6, respectively, in cycloaddition reactions. Dipoles and methods for generating them are described in *1,3-Dipolar Cycloaddition Reactions*, A. Padwa, Ed., Wiley Interscience, NY, 1984, Vols. 1 and 2; and *Comprehensive Heterocyclic Chemistry*, Katritzky, A., Ed., Pergamon, NY, 1984, Vol. 5, p 143). Examples of known 1,3 dipoles are nitrile ylides, nitrile imines, nitrile sulfides, diazoalkanes, azides, azomethine ylides and nitrones.

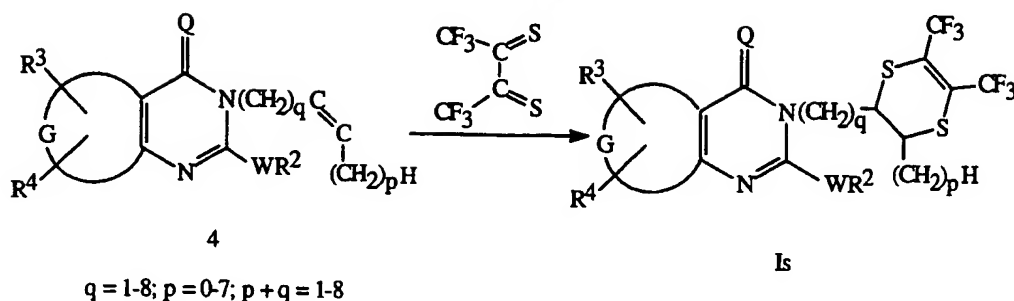
One skilled in the art will recognize that the regiochemical outcome of the 1,3-dipolar addition will depend on the structures of both the 1,3-dipole and the dipolarophile. In many instances, a mixture of regioisomers will be obtained which can be separated by chromatography or recrystallization.

6-Membered Ring Heterocycles

Compounds of Formula I wherein R¹¹ comprises a 6-membered ring heterocycle can be prepared from the alkene of Formula 4 by [4+2] cycloaddition with a suitable heterodiene. For example, conditions similar to those described by Krespan, C., in *J. Am. Chem. Soc.*, (1960), 82, 1515, can be employed to form dithianes of Formula Is as illustrated in Scheme 16.

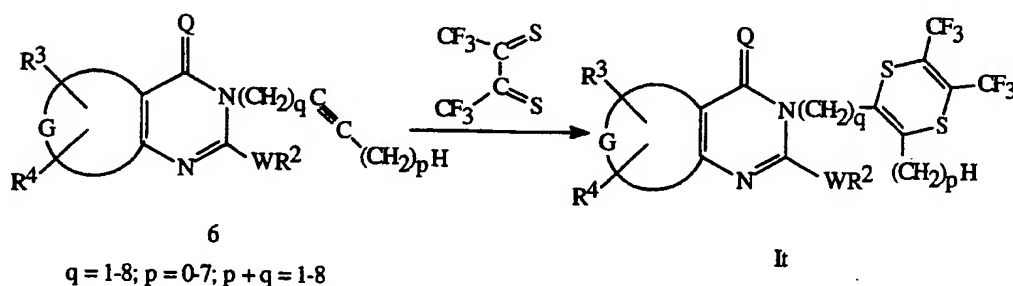
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Scheme 16



As with the aforementioned 1,3-dipolar cycloadditions, alkynes can also engage in reactions with heterodiene systems to afford unsaturated ring compounds such as those of Formula It.

Scheme 17



Ample literature exists citing various other heterodiene systems which are known to engage alkenes and alkynes of Formulae 4 and 6, respectively, to deliver 6-membered ring heterocyclic adducts. For example, see *Hetero Diels-Alder Methodology in Organic Synthesis*, Boger, D. and Weinreb, S., Eds., Academic, NY, (1987), pp 167-357; and *Contemporary Heterocyclic Chemistry*, Newkome, G. and Paudler, W., Wiley Interscience, NY, (1982), p 129. Examples of heterodienes known to undergo cycloaddition reactions are thiophene, furan, α,β -unsaturated aldehydes and ketones, α,β -unsaturated thiocarbonyl compounds, α,β -unsaturated imines, vinyl nitroso species, azoalkenes, acyldiimides, acyl sulfenes, *o*-quinones, and thioamide-*N*-methylum salts.

Again, as in the previously mentioned case of 1,3-dipole cycloadditions, the regiochemical course of the [4+2] condensation depends on the structure of the alkene or alkyne and the heterodiene. Both regioisomers are often obtained in which case the desired regioisomer can be isolated by chromatography or recrystallization.

It is recognized that some reagents and reaction conditions described above for preparing compounds of Formula I may not be compatible with certain functionalities present in the intermediates. In these instances, the incorporation of protection/deprotection sequences or functional group interconversions into the synthesis will aid in obtaining the desired products. The use and choice of the protecting groups will be apparent to one skilled in chemical synthesis (see, for example, Greene, T. W.; Wuts, P. G. M. *Protective Groups in Organic Synthesis*, 2nd ed.; Wiley: New York, 1991). One skilled in the art will recognize that, in some cases, after the introduction of a given reagent as it is depicted in any individual scheme, it may be necessary to perform additional routine synthetic steps not described in detail to complete the synthesis of compounds of Formula I. One skilled in the art will also recognize that it may be necessary to perform a combination of the steps illustrated in the above schemes in an order other than that implied by the particular sequence presented to prepare the compounds of Formula I.

One skilled in the art will also recognize that compounds of Formula I and the intermediates described herein can be subjected to various electrophilic, nucleophilic, radical, organometallic, oxidation, and reduction reactions to add substituents or modify existing substituents.

Without further elaboration, it is believed that one skilled in the art using the preceding description can utilize the present invention to its fullest extent. The following Examples are, therefore, to be construed as merely illustrative, and not limiting of the disclosure in any way whatsoever. Percentages are by weight except for chromatographic solvent mixtures or where otherwise indicated. Parts and percentages for chromatographic solvent mixtures are by volume unless otherwise indicated. ¹H NMR spectra are reported in ppm downfield from tetramethylsilane; s = singlet, d = doublet, t = triplet, m = multiplet, dd = doublet of doublets, br s = broad singlet.

EXAMPLE 1

Step A: Preparation of 2,3-dihydro-3-propyl-2-thioxothieno[3,2-*d*]pyrimidin-4(1*H*)-one

To a suspension of 150 mL of ethanol containing 9.5 g of methyl 3-amino-2-thiophenecarboxylate was added dropwise 9.3 mL of *n*-propyl isothiocyanate with stirring. To this reaction mixture was added 12.64 mL of triethylamine. The reaction mixture was heated at reflux for 3 h, allowed to cool to room temperature and then stirred for approximately 24 h. The mixture was then heated at reflux for an additional 32 h, cooled to approximately 5 °C and filtered to obtain 5.5 g of the title compound of Step A as an off-white solid melting at 246-249 °C.

Step B: Preparation of 2-(methylthio)-3-propylthieno[3,2-*d*]pyrimidin-4(3*H*)-one

To a mixture containing 3.6 g of the title compound of Step A suspended in 70 mL of 10% propanolic sodium hydroxide was added 1.46 mL of iodomethane with stirring. The mixture was stirred at room temperature for 1 h, then cooled to 0 °C and filtered to
5 obtain an off-white solid. The off-white solid was purified by partially dissolving it in methylene chloride, filtering and evaporating the filtrate to obtain 3.13 g of the title compound of Step B as a white solid melting at 136-138 °C.

Step C: **Preparation of 7-bromo-2-(methylthio)-3-propylthieno[3,2-*d*]pyrimidin-4(3*H*)-one**

10 To a solution containing 1.01 g of the title compound of Step B dissolved in approximately 50 mL of acetic acid cooled to 0 °C was added 0.24 mL of bromine. The mixture was allowed to warm to room temperature and stirred for 24 h. The reaction mixture was filtered to obtain 0.60 g of a white solid. The white solid was purified by column chromatography on silica gel eluting with hexane and then 8:1 hexane:ethyl acetate. Collection and evaporation of those fractions containing the least polar component (according to thin layer chromatography, 6:1 hexane:ethyl acetate mixture as the development solvent) yielded 0.12 g of the title compound of Step C, a compound of the invention, as a white solid melting at 146-147 °C. ¹H NMR (400 MHz, CDCl₃): δ 1.02 (t,3H), 1.81 (m,2H), 2.71 (s,3H), 4.11 (t,2H), 7.69 (s,1H).

EXAMPLE 2

Step A: **Preparation of 2-amino-5-bromo-3-pyridinecarboxylic acid hydrobromide**

A mixture of 3.6 g 2-amino-nicotinic acid in 450 mL of glacial acetic acid was treated with a solution of 4 mL of bromine in 50 mL of glacial acetic acid over 10-15 min. The resulting mixture was stirred at room temperature for 2 h, diluted with 2 L of diethyl ether, and the ensuing precipitate was filtered and dried to provide 6.1 g of the title compound of Step A as a solid melting at 280 °C (decompose) (lit¹. m.p. 280 °C, decomposed). ¹H NMR (400 MHz, Me₂SO-*d*₆): δ 7.38 (br s, 2H), 8.08 (s, 1H), 8.25 (s, 1H), 13.45 (br s, 1H). (¹ U.S. 3,950,160)

Step B: Preparation of 6-bromo-2,3-dihydro-3-propyl-2-thioxopyrido[2,3-*d*]pyrimidin-4(1*H*)-one

A mixture of *n*-propyl isothiocyanate (1.59 g, 1.62 mL), triethylamine (5.0 g, 13.8 mL), and 5.0 g of the title compound of Step A was heated to 100-110 °C in 22 mL of dimethylformamide for 48 h. The reaction mixture was then allowed to cool to room temperature and treated with 10 mL of ethanol. The resulting precipitate was
35 filtered, washed with ethanol followed by hexanes and dried to deliver 2.48 g of the title

compound of Step B. ^1H NMR (400 MHz, $\text{Me}_2\text{SO}-d_6$): δ 0.90 (t,3H), 1.60-1.78 (m,2H), 4.30 (t,2H), 8.41 (s,1H), 8.82 (s,1H), 13.55 (s,1H).

Step C: Preparation of 6-bromo-2-(methylthio)-3-propylpyrido[2,3-*d*]pyrimidin-4(3*H*)-one

5 A mixture of iodomethane (1.33 g, 0.58 mL), 8.7 g potassium carbonate and 1.88 g of the title compound of Step B was stirred at room temperature in 50 mL of dimethylformamide for 3 h. A 1 mL portion of saturated aqueous NaHCO_3 was added and the reaction mixture was concentrated to dryness under reduced pressure. The resulting residue was partitioned between 200 mL each of methylene chloride and water,
10 and the organic layer was removed. Further washing of the organic phase with water and saturated aqueous NaCl followed by drying (Na_2SO_4) and concentration under reduced pressure delivered 1.8 g of the crude product. This material was then subjected to silica gel chromatography using 70:30 hexane:ethyl acetate as eluant to afford 1.48 g of the title compound of Step C, a compound of the invention, as a white solid melting at
15 124-127 °C. ^1H NMR (400 MHz, CDCl_3): δ 1.03 (t,3H), 1.76-1.90 (m,2H), 2.7 (s,3H), 4.1 (t,2H), 8.63 (s,1H), 8.89 (s,1H).

EXAMPLE 3

Preparation of 6-bromo-2-propoxy-3-propylpyrido[2,3-*d*]pyrimidin-4(3*H*)-one

To 8 mL of *n*-propanol at 0 °C was added 0.06 g of sodium hydride (60% active in
20 oil). The resulting mixture was then stirred at room temperature for 30 min, at which time 0.5 g of the title compound of Step C in Example 2 was added. The mixture was heated at reflux for 3 h. The reaction mixture was then allowed to cool to room temperature, treated with 1 mL of saturated aqueous sodium bicarbonate, and then concentrated to dryness under reduced pressure. The residue so obtained was
25 partitioned between 100 mL each of methylene chloride and water, and the organic layer was removed. The organic layer was washed with water and saturated aqueous NaCl , dried (Na_2SO_4), and concentrated under reduced pressure until a precipitate formed. The resulting mixture was filtered and the residue was rinsed with a few milliliters of methylene chloride. The collected filtrate was evaporated to dryness, and the residue
30 was purified by flash chromatography on silica gel (8:2 hexane:ethyl acetate as the eluant) to give 0.175 g of the title compound of Example 3, a compound of the invention, as a solid melting at 100-103 °C. ^1H NMR (400 MHz, CDCl_3): δ 0.99 (t,3H), 1.07 (t,3H), 1.64-1.80 (m,2H), 1.80-1.95 (m,2H), 4.05 (t,2H), 4.56 (t,2H), 8.60 (s,1H), 8.83 (d,1H).

EXAMPLE 4Step A: Preparation of 2,3-dihydro-3-propyl-2-thioxobenzo[g]quinazolin-4(1H)-one

To a suspension of 1.88 g of 3-amino-2-naphthalic acid in 25 mL of 2-propanol was added 1.39 mL of triethylamine followed by 1.02 g of *n*-propyl isothiocyanate with stirring. The mixture was heated at reflux for 2 h, and then allowed to cool to room temperature. The resulting solid was collected by filtration and washed with cold 2-propanol to give 1.97 g of the title compound of Step A as a yellow solid.

Step B: Preparation of 2-(methylthio)-3-propylbenzo[g]quinazolin-4(3H)-one

Sodium hydride (60% active in oil, 0.67 g) was washed with petroleum ether twice. To the washed sodium hydride was added 40 mL of 1-propanol followed by 1.5 g of the title compound of Step A and then 0.42 mL of iodomethane. The resulting suspension was stirred at room temperature for 4.5 h. To this suspension was added 100 mL of water and 50 mL of ether. The insoluble solid was filtered-off to give 1.06 g of the title compound of Step B, a compound of the invention, as a yellow solid melting at 143-145 °C. The ether layer of the filtrate was separated and the aqueous layer was extracted with ethyl acetate (2 times with 50 mL). The three organic portions were combined, washed with 80 mL of water and 80 mL of saturated aqueous NaCl, dried (MgSO₄) and concentrated under reduced pressure to give an additional 0.47 g of the title compound of Step B as a yellow solid.

EXAMPLE 5Preparation of 2-propoxy-3-propylbenzo[g]quinazolin-4(3H)-one

To 45 mL of 1-propanol was added 0.15 g of sodium hydride (60% active in oil) at room temperature. After the gas evolution ceased, 0.9 g of the title compound of Step B in Example 4 was added. The resulting yellow suspension was stirred at room temperature overnight. To the reaction mixture was added 0.15 g of sodium hydride and the mixture was heated at 70-80 °C for 4 h and then was stirred at room temperature over the weekend. The reaction mixture was heated for 2 h at 70-80 °C and then cooled to room temperature. The reaction mixture was then mixed with 150 mL of water and the resulting mixture was extracted with ether (2 times with 100 mL). The organic portions were combined, washed with water (2 times with 150 mL), dried (MgSO₄) and concentrated to give 1.01 g of a solid. Purification by column chromatography on silica gel eluting with 5% v/v ethyl acetate in hexane afforded 0.75 g of the title compound of Example 5, a compound of the invention, as a white solid melting at 76-79.5 °C.

¹H NMR (400 MHz, CDCl₃): δ 8.81 (s,1H), 7.99 (d,1H), 7.92 (s,1H), 7.88 (d,1H),

7.54 (m,1H), 7.44 (m,1H), 4.49 (t,2H), 4.10 (m,2H), 1.88 (m,2H), 1.76 (m,2H), 1.09 (t,3H), 1.00 (t,3H).

EXAMPLE 6

Step A: Preparation of 6-bromo-2,3-dihydro-3-[(tetrahydro-2-furanyl)methyl]-2-thioxo-4(1H)-quinazolinone

5 A mixture of 2-amino-5-bromobenzoic acid (8.0 g, 37.0 mmol), tetrahydrofurfuryl isothiocyanate (5.0 g, 34.9 mmol) and triethylamine (5.0 mL, 3.6 g, 35.6 mmol) in ethanol (48 mL) was heated at reflux for 2 h. The reaction mixture was then allowed to cool to room temperature and filtered. The resulting filter cake was washed with 50 mL
10 of cold ethanol, followed by 50 mL of hexanes to provide 10.8 g of the title compound of Step A as a solid melting at 230-232 °C. ¹H NMR (400 MHz, Me₂SO-*d*₆): δ 1.63-2.0 (m,4H), 3.58-3.68 (m,1H), 3.74-3.82 (m,1H), 4.32 (dd,1H), 4.39-4.50 (m,1H), 4.58 (dd,1H), 7.37 (d,1H), 7.91 (d,1H), 8.02 (s,1H), 13.1 (br s,1H).

Step B: Preparation of 6-bromo-2-(methylthio)-3-[(tetrahydro-2-furanyl)methyl]-4(3H)-quinazolinone

15 Potassium carbonate (6.0 g, 44 mmol), iodomethane (2.5 g, 1.1 mL, 17.6 mmol), and the title compound of Step A (3.0 g, 8.8 mmol) were combined in dimethylformamide (90 mL) and stirred for 2 h at room temperature. The reaction mixture was then concentrated to dryness under reduced pressure, and the ensuing
20 residue was partitioned between 200 mL each of ethyl acetate and water. The phases were separated and the organic phase was subsequently washed with saturated aqueous NaCl and dried over Na₂SO₄ (anhydrous). Following solvent removal under reduced pressure and trituration of the resulting material with cold hexanes, 2.8 g of the title compound of Step B, a compound of the invention, was obtained as a solid melting at
25 68-72 °C. ¹H NMR (300 MHz, CDCl₃): δ 1.64-1.83 (m,1H), 1.80-2.18 (m,3H), 2.62 (s,3H), 3.78 (dd,1H), 3.96 (dd,1H), 4.17 (dd,1H), 4.21 (dd,1H), 4.38-4.50 (m,1H), 7.41 (d,1H), 7.73 (dd,1H), 8.36 (d,1H).

EXAMPLE 7

Preparation of 6-bromo-2-propoxy-3-[(tetrahydro-2-furanyl)methyl]-4(3H)-quinazolinone

30 A solution of 1-propanol (0.68 g, 11.2 mmol) in dimethylformamide (25 mL) was treated with 0.45 g of sodium hydride (60% active in oil) and stirred for 30 min at room temperature. After brief, gentle heating to ensure complete propoxide formation, the reaction mixture was allowed to cool to room temperature and treated with 2.0 g
35 (5.6 mmol) of the title compound of Step B in Example 6. The resulting mixture was stirred at room temperature for 3 h, and then was quenched with 1 mL of saturated

aqueous sodium hydrogen carbonate. Following concentration to dryness under reduced pressure, the reaction residue was partitioned between 200 mL each of ethyl acetate and water. The organic phase was separated, washed with saturated aqueous NaCl, and dried over Na₂SO₄ (anhydrous). Concentration under reduced pressure provided 1.5 g of the crude product. Purification was accomplished by column chromatography on silica gel using 70:30 v/v hexane:ethyl acetate, followed by ethyl acetate, as eluants. Collection and evaporation of those fractions containing only the least polar component (according to thin-layer chromatography employing a 70:30 v/v mixture of hexane:ethyl acetate as eluant) delivered 0.65 g of the title compound of Example 7, a compound of the invention, as a solid melting at 83-85 °C. ¹H NMR (400 MHz, CDCl₃): δ 1.07 (t,3H), 1.64-1.80 (m,1H), 1.80-1.90 (m,2H), 1.86-1.96 (m,1H), 1.97-2.08 (m,2H), 3.78 (dd,1H), 3.9 (dd,1H), 4.07 (dd,1H), 4.21 (dd,1H), 4.3-4.4 (m,1H), 4.42 (t,2H), 7.33 (d,1H), 7.70 (dd,1H), 8.30 (d,1H).

EXAMPLE 8

Preparation of 6-bromo-3-(oxiranylmethyl)-2-propoxy-4(3H)-quinazolinone

A mixture of 1.45 g of 3-chloroperoxybenzoic acid (50-60% by weight, delivers 4.6 mmol) and 0.50 g (1.5 mmol) of 6-bromo-3-(2-propenyl)-2-propoxy-4(3H)-quinazolinone was stirred at room temperature for 24 h. (Note: 6-Bromo-3-(2-propenyl)-2-propoxy-4(3H)-quinazolinone was prepared from 2-amino-5-bromobenzoic acid and allyl isothiocyanate *via* methods described in Examples 6 and 7, with the exception that 1-propanol was used as the reaction solvent in the method described in Example 7 rather than dimethylformamide.) Following the addition of 3 mL of saturated aqueous sodium hydrogen sulfite, the reaction mixture was partitioned between 100 mL each of methylene chloride and water. The organic phase was removed and successively washed with 100 mL each of 15% aqueous potassium carbonate, water, and saturated aqueous NaCl. Drying over Na₂SO₄ (anhydrous) and evaporation under reduced pressure afforded 0.55 g of the crude product as an oil. The crude material was subjected to column chromatography on silica gel using 90:10 v/v hexane:ethyl acetate as eluant. Collection and concentration of those fractions containing only the more polar component of the crude material (according to thin-layer chromatography using 80:20 v/v hexane:ethyl acetate as developing solvent) provided 0.087 g of the title compound of Example 8, a compound of the invention, as a solid melting at 84-87 °C. ¹H NMR (400 MHz, CDCl₃): δ 1.04 (t,3H), 1.8-1.9 (m,2H), 2.45-2.50 (m,1H), 2.58-2.61 (m,1H), 3.27 (m,1H), 4.25 (dd,1H), 4.36 (dd,1H), 4.45 (t,2H), 7.35 (d,1H), 7.72 (d,1H), 8.30 (s,1H).

EXAMPLE 9

Preparation of ethyl 5-[6-bromo-3,4-dihydro-4-oxo-2-propoxy-3-quinoxaliny]methyl]-4,5-dihydro-3-isoxazolecarboxylate

A mixture of 6-bromo-3-(2-propenyl)-2-propoxy-4(3*H*)-quinazolinone (3.3 g, 11.0 mmol) and potassium carbonate (42.9 g, 311 mmol) in 183 mL of tetrahydrofuran (THF) at 55 °C was treated dropwise over a 1 h period with a solution of ethyl chlorooximidoacetate (5.0 g, 33.1 mmol) in 73 mL of THF. After stirring at 55 °C for two additional hours, heating was discontinued and the reaction mixture was allowed to stir at room temperature overnight. The reaction mixture was then filtered and the filter cake was washed twice with 100 mL portions of methylene chloride. The resulting filtrate was partitioned between 200 mL each of ethyl acetate and water. Separation of the organic phase followed by drying over Na₂SO₄ (anhydrous) and concentration under reduced pressure delivered 4.0 g of the crude product. The crude product was triturated with 90:10 v/v hexane:ethyl acetate and filtered to afford 2.0 g of the title compound of Example 9, a compound of the invention, as a solid melting at 139-141 °C. ¹H NMR (300 MHz, CDCl₃): δ 1.04 (t,3H), 1.39 (t,3H), 1.8-1.92 (m,2H), 3.18 (dd,1H), 3.24 (dd,1H), 4.23-4.35 (m,2H), 4.38 (d,2H), 4.44 (t,2H), 5.1-5.25 (m,1H), 7.37 (d,1H), 7.78 (dd,1H), 8.3(d,1H).

EXAMPLE 10

Preparation of 6-bromo-3-propyl-2-(3-pyridinylmethoxy)-4(3*H*)-quinazolinone

A 0.06 g portion of sodium hydride (60% active in oil, delivers 1.6 mmol NaH) was added to 8 mL of 3-pyridinylcarbinol at 0 °C and the resulting mixture was stirred at 0 °C for 15 min. Cooling was ceased and the mixture was then stirred at room temperature for 1 h followed by a brief period of gentle heating to ensure complete alkoxide formation. After cooling back to ambient temperature, 6-bromo-2-(methylthio)-3-propyl-4(3*H*)-quinazolinone (0.50 g, 1.6 mmol, prepared from *n*-propyl isothiocyanate *via* methods described in Example 6 with exception that triethylamine was omitted in Step A) was added all at once and the ensuing mixture was stirred at room temperature for 48 h. Upon partitioning between 150 mL each of ethyl acetate and water, the organic layer was removed. The organic layer was washed once with 100 mL of saturated aqueous NaCl and dried over anhydrous Na₂SO₄. Concentration to dryness under reduced pressure gave 3.6 g of an oil. Subjection of this crude residue to column chromatography on silica gel (6:4 v/v hexane:ethyl acetate as eluant) provided three fractions of partially purified materials as oils after solvent removal. Treatment of the intermediate fraction with several milliliters of water with swirling resulted in formation of a precipitate. Filtration of the precipitate followed by washing with several milliliters

of hexane and drying afforded 0.266 g of the title compound of Example 10, a compound of the invention, as a solid melting at 120-124 °C. ¹H NMR (400 MHz, CDCl₃): δ 0.95 (t,3H), 1.60-1.78 (m,2H), 4.04 (t,2H), 5.58 (s,2H), 7.38 (d,1H) on 7.36 (t,1H), 7.71 (d,1H), 7.8 (d,1H), 8.3 (s,1H), 8.62 (d,1H), 8.78 (s,1H).

5

EXAMPLE 11

Step A: Preparation of 3-(cyclopropylmethyl)-2,3-dihydro-6-iodo-2-thioxopyrido[2,3-*d*]pyrimidin-4(1*H*)-one

A mixture of 2-amino-nicotinic acid (5 g, 36.2 mmol) in glacial acetic acid at 80 °C was treated dropwise with iodine monochloride (17.5 g, 108 mmol) in 100 mL glacial acetic acid over a ten-minute period. The resulting mixture was heated at 80 °C for 3 h, followed by stirring at ambient temperature overnight. The reaction mixture was added to 2000 mL hexanes/500 mL Et₂O and stirred at ambient temperature overnight. The resulting precipitate was filtered, washed with Et₂O and dried to provide 8.5 g of a solid melting at 251-254 °C. A 2.5 g quantity of this material was combined with cyclopropyl methylisothiocyanate (0.88 g, 7.8 mmol) and triethylamine (25 g, 25.0 mmol) in dimethylformamide (20 mL) and heated to 110-120 °C for about 3 days. The reaction mixture was diluted with an equal volume of ethanol and filtered to afford a solid. The filtrate was refiltered to deliver a second crop of solid. The filtered precipitates so obtained were then combined and washed with additional ethanol, followed by hexane washing. After drying, 0.53 g of the title compound of Step A was obtained as a solid melting at >300 °C. ¹H-NMR (300 MHz, Me₂SO-*d*₆): δ 0.38-0.50 (m,4H), 1.30-1.47 (m,1H), 4.24-4.40 (d,2H), 8.58 (d,1H), 8.92 (s,1H), 13.50 (br s,1H).

Step B: Preparation of 3-(cyclopropylmethyl)-6-iodo-2-(propylthio)pyrido[2,3-*d*]pyrimidin-4(3*H*)-one

A mixture of the title compound of Step A (0.5 g, 1.39 mmol), *n*-propyl iodide (0.36 g, 2.09 mmol) and potassium carbonate (1.9 g, 13.9 mmol) in DMF (14 mL) were stirred at ambient temperature overnight. The reaction mixture was then concentrated to dryness *in vacuo* and the residue partitioned between 200 mL of ethyl acetate and 100 mL of H₂O. The organic phase was separated, washed with saturated aqueous NaCl, dried over Na₂SO₄ and concentrated *in vacuo* to provide 0.5 g of crude product. Purification of this crude product was achieved via column chromatography (silica gel, 70:30 hexanes:ethyl acetate eluent) to deliver 0.19 g of the title compound of Step B, a compound of the invention, as a solid melting at 159-161 °C. ¹H NMR (300 MHz, CDCl₃): δ 0.50-0.62 (m,4H), 1.10 (t,3H), 1.31-1.43 (m,1H), 1.79-1.94 (m,2H), 3.41 (t,2H), 4.10 (d,2H), 8.82 (s,1H), 9.02 (d,1H). Mass Spectrum: *m/e* 402 (protonated parent molecular ion measured by mass spectrometry using atmospheric pressure

chemical ionization in the positive ion mode (APCI⁺); the ion shown corresponds to the M+H⁺ ion calculated from the integral values of the atomic weights of the most abundant isotope of each element present).

EXAMPLE 12

5 **Step A:** Preparation of 2,3-dihydro-6,8-diiodo-3-[(tetrahydro-2-furanyl)methyl]-2-thioxo-4(1H)quinazolinone

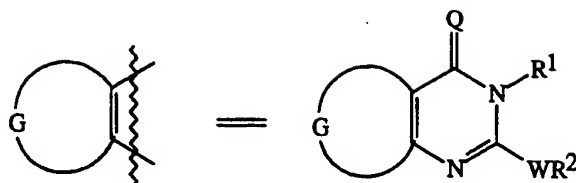
A mixture of 2-amino-3,5-diiodobenzoic acid (1.09 g, 2.57 mmol), tetrahydrofurfuryl isothiocyanate (0.35 g, 2.43 mmol) and triethylamine (0.26 g, 2.57 mmol) in 3.5 mL of ethanol was heated to reflux for about 6 h, and then was
10 allowed to cool to room temperature. The ensuing precipitate was filtered and washed with ethanol (1 x 20 mL), hexanes (1 x mL), and then dried to deliver 0.86 g of the title compound of Step A. ¹H NMR (400 MHz, Me₂SO-*d*₆): δ 1.64-1.75 (m,1H), 1.75-1.85 (m,1H), 1.86-2.0 (m,2H), 3.57-3.65 (m,1H), 3.70-3.81 (m,1H), 4.26-4.34 (m,1H), 4.36-4.44 (m,1H), 4.52-4.60 (m,1H), 8.19 (d,1H), 8.56 (d,1H), 10.1 (br s,1H).

15 **Step B:** Preparation of 6,8-diiodo-2-(propylthio)-3-[(tetrahydro-2-furanyl)methyl]-4(3H)-quinazolinone

A mixture of the title compound of Step A (0.86 g, 1.67 mmol), *n*-propyl iodide (0.85 g, 5.02 mmol) and potassium carbonate (2.3 g, 16.7 mmol) was stirred in dimethylformamide (20 mL) at ambient temperature for approximately 4 h. The reaction
20 mixture was then concentrated to dryness *in vacuo* and partitioned between 200 mL each of ethyl acetate and water. The organic phase was then separated, washed with saturated aqueous NaCl, and dried over Na₂SO₄. Concentration *in vacuo* provided 0.82 g of the title compound of Step B, a compound of the invention, as a solid melting at 108-111 °C. ¹H NMR (300 MHz, CDCl₃): δ 1.10 (t,3H), 1.63-1.80 (m,1H),
25 1.80-2.14 (m,5H), 3.24-3.45 (m,2H), 3.70-3.80 (m,1H), 3.90-4.00 (m,1H), 4.10-4.21 (m,2H), 4.35-4.47 (m,1H), 8.44 (d,1H), 8.48 (d,1H).

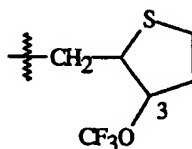
By the procedures described herein together with methods known in the art, the following compounds of Tables 1 to 12 can be prepared. The following abbreviations are used in the Tables which follow: *t* = tertiary, *s* = secondary, *n* = normal, *i* = iso,
30 *c* = cyclo, Me = methyl, Et = ethyl, Pr = propyl, *i*-Pr = isopropyl, Bu = butyl, Ph = phenyl, MeO = methoxy, PhO = phenoxy, MeS = methylthio, CN = cyano, NO₂ = nitro, Me₃Si and SiMe₃ = trimethylsilyl, Me₂N = dimethylamino, EtNH = ethylamino, S(O)₂Me = methylsulfonyl, and 2-THF = tetrahydro-2-furanyl.

The G groups in the Tables which follow are illustrated. The wavy line indicates
35 that the G ring is fused to the pyrimidinone ring as illustrated below.



R^{11} is defined in the Summary of the Invention as C_1 - C_{10} alkyl substituted with a carbobicyclic, heterobicyclic or heteromonocyclic ring optionally substituted with R^8 , R^9 and R^{10} . In Tables 1-4 below, R^{11} is represented by an alkylene chain [e.g., $(CH_2)_3$], and the carbobicyclic, heterobicyclic or heteromonocyclic ring substituent is indicated by a Y-number corresponding to the Y groups illustrated in Exhibit 1 above.

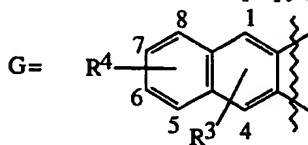
Therefore, for example, the Table entry of $R^{11} = CH_2(Y-2)$, $R^8 = 3-OCF_3$ represents:



The numbering system for the ring portion of R^{11} is indicated in Exhibit 1. A dash ("—") indicates R^8 , R^9 and/or R^{10} is not present. An unsubstituted ring (i.e., a ring bearing only hydrogens) has a "-" for R^8 , R^9 and R^{10} .

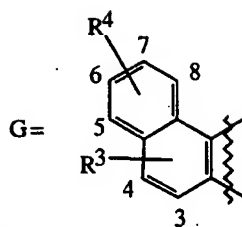
TABLE 1

Compounds of Formula I wherein Q is O, $R^1 = R^2 = n$ -propyl, W is O,

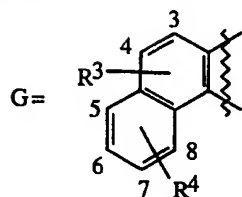


| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|------------------------|-------|----------------------|-------|
| 7-Br | H | 8-I | 4-I | 8-I | H |
| 7-Cl | H | 7-C≡CH | H | 7-CH=CH ₂ | H |
| 7-I | H | 7-C≡CSiMe ₃ | H | 6-I | H |
| 7-I | 5-I | H | H | 8-Br | H |
| 7-Br | 5-Br | 7-CF ₃ | H | 7-Br | 4-Br |
| 7-I | 4-I | 4-Br | H | 4-I | H |

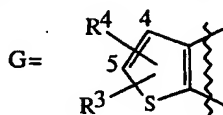
37



| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|-------------------|-------|----------------------|-------|
| 7-Br | H | 7-I | 5-I | 8-I | H |
| 7-Cl | H | 7-C \equiv CH | H | 7-CH=CH ₂ | H |
| 7-I | H | 7-C \equiv CH | 5-Br | 7-Br | 5-Me |
| 7-Cl | 5-Cl | H | H | 5-Br | H |
| 7-Br | 5-Br | 7-CF ₃ | H | 7-Br | 3-Br |
| 7-I | 4-I | 4-Br | H | 4-I | H |

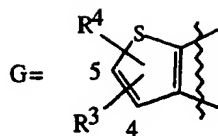


| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|---------------------------------|-------|----------------------|-------|
| 5-Br | H | 4-I | H | 8-I | H |
| 5-Cl | H | 7-C \equiv CH | H | 4-CH=CH ₂ | H |
| 5-I | H | 7-C \equiv CSiMe ₃ | 5-Br | 4-Br | 5-Me |
| 5-I | 6-I | H | H | 4-Br | 8-I |
| 4-Br | 5-Br | 4-Br | H | 7-Br | 3-Br |
| 4-I | 5-I | 5-Br | 8-Br | | |

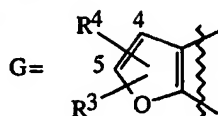


| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|---------------------------------|-------|----------------------|-------|
| 4-Br | H | 5-C \equiv CSiMe ₃ | H | 5-C \equiv CH | H |
| 4-Cl | H | 4-C \equiv CH | H | 4-CH=CH ₂ | H |
| 4-I | H | 5-I | H | 5-CH=CH ₂ | H |
| 4-I | 5-I | 4-CF ₃ | H | 5-C \equiv CH | 4-Br |
| 4-Br | 5-Br | 4-c-propyl | H | 5-Br | 4-Me |
| 5-Br | H | | | 4-I | 5-Et |

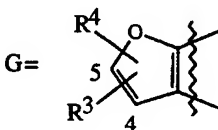
38



| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|------------------------|-------|----------------------|-------|
| 4-Br | H | 5-I | H | 4-CH ₂ Br | H |
| 4-Cl | H | 4-C≡CH | H | 4-CH=CH ₂ | H |
| 4-I | H | 5-C≡CSiMe ₃ | H | 5-CH=CH ₂ | H |
| 4-I | 5-I | 4-c-propyl | H | 5-C≡CH | 4-Br |
| 4-Br | 5-Br | 4-CF ₃ | H | 5-Br | 4-Me |
| 5-Br | H | 5-C≡CH | H | 5-I | 4-Et |

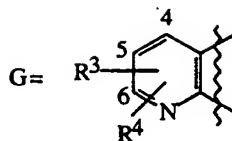


| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|------------------------|-------|----------------------|-------|
| 4-Br | H | 5-C≡CSiMe ₃ | H | 5-C≡CH | H |
| 4-Cl | H | 4-C≡CH | H | 4-CH=CH ₂ | H |
| 4-I | H | 5-I | H | 5-CH=CH ₂ | H |
| 4-I | 5-I | H | H | 5-C≡CH | 4-Br |
| 4-Br | 5-Br | 4-CF ₃ | H | 5-Br | 4-Me |
| 5-Br | H | | | 4-I | 5-Et |

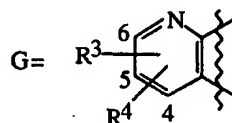


| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|------------------------|-------|----------------------|-------|
| 4-Br | H | 5-I | H | 4-CH ₂ Br | H |
| 4-Cl | H | 4-C≡CH | H | 4-CH=CH ₂ | H |
| 4-I | H | 5-C≡CSiMe ₃ | H | 5-CH=CH ₂ | H |
| 4-I | 5-I | 4-c-propyl | H | 5-C≡CH | 4-Br |
| 4-Br | 5-Br | 4-CF ₃ | H | 5-Br | 4-Me |
| 5-Br | H | 5-C≡CH | H | 5-I | 4-Et |

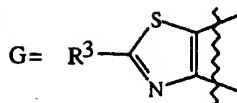
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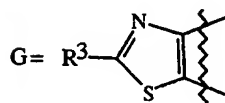
| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|------------------------|-------|----------------------|-------|
| 5-Br | H | 4-Br | 5-Br | 5-CH ₂ F | H |
| 5-Cl | H | 5-C≡CH | H | 5-CH=CH ₂ | H |
| 5-I | H | 5-C≡CSiMe ₃ | H | 5-Br | 6-Me |
| 5-I | 4-I | 5-c-propyl | H | 4-Br | H |
| 5-Br | 6-Br | 5-CF ₃ | H | 4-Br | 6-Br |
| 5-I | 6-I | 5-I | 6-Me | | |



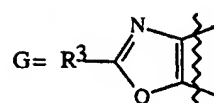
| R^3 | R^4 | R^3 | R^4 | R^3 | R^4 |
|-------|-------|------------------------|-------|----------------------|-------|
| 6-Br | H | 6-Br | 5-Br | 6-CH ₂ F | H |
| 6-Cl | H | 6-C≡CH | H | 6-CH=CH ₂ | H |
| 6-I | H | 6-C≡CSiMe ₃ | H | 6-Br | 4-Me |
| 6-Cl | 4-Cl | 6-c-propyl | H | 4-Br | H |
| 6-Br | 4-Br | 6-CF ₃ | H | 4-I | H |
| 6-I | 4-I | 6-I | 4-Me | | |



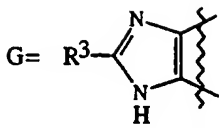
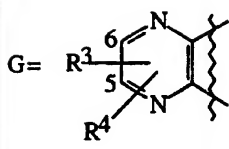
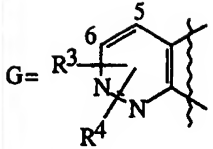
| R^3 | R^3 |
|-------|--------------------|
| Br | H |
| Cl | CF ₃ |
| I | CH ₂ Br |
| Me | CH=CH ₂ |
| Et | F |
| C≡CH | MeO |

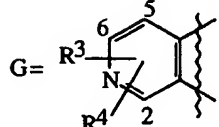
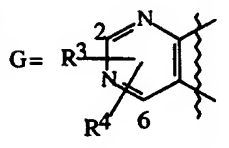
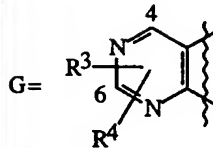


| R^3 | R^3 |
|-------|--------------------|
| Br | H |
| Cl | CF ₃ |
| I | CH ₂ Br |
| Me | CH=CH ₂ |
| Et | F |
| C≡CH | MeO |



| R^3 | R^3 |
|-------|--------------------|
| Br | H |
| Cl | CF ₃ |
| I | CH ₂ Br |
| Me | CH=CH ₂ |
| Et | F |
| C≡CH | MeO |

| | | | | | |
|---|--------------------|---|-------------------|--|-------------------|
|  | |  | |  | |
| $\underline{R^3}$ | $\underline{R^3}$ | $\underline{R^3}$ | $\underline{R^4}$ | $\underline{R^3}$ | $\underline{R^4}$ |
| Br | CF ₃ | 6-Br | H | 6-Br | H |
| Cl | CH ₂ Br | 6-C≡CH | H | 6-C≡CH | H |
| I | CH=CH ₂ | 6-I | H | 6-I | H |
| C≡CH | F | 6-Br | 5-Br | 6-I | 5-I |
| | MeO | 6-I | 5-I | 6-I | 5-Me |
| | | H | H | H | H |

| | | | | | |
|---|-------------------|---|-------------------|--|-------------------|
|  | |  | |  | |
| $\underline{R^3}$ | $\underline{R^4}$ | $\underline{R^3}$ | $\underline{R^4}$ | $\underline{R^3}$ | $\underline{R^4}$ |
| 6-Br | H | 2-Br | H | 4-Br | H |
| 6-C≡CH | H | 2-C≡CH | H | 6-C≡CH | H |
| 6-I | H | 2-I | H | 4-I | H |
| 6-Br | 2-Br | 2-Br | 6-Br | 4-Br | 6-Br |
| 6-I | 2-I | 2-I | 6-I | 4-I | 6-I |
| 6-CH=CH ₂ | H | 2-CH=CH ₂ | H | H | H |

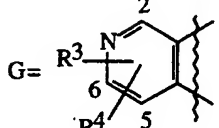
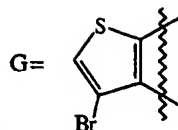
| | | | | | |
|---|-------------------|--|--|--|--|
|  | | | | | |
| $\underline{R^3}$ | $\underline{R^4}$ | | | | |
| 6-Br | H | | | | |
| 6-C≡CH | H | | | | |
| 6-I | H | | | | |
| 6-Br | 2-Br | | | | |
| 6-I | 2-I | | | | |
| 6-CH=CH ₂ | H | | | | |

TABLE 2

Compounds of Formula I wherein Q = O, R² = *n*-Pr,W = O,

| R ¹ | R ¹ | R ¹ | R ¹ |
|---|---|---|--|
| Me | <i>n</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| Et | <i>i</i> -Pr | <i>i</i> -Bu | <i>s</i> -Bu |
| <i>c</i> -propyl | <i>c</i> -butyl | <i>c</i> -pentyl | 2-propenyl |
| 3-butenyl | 2-propynyl | 3-butyryl | CF ₃ |
| 2-Cl-Et | 3-Br- <i>n</i> -Pr | CH ₂ CH=CHCl | CH ₂ C≡CCl |
| CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ SCH ₃ | CH ₂ SCH ₂ CH ₃ |
| CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ SCH ₂ C≡CH | CH ₂ OCF ₃ | CH ₂ OCH ₂ CH ₂ Cl |
| CH ₂ CH ₂ SCH ₂ CH=CH ₂ | CH ₂ CH ₂ NO ₂ | CH ₂ CH=CHCH ₂ OCH ₃ | CH ₂ CH ₂ N(CH ₃) ₂ |
| CH ₂ CH=CHCH ₂ SCH ₃ | NHCH ₂ CH ₂ CH ₃ | CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ CH ₃ |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | 2-furanyl | CH ₂ CH ₂ CH ₂ CN | Ph |
| OCH ₂ CH ₂ CH ₃ | 3-benzo[<i>b</i>]thiophenyl | N(CH ₃)CH ₂ CH ₃ | (2-THF)CH ₂ |
| 2-pyridinyl | 3-MeO-Ph | 2-thienyl | 4-F-Ph |
| 5-benzofuranyl | 4-PhO-Ph | 3-quinolinyl | 3-CF ₃ O-Ph |
| 2-F-4-Me-Ph | <i>c</i> -hexyl | 2-F-4-Cl-Ph | 4-Cl-Ph |
| 4-MeS-Ph | (<i>c</i> -propyl)CH ₂ | 4-Ph-Ph | 3-NO ₂ -Ph |

W = NH,

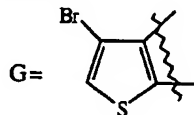
| R ¹ | R ¹ | R ¹ | R ¹ |
|---|---|---|--|
| Me | <i>n</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| Et | <i>i</i> -Pr | <i>i</i> -Bu | <i>s</i> -Bu |
| <i>c</i> -propyl | <i>c</i> -butyl | <i>c</i> -pentyl | 2-propenyl |
| 3-butenyl | 2-propynyl | 3-butyryl | CF ₃ |
| 2-Cl-Et | 3-Br- <i>n</i> -Pr | CH ₂ CH=CHCl | CH ₂ C≡CCl |
| CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ SCH ₃ | CH ₂ SCH ₂ CH ₃ |
| CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ SCH ₂ C≡CH | CH ₂ OCF ₃ | CH ₂ OCH ₂ CH ₂ Cl |
| CH ₂ CH ₂ SCH ₂ CH=CH ₂ | CH ₂ CH ₂ NO ₂ | CH ₂ CH=CHCH ₂ OCH ₃ | CH ₂ CH ₂ N(CH ₃) ₂ |
| CH ₂ CH=CHCH ₂ SCH ₃ | NHCH ₂ CH ₂ CH ₃ | CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ CH ₃ |

| | | | |
|---|------------------------------------|--|------------------------|
| CH ₂ CH ₂ CH ₂ NHCH ₃ | 2-furanyl | CH ₂ CH ₂ CH ₂ CN | Ph |
| OCH ₂ CH ₂ CH ₃ | 3-benzo[<i>b</i>]thiophenyl | N(CH ₃)CH ₂ CH ₃ | (2-THF)CH ₂ |
| 2-pyridinyl | 3-MeO-Ph | 2-thienyl | 4-F-Ph |
| 5-benzofuranyl | 4-PhO-Ph | 3-quinolinyl | 3-CF ₃ O-Ph |
| 2-F-4-Me-Ph | <i>c</i> -hexyl | 2-F-4-Cl-Ph | 4-Cl-Ph |
| 4-MeS-Ph | (<i>c</i> -propyl)CH ₂ | 4-Ph-Ph | 3-NO ₂ -Ph |

W = S,

| <u>R¹</u> | <u>R¹</u> | <u>R¹</u> | <u>R¹</u> |
|---|---|---|--|
| Me | <i>n</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| Et | <i>i</i> -Pr | <i>i</i> -Bu | <i>s</i> -Bu |
| <i>c</i> -propyl | <i>c</i> -butyl | <i>c</i> -pentyl | 2-propenyl |
| 3-butenyl | 2-propynyl | 3-butyryl | CF ₃ |
| 2-Cl-Et | 3-Br- <i>n</i> -Pr | CH ₂ CH=CHCl | CH ₂ C≡CCl |
| CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ SCH ₃ | CH ₂ SCH ₂ CH ₃ |
| CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ SCH ₂ C≡CH | CH ₂ OCF ₃ | CH ₂ OCH ₂ CH ₂ Cl |
| CH ₂ CH ₂ SCH ₂ CH=CH ₂ | CH ₂ CH ₂ NO ₂ | CH ₂ CH=CHCH ₂ OCH ₃ | CH ₂ CH ₂ N(CH ₃) ₂ |
| CH ₂ CH=CHCH ₂ SCH ₃ | NHCH ₂ CH ₂ CH ₃ | CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ CH ₃ |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | 2-furanyl | CH ₂ CH ₂ CH ₂ CN | Ph |
| OCH ₂ CH ₂ CH ₃ | 3-benzo[<i>b</i>]thiophenyl | N(CH ₃)CH ₂ CH ₃ | (2-THF)CH ₂ |
| 2-pyridinyl | 3-MeO-Ph | 2-thienyl | 4-F-Ph |
| 5-benzofuranyl | 4-PhO-Ph | 3-quinolinyl | 3-CF ₃ O-Ph |
| 2-F-4-Me-Ph | <i>c</i> -hexyl | 2-F-4-Cl-Ph | 4-Cl-Ph |
| 4-MeS-Ph | (<i>c</i> -propyl)CH ₂ | 4-Ph-Ph | 3-NO ₂ -Ph |

TABLE 3

Compounds of Formula I wherein: Q = O, R¹ = *n*-Pr,W = O

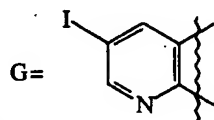
| <u>R²</u> | <u>R²</u> | <u>R²</u> | <u>R²</u> |
|----------------------|----------------------|----------------------|----------------------|
| Et | <i>n</i> -Pr | <i>i</i> -Pr | <i>n</i> -Bu |
| <i>i</i> -Bu | <i>s</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| <i>n</i> -decyl | <i>c</i> -hexyl | 2-propenyl | 2-butenyl |
| 3-butenyl | 5-decenyl | 2-propynyl | 2-butyryl |

| | | | |
|---|---|---|---|
| 3-butyryl | CF ₃ | CH ₂ CF ₃ | CH ₂ CH=CHCl |
| CH ₂ C≡CBr | CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ CH ₂ OCH ₃ |
| CH ₂ SCH ₃ | CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| 2-Cl-Et | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ SCH ₂ CH=CH ₂ | CH ₂ CH ₂ CH ₂ CN |
| CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ OCF ₃ | CH ₂ CH ₂ SCH ₂ C≡CH | CH ₂ OCH ₂ CH ₂ Cl |
| Ph | CH ₂ CH ₂ CO ₂ Et | CH ₂ CH ₂ CH ₂ N(CH ₃) ₂ | CH ₂ CH ₂ (4-F-Ph) |
| 4-MeO-Ph | CH ₂ Ph | CH ₂ CH ₂ OCH ₂ CH=CH ₂ | N(CH ₃) ₂ |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | CH ₂ CH ₂ NO ₂ | NHCH ₂ CH ₂ CH ₃ | 2-CN-Ph |
| 2,4-diCl-Ph | 2,4,6-triF-Ph | 4-CF ₃ -Ph | CH ₂ CH ₂ CH ₂ Ph |
| (2-THF)CH ₂ | (<i>c</i> -propyl)CH ₂ | CH ₂ CN | 4-Cl-Ph |

W = NH,

| <u>R²</u> | <u>R²</u> | <u>R²</u> | <u>R²</u> |
|---|---|---|---|
| Et | <i>n</i> -Pr | <i>i</i> -Pr | <i>n</i> -Bu |
| <i>i</i> -Bu | <i>s</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| <i>n</i> -decyl | <i>c</i> -hexyl | 2-propenyl | 2-butenyl |
| 3-butenyl | 5-decenyl | 2-propynyl | 2-butyryl |
| 3-butyryl | CF ₃ | CH ₂ CF ₃ | CH ₂ CH=CHCl |
| CH ₂ C≡CBr | CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ CH ₂ OCH ₃ |
| CH ₂ SCH ₃ | CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| 2-Cl-Et | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ SCH ₂ CH=CH ₂ | CH ₂ CH ₂ CH ₂ CN |
| CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ OCF ₃ | CH ₂ CH ₂ SCH ₂ C≡CH | CH ₂ OCH ₂ CH ₂ Cl |
| Ph | CH ₂ CH ₂ CO ₂ Et | CH ₂ CH ₂ CH ₂ N(CH ₃) ₂ | CH ₂ CH ₂ (4-F-Ph) |
| 4-MeO-Ph | CH ₂ Ph | CH ₂ CH ₂ OCH ₂ CH=CH ₂ | N(CH ₃) ₂ |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | CH ₂ CH ₂ NO ₂ | NHCH ₂ CH ₂ CH ₃ | 2-CN-Ph |
| 2,4-diCl-Ph | 2,4,6-triF-Ph | 4-CF ₃ -Ph | CH ₂ CH ₂ CH ₂ Ph |
| (2-THF)CH ₂ | (<i>c</i> -propyl)CH ₂ | CH ₂ CN | 4-Cl-Ph |

TABLE 4

Compounds of Formula I wherein Q = O, R² = *n*-Pr,W = O,

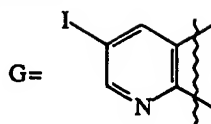
| <u>R¹</u> | <u>R¹</u> | <u>R¹</u> | <u>R¹</u> |
|----------------------|----------------------|----------------------|----------------------|
| Me | <i>n</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |

| | | | |
|---|---|---|--|
| Et | <i>i</i> -Pr | <i>i</i> -Bu | <i>s</i> -Bu |
| <i>c</i> -propyl | <i>c</i> -butyl | <i>c</i> -pentyl | 2-propenyl |
| 3-butenyl | 2-propynyl | 3-butyne | CF ₃ |
| 2-Cl-Et | 3-Br- <i>n</i> -Pr | CH ₂ CH=CHCl | CH ₂ C≡CCl |
| CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ SCH ₃ | CH ₂ SCH ₂ CH ₃ |
| CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ SCH ₂ C≡CH | CH ₂ OCF ₃ | CH ₂ OCH ₂ CH ₂ Cl |
| CH ₂ CH ₂ SCH ₂ CH=CH ₂ | CH ₂ CH ₂ NO ₂ | CH ₂ CH=CHCH ₂ OCH ₃ | CH ₂ CH ₂ N(CH ₃) ₂ |
| CH ₂ CH=CHCH ₂ SCH ₃ | NHCH ₂ CH ₂ CH ₃ | CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ CH ₃ |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | 2-furanyl | CH ₂ CH ₂ CH ₂ CN | Ph |
| OCH ₂ CH ₂ CH ₃ | 3-benzo[<i>b</i>]thiophenyl | N(CH ₃)CH ₂ CH ₃ | (2-THF)CH ₂ |
| 2-pyridinyl | 3-MeO-Ph | 2-thienyl | 4-F-Ph |
| 5-benzofuranyl | 4-PhO-Ph | 3-quinolinyl | 3-CF ₃ O-Ph |
| 2-F-4-Me-Ph | <i>c</i> -hexyl | 2-F-4-Cl-Ph | 4-Cl-Ph |
| 4-MeS-Ph | (<i>c</i> -propyl)CH ₂ | 4-Ph-Ph | 3-NO ₂ -Ph |

W = S,

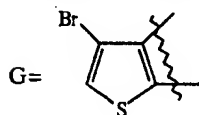
| | | | |
|---|---|---|--|
| <u>R¹</u> | <u>R¹</u> | <u>R¹</u> | <u>R¹</u> |
| Me | <i>n</i> -Pr | <i>n</i> -pentyl | <i>n</i> -hexyl |
| Et | <i>i</i> -Pr | <i>i</i> -Bu | <i>s</i> -Bu |
| <i>c</i> -propyl | <i>c</i> -butyl | <i>c</i> -pentyl | 2-propenyl |
| 3-butenyl | 2-propynyl | 3-butyne | CF ₃ |
| 2-Cl-Et | 3-Br- <i>n</i> -Pr | CH ₂ CH=CHCl | CH ₂ C≡CCl |
| CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ SCH ₃ | CH ₂ SCH ₂ CH ₃ |
| CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ SCH ₂ C≡CH | CH ₂ OCF ₃ | CH ₂ OCH ₂ CH ₂ Cl |
| CH ₂ CH ₂ SCH ₂ CH=CH ₂ | CH ₂ CH ₂ NO ₂ | CH ₂ CH=CHCH ₂ OCH ₃ | CH ₂ CH ₂ N(CH ₃) ₂ |
| CH ₂ CH=CHCH ₂ SCH ₃ | NHCH ₂ CH ₂ CH ₃ | CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ CH ₃ |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | 2-furanyl | CH ₂ CH ₂ CH ₂ CN | Ph |
| OCH ₂ CH ₂ CH ₃ | 3-benzo[<i>b</i>]thiophenyl | N(CH ₃)CH ₂ CH ₃ | (2-THF)CH ₂ |
| 2-pyridinyl | 3-MeO-Ph | 2-thienyl | 4-F-Ph |
| 5-benzofuranyl | 4-PhO-Ph | 3-quinolinyl | 3-CF ₃ O-Ph |
| 2-F-4-Me-Ph | <i>c</i> -hexyl | 2-F-4-Cl-Ph | 4-Cl-Ph |
| 4-MeS-Ph | (<i>c</i> -propyl)CH ₂ | 4-Ph-Ph | 3-NO ₂ -Ph |

TABLE 5

Compounds of Formula I wherein: Q = O, R¹ = *n*-Pr,W = O

| <u>R²</u> | <u>R²</u> | <u>R²</u> | <u>R²</u> |
|---|---|---|---|
| Et | <i>n</i> -Pr | <i>i</i> -Pr | <i>n</i> -Bu |
| <i>i</i> -Bu | <i>s</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| <i>n</i> -decyl | <i>c</i> -hexyl | 2-propenyl | 2-butenyl |
| 3-butenyl | 5-decenyl | 2-propynyl | 2-butyngyl |
| 3-butyngyl | CF ₃ | CH ₂ CF ₃ | CH ₂ CH=CHCl |
| CH ₂ C≡CBr | CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ CH ₂ OCH ₃ |
| CH ₂ SCH ₃ | CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| 2-Cl-Et | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ SCH ₂ CH=CH ₂ | CH ₂ CH ₂ CH ₂ CN |
| CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ OCF ₃ | CH ₂ CH ₂ SCH ₂ C≡CH | CH ₂ OCH ₂ CH ₂ Cl |
| Ph | CH ₂ CH ₂ CO ₂ Et | CH ₂ CH ₂ CH ₂ N(CH ₃) ₂ | CH ₂ CH ₂ (4-F-Ph) |
| 4-MeO-Ph | CH ₂ Ph | CH ₂ CH ₂ OCH ₂ CH=CH ₂ | N(CH ₃) ₂ |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | CH ₂ CH ₂ NO ₂ | NHCH ₂ CH ₂ CH ₃ | 2-CN-Ph |
| 2,4-diCl-Ph | 2,4,6-triF-Ph | 4-CF ₃ -Ph | CH ₂ CH ₂ CH ₂ Ph |
| (2-THF)CH ₂ | (<i>c</i> -propyl)CH ₂ | CH ₂ CN | 4-Cl-Ph |

TABLE 6

Compounds of Formula I wherein: Q = O, R¹ = *n*-Pr,

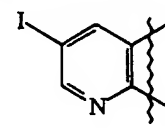
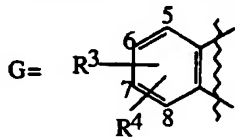
| <u>WR²</u> | <u>WR²</u> | <u>WR²</u> | <u>WR²</u> |
|--|--|--------------------------------------|---------------------------------------|
| -ON=CHCH ₂ CH ₂ CH ₃ | -NHN=CHCH ₂ CH ₂ CH ₃ | -ON=C(CH ₃) ₂ | -NHN=C(CH ₃) ₂ |
| G=  | | | |
| <u>WR²</u> | <u>WR²</u> | <u>WR²</u> | <u>WR²</u> |
| -ON=CHCH ₂ CH ₂ CH ₃ | -NHN=CHCH ₂ CH ₂ CH ₃ | -ON=C(CH ₃) ₂ | -NHN=C(CH ₃) ₂ |

TABLE 7

Compounds of Formula I wherein: $W = Q = O$, $R^2 = n\text{-Pr}$, $R^3 = 6\text{-I}$, $R^4 = H$, $R^1 = R^{11}$,

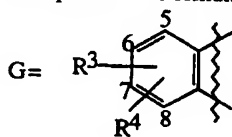
| R^{11} | R^8 | R^9 | R^{10} |
|---|--|---|----------|
| $\text{CH}_2(\text{Y-96})$ | - | - | - |
| $(\text{CH}_2)_2\text{CH}(\text{CH}_3)(\text{CH}_2)_2(\text{Y-96})$ | 2- CH_3 | 3- CH_3 | - |
| $(\text{CH}_2)_{10}(\text{Y-96})$ | 3- $(\text{CH}_2)_5\text{CH}_3$ | 3- CH_3 | - |
| $\text{CH}_2(\text{Y-97})$ | 1- C_6F_5 | 3- CH_2CH_3 | - |
| $\text{CH}_2(\text{Y-98})$ | - | - | - |
| $\text{CH}_2(\text{Y-99})$ | 3-(4-Me-Ph) | 2- OCH_3 | - |
| $\text{CH}_2(\text{Y-100})$ | 2- OCH_3 | - | - |
| $\text{CH}_2(\text{Y-52})$ | - | - | - |
| $(\text{CH}_2)_5(\text{Y-52})$ | 3- $\text{O}(\text{CH}_2)_5\text{CH}_3$ | - | - |
| $(\text{CH}_2)_2\text{CH}(\text{CH}_3)(\text{CH}_2)_2(\text{Y-52})$ | 4-CN | - | - |
| $(\text{CH}_2)_{10}(\text{Y-93})$ | 3- CF_3 | - | - |
| $\text{CH}_2(\text{Y-52})$ | 4- $(\text{CF}_2)_5\text{CF}_3$ | 5-F | 5-F |
| $\text{CH}_2(\text{Y-52})$ | 3-Cl | 4- CF_3 | - |
| $\text{CH}_2(\text{Y-93})$ | 2- $\text{C}\equiv\text{CH}$ | 4- SCH_3 | - |
| $\text{CH}_2(\text{Y-3})$ | - | - | - |
| $\text{CH}_2(\text{Y-3})$ | 4- $\text{C}=\text{C}(\text{CH}_2)_5\text{CH}_3$ | 5-I | - |
| $(\text{CH}_2)_{10}(\text{Y-4})$ | 4- SCH_3 | 5-Cl | - |
| $\text{CH}_2(\text{Y-2})$ | - | - | - |
| $\text{CH}_2(\text{Y-2})$ | 3- OCF_3 | - | - |
| $\text{CH}_2(\text{Y-2})$ | 3- $\text{O}(\text{CF}_2)_5\text{CF}_3$ | 4- CH_3 | - |
| $\text{CH}_2(\text{Y-1})$ | - | - | - |
| $\text{CH}_2(\text{Y-1})$ | - | - | 5-Cl |
| $(\text{CH}_2)_5(\text{Y-5})$ | 2-Br | 4-Br | 5-Br |
| $\text{CH}_2(\text{Y-16})$ | 2- CH_3 | 3- CH_2CH_3 | - |
| $\text{CH}_2(\text{Y-15})$ | 3-Br | - | - |
| $\text{CH}_2(\text{Y-15})$ | - | 3- $\text{CO}_2\text{CH}_2\text{CH}_3$ | - |
| $\text{CH}_2(\text{Y-15})$ | - | 3- $\text{C}(\text{O})\text{N}(\text{CH}_3)_2$ | - |
| $\text{CH}_2(\text{Y-15})$ | - | 3- $\text{C}(\text{O})\text{N}(\text{CH}_2\text{CH}_3)_2$ | - |
| $\text{CH}_2(\text{Y-15})$ | 3- $\text{SCH}_2\text{CH}_2\text{CH}_3$ | - | - |

| | | | |
|--|--|--|------|
| (CH ₂) ₁₀ (Y-15) | 3-N(CH ₃) ₂ | - | - |
| (CH ₂) ₅ (Y-17) | 3-N(CH ₂ CH ₃) ₂ | 5-CH ₃ | - |
| CH ₂ (Y-14) | 3-Br | - | - |
| CH ₂ (Y-14) | - | 3-CO ₂ CH ₂ CH ₃ | - |
| CH ₂ (Y-14) | - | 3-C(O)N(CH ₃) ₂ | - |
| CH ₂ (Y-14) | - | 3-C(O)N(CH ₂ CH ₃) ₂ | - |
| CH ₂ (Y-13) | 3-OCH ₂ CH ₂ CH ₃ | 5-CH ₂ CH ₃ | - |
| CH ₂ (Y-14) | 3-OCH ₂ CH ₂ CH ₃ | 4-CH ₂ CH ₃ | - |
| CH ₂ (Y-60) | 2-(4-Cl-Ph) | 5-CO ₂ CH ₃ | - |
| CH ₂ (Y-60) | 2-(2,4-diBr-Ph) | 5-CO ₂ CH ₃ | - |
| (CH ₂) ₈ (Y-21) | 2-(3-NO ₂ -Ph) | 5-CO ₂ CH ₃ | - |
| CH ₂ (Y-11) | 3-(2-CN-PhO) | - | - |
| CH ₂ (Y-91) | 1-(4-CF ₃ -Ph) | - | - |
| (CH ₂) ₄ (Y-27) | 3-CF ₂ CF ₂ CF ₃ | - | - |
| CH ₂ (Y-37) | - | - | - |
| CH ₂ (Y-38) | - | - | - |
| (CH ₂) ₇ (Y-38) | 6-SCCl ₃ | 5-Cl | 2-Cl |
| CH ₂ (Y-39) | 2-S(CF ₂) ₅ CF ₃ | 6-CF ₃ | - |
| CH ₂ (Y-44) | - | - | - |
| (CH ₂) ₉ (Y-45) | 2-F | 5-F | 6-F |
| CH ₂ (Y-46) | 4-(C(O)CH ₃) | 6-I | - |
| CH ₂ (Y-51) | 3-C(CH ₃) ₃ | - | - |
| CH ₂ (Y-51) | 3-Ph | - | - |
| CH ₂ (Y-51) | 3-[4-CF ₃ (CF ₂) ₃ -Ph] | - | - |
| CH ₂ (Y-92) | 5-CF ₃ | 6-CF ₃ | - |
| (CH ₂)CH(CH ₃)CH ₂ (Y-66) | 4-CH=CH ₂ | 3-OCH ₃ | - |
| CH ₂ (Y-71) | 1-I | 3-Br | 4-Cl |
| CH ₂ (Y-75) | - | - | - |
| CH ₂ (Y-75) | 7-[4-CH ₃ O-Ph] | - | - |
| (CH ₂) ₂ (Y-75) | 4-(CH ₂) ₄ CH=CH ₂ | 2-SCH ₃ | - |
| CH ₂ (Y-85) | 6-(CH ₂)CH=CH(CF ₃) | 2-I | 4-I |
| CH ₂ (Y-85) | - | - | - |
| CH ₂ (Y-85) | 6-[4-CH ₃ (CH ₂) ₃ O-Ph] | - | - |
| CH ₂ (Y-78) | 5-CCl=CCl ₂ | - | - |
| CH ₂ (Y-78) | 6-CF=CF(CF ₂) ₃ CH ₃ | - | - |
| CH ₂ (Y-79) | 2-CF ₂ CF=CFCH ₃ | - | - |

| | | | |
|------------------------|--|-------------------|------|
| CH ₂ (Y-87) | - | - | - |
| CH ₂ (Y-87) | 7-Cl | 5-Cl | 3-Cl |
| CH ₂ (Y-89) | 4-[4-(CH ₃) ₃ C-Ph] | 2-CH ₃ | - |
| CH ₂ (Y-54) | 2-CH ₃ | 2-CH ₃ | - |
| CH ₂ (Y-54) | 2-Ph | - | - |
| CH ₂ (Y-95) | - | - | - |
| CH ₂ (Y-94) | - | - | - |

TABLE 8

Compounds of Formula I wherein: W = NH, Q = O, R² = *n*-Pr, R³ = 6-I, R⁴ = H, R¹ = R¹¹,



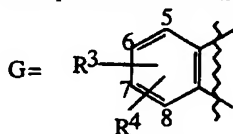
| R ¹¹ | R ⁸ | R ⁹ | R ¹⁰ |
|--|--|-----------------------------------|-----------------|
| CH ₂ (Y-96) | - | - | - |
| (CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ (Y-96) | 2-CH ₃ | 3-CH ₃ | - |
| (CH ₂) ₁₀ (Y-96) | 3-(CH ₂) ₅ CH ₃ | 3-CH ₃ | - |
| CH ₂ (Y-97) | 1-C ₆ F ₅ | 3-CH ₂ CH ₃ | - |
| CH ₂ (Y-98) | - | - | - |
| CH ₂ (Y-99) | 3-(4-Me-Ph) | 2-OCH ₃ | - |
| CH ₂ (Y-100) | 2-OCH ₃ | - | - |
| CH ₂ (Y-52) | - | - | - |
| (CH ₂) ₅ (Y-52) | 3-O(CH ₂) ₅ CH ₃ | - | - |
| (CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ (Y-52) | 4-CN | - | - |
| (CH ₂) ₁₀ (Y-93) | 3-CF ₃ | - | - |
| CH ₂ (Y-52) | 4-(CF ₂) ₅ CF ₃ | 5-F | 5-F |
| CH ₂ (Y-52) | 3-Cl | 4-CF ₃ | - |
| CH ₂ (Y-93) | 2-C≡CH | 4-SCH ₃ | - |
| CH ₂ (Y-3) | - | - | - |
| CH ₂ (Y-3) | 4-C≡C(CH ₂) ₅ CH ₃ | 5-I | - |
| (CH ₂) ₁₀ (Y-4) | 4-SCH ₃ | 5-Cl | - |
| CH ₂ (Y-2) | - | - | - |
| CH ₂ (Y-2) | 3-OCF ₃ | - | - |
| CH ₂ (Y-2) | 3-O(CF ₂) ₅ CF ₃ | 4-CH ₃ | - |
| CH ₂ (Y-1) | - | - | - |

| | | | |
|--|---|--|------|
| CH ₂ (Y-1) | - | - | 5-Cl |
| (CH ₂) ₅ (Y-5) | 2-Br | 4-Br | 5-Br |
| CH ₂ (Y-16) | 2-CH ₃ | 3-CH ₂ CH ₃ | - |
| CH ₂ (Y-15) | 3-Br | - | - |
| CH ₂ (Y-15) | - | 3-CO ₂ CH ₂ CH ₃ | - |
| CH ₂ (Y-15) | - | 3-C(O)N(CH ₃) ₂ | - |
| CH ₂ (Y-15) | - | 3-C(O)N(CH ₂ CH ₃) ₂ | - |
| CH ₂ (Y-15) | 3-SCH ₂ CH ₂ CH ₃ | - | - |
| (CH ₂) ₁₀ (Y-15) | 3-N(CH ₃) ₂ | - | - |
| (CH ₂) ₅ (Y-17) | 3-N(CH ₂ CH ₃) ₂ | 5-CH ₃ | - |
| CH ₂ (Y-14) | 3-Br | - | - |
| CH ₂ (Y-14) | - | 3-CO ₂ CH ₂ CH ₃ | - |
| CH ₂ (Y-14) | - | 3-C(O)N(CH ₃) ₂ | - |
| CH ₂ (Y-14) | - | 3-C(O)N(CH ₂ CH ₃) ₂ | - |
| CH ₂ (Y-13) | 3-OCH ₂ CH ₂ CH ₃ | 5-CH ₂ CH ₃ | - |
| CH ₂ (Y-14) | 3-OCH ₂ CH ₂ CH ₃ | 4-CH ₂ CH ₃ | - |
| CH ₂ (Y-60) | 2-(4-Cl-Ph) | 5-CO ₂ CH ₃ | - |
| CH ₂ (Y-60) | 2-(2,4-diBr-Ph) | 5-CO ₂ CH ₃ | - |
| (CH ₂) ₈ (Y-21) | 2-(3-NO ₂ -Ph) | 5-CO ₂ CH ₃ | - |
| CH ₂ (Y-11) | 3-(2-CN-PhO) | - | - |
| CH ₂ (Y-91) | 1-(4-CF ₃ -Ph) | - | - |
| (CH ₂) ₄ (Y-27) | 3-CF ₂ CF ₂ CF ₃ | - | - |
| CH ₂ (Y-37) | - | - | - |
| CH ₂ (Y-38) | - | - | - |
| (CH ₂) ₇ (Y-38) | 6-SCCl ₃ | 5-Cl | 2-Cl |
| CH ₂ (Y-39) | 2-S(CF ₂) ₅ CF ₃ | 6-CF ₃ | - |
| CH ₂ (Y-44) | - | - | - |
| (CH ₂) ₉ (Y-45) | 2-F | 5-F | 6-F |
| CH ₂ (Y-46) | 4-(C(O)CH ₃) | 6-I | - |
| CH ₂ (Y-51) | 3-C(CH ₃) ₃ | - | - |
| CH ₂ (Y-51) | 3-Ph | - | - |
| CH ₂ (Y-51) | 3-[4-CF ₃ (CF ₂) ₃ -Ph] | - | - |
| CH ₂ (Y-92) | 5-CF ₃ | 6-CF ₃ | - |
| (CH ₂)CH(CH ₃)CH ₂ (Y-66) | 4-CH=CH ₂ | 3-OCH ₃ | - |
| CH ₂ (Y-71) | 1-I | 3-Br | 4-Cl |
| CH ₂ (Y-75) | - | - | - |

| | | | |
|--|--|--------------------|------|
| CH ₂ (Y-75) | 7-[4-CH ₃ O-Ph] | - | - |
| (CH ₂) ₂ (Y-75) | 4-(CH ₂) ₄ CH=CH ₂ | 2-SCH ₃ | - |
| CH ₂ (Y-85) | 6-(CH ₂)CH=CH(CF ₃) | 2-I | 4-I |
| CH ₂ (Y-85) | - | - | - |
| CH ₂ (Y-85) | 6-[4-CH ₃ (CH ₂) ₃ O-Ph] | - | - |
| CH ₂ (Y-78) | 5-CCl=CCl ₂ | - | - |
| CH ₂ (Y-78) | 6-CF=CF(CF ₂) ₃ CH ₃ | - | - |
| CH ₂ (Y-79) | 2-CF ₂ CF=CFCF ₃ | - | - |
| CH ₂ (Y-87) | - | - | - |
| CH ₂ (Y-87) | 7-Cl | 5-Cl | 3-Cl |
| CH ₂ (Y-89) | 4-[4-(CH ₃) ₃ C-Ph] | 2-CH ₃ | - |
| CH ₂ (Y-54) | 2-CH ₃ | 2-CH ₃ | - |
| CH ₂ (Y-54) | 2-Ph | - | - |
| CH ₂ (Y-95) | - | - | - |
| CH ₂ (Y-94) | - | - | - |

TABLE 9

Compounds of Formula I wherein: W = S, Q = O, R² = n-Pr, R³ = 6-I, R⁴ = H, R¹ = R¹¹,

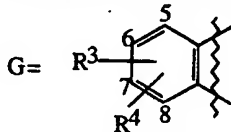


| R ¹¹ | R ⁸ | R ⁹ | R ¹⁰ |
|--|--|-----------------------------------|-----------------|
| CH ₂ (Y-96) | - | - | - |
| (CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ (Y-96) | 2-CH ₃ | 3-CH ₃ | - |
| (CH ₂) ₁₀ (Y-96) | 3-(CH ₂) ₅ CH ₃ | 3-CH ₃ | - |
| CH ₂ (Y-97) | 1-C ₆ F ₅ | 3-CH ₂ CH ₃ | - |
| CH ₂ (Y-98) | - | - | - |
| CH ₂ (Y-99) | 3-(4-Me-Ph) | 2-OCH ₃ | - |
| CH ₂ (Y-100) | 2-OCH ₃ | - | - |
| CH ₂ (Y-52) | - | - | - |
| (CH ₂) ₅ (Y-52) | 3-O(CH ₂) ₅ CH ₃ | - | - |
| (CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ (Y-52) | 4-CN | - | - |
| (CH ₂) ₁₀ (Y-93) | 3-CF ₃ | - | - |
| CH ₂ (Y-52) | 4-(CF ₂) ₅ CF ₃ | 5-F | 5-F |
| CH ₂ (Y-52) | 3-Cl | 4-CF ₃ | - |

| | | | |
|---|--|--|------|
| CH ₂ (Y-93) | 2-C≡CH | 4-SCH ₃ | - |
| CH ₂ (Y-3) | - | - | - |
| CH ₂ (Y-3) | 4-C≡C(CH ₂) ₅ CH ₃ | 5-I | - |
| (CH ₂) ₁₀ (Y-4) | 4-SCH ₃ | 5-Cl | - |
| CH ₂ (Y-2) | - | - | - |
| CH ₂ (Y-2) | 3-OCF ₃ | - | - |
| CH ₂ (Y-2) | 3-O(CF ₂) ₅ CF ₃ | 4-CH ₃ | - |
| CH ₂ (Y-1) | - | - | - |
| CH ₂ (Y-1) | - | - | 5-Cl |
| (CH ₂) ₅ (Y-5) | 2-Br | 4-Br | 5-Br |
| CH ₂ (Y-16) | 2-CH ₃ | 3-CH ₂ CH ₃ | - |
| CH ₂ (Y-15) | 3-Br | - | - |
| CH ₂ (Y-15) | - | 3-CO ₂ CH ₂ CH ₃ | - |
| CH ₂ (Y-15) | - | 3-C(O)N(CH ₃) ₂ | - |
| CH ₂ (Y-15) | - | 3-C(O)N(CH ₂ CH ₃) ₂ | - |
| CH ₂ (Y-15) | 3-SCH ₂ CH ₂ CH ₃ | - | - |
| (CH ₂) ₁₀ (Y-15) | 3-N(CH ₃) ₂ | - | - |
| (CH ₂) ₅ (Y-17) | 3-N(CH ₂ CH ₃) ₂ | 5-CH ₃ | - |
| CH ₂ (Y-14) | 3-Br | - | - |
| CH ₂ (Y-14) | - | 3-CO ₂ CH ₂ CH ₃ | - |
| CH ₂ (Y-14) | - | 3-C(O)N(CH ₃) ₂ | - |
| CH ₂ (Y-14) | - | 3-C(O)N(CH ₂ CH ₃) ₂ | - |
| CH ₂ (Y-13) | 3-OCH ₂ CH ₂ CH ₃ | 5-CH ₂ CH ₃ | - |
| CH ₂ (Y-14) | 3-OCH ₂ CH ₂ CH ₃ | 4-CH ₂ CH ₃ | - |
| CH ₂ (Y-60) | 2-(4-Cl-Ph) | 5-CO ₂ CH ₃ | - |
| CH ₂ (Y-60) | 2-(2,4-diBr-Ph) | 5-CO ₂ CH ₃ | - |
| (CH ₂) ₈ (Y-21) | 2-(3-NO ₂ -Ph) | 5-CO ₂ CH ₃ | - |
| CH ₂ (Y-11) | 3-(2-CN-PhO) | - | - |
| CH ₂ (Y-91) | 1-(4-CF ₃ -Ph) | - | - |
| (CH ₂) ₄ (Y-27) | 3-CF ₂ CF ₂ CF ₃ | - | - |
| CH ₂ (Y-37) | - | - | - |
| CH ₂ (Y-38) | - | - | - |
| (CH ₂) ₇ (Y-38) | 6-SCCl ₃ | 5-Cl | 2-Cl |
| CH ₂ (Y-39) | 2-S(CF ₂) ₅ CF ₃ | 6-CF ₃ | - |
| CH ₂ (Y-44) | - | - | - |
| (CH ₂) ₉ (Y-45) | 2-F | 5-F | 6-F |

| | | | |
|--|--|--------------------|------|
| CH ₂ (Y-46) | 4-(C(O)CH ₃) | 6-I | - |
| CH ₂ (Y-51) | 3-C(CH ₃) ₃ | - | - |
| CH ₂ (Y-51) | 3-Ph | - | - |
| CH ₂ (Y-51) | 3-[4-CF ₃ (CF ₂) ₃ -Ph] | - | - |
| CH ₂ (Y-92) | 5-CF ₃ | 6-CF ₃ | - |
| (CH ₂)CH(CH ₃)CH ₂ (Y-66) | 4-CH=CH ₂ | 3-OCH ₃ | - |
| CH ₂ (Y-71) | 1-I | 3-Br | 4-Cl |
| CH ₂ (Y-75) | - | - | - |
| CH ₂ (Y-75) | 7-[4-CH ₃ O-Ph] | - | - |
| (CH ₂) ₂ (Y-75) | 4-(CH ₂) ₄ CH=CH ₂ | 2-SCH ₃ | - |
| CH ₂ (Y-85) | 6-(CH ₂)CH=CH(CF ₃) | 2-I | 4-I |
| CH ₂ (Y-85) | - | - | - |
| CH ₂ (Y-85) | 6-[4-CH ₃ (CH ₂) ₃ O-Ph] | - | - |
| CH ₂ (Y-78) | 5-CCl=CCl ₂ | - | - |
| CH ₂ (Y-78) | 6-CF=CF(CF ₂) ₃ CH ₃ | - | - |
| CH ₂ (Y-79) | 2-CF ₂ CF=CFCF ₃ | - | - |
| CH ₂ (Y-87) | - | - | - |
| CH ₂ (Y-87) | 7-Cl | 5-Cl | 3-Cl |
| CH ₂ (Y-89) | 4-[4-(CH ₃) ₃ C-Ph] | 2-CH ₃ | - |
| CH ₂ (Y-54) | 2-CH ₃ | 2-CH ₃ | - |
| CH ₂ (Y-54) | 2-Ph | - | - |
| CH ₂ (Y-95) | - | - | - |
| CH ₂ (Y-94) | - | - | - |

TABLE 10

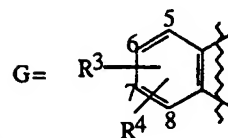
Compounds of Formula I wherein: W = Q = O, R¹ = n-Pr, R³ = 6-I, R⁴ = H, R² = R¹¹,

| R ¹¹ | R ⁸ | R ⁹ | R ¹⁰ |
|--|---|-----------------------------------|-----------------|
| CH ₂ (Y-96) | - | - | - |
| (CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ (Y-96) | 2-CH ₃ | 3-CH ₃ | - |
| (CH ₂) ₁₀ (Y-96) | 3-(CH ₂) ₅ CH ₃ | 3-CH ₃ | - |
| CH ₂ (Y-97) | 1-C ₆ F ₅ | 3-CH ₂ CH ₃ | - |
| CH ₂ (Y-98) | - | - | - |

| | | | |
|--|--|--|------|
| CH ₂ (Y-99) | 3-(4-Me-Ph) | 2-OCH ₃ | - |
| CH ₂ (Y-100) | 2-OCH ₃ | - | - |
| CH ₂ (Y-52) | - | - | - |
| (CH ₂) ₅ (Y-52) | 3-O(CH ₂) ₅ CH ₃ | - | - |
| (CH ₂) ₂ CH(CH ₃)(CH ₂) ₂ (Y-52) | 4-CN | - | - |
| (CH ₂) ₁₀ (Y-93) | 3-CF ₃ | - | - |
| CH ₂ (Y-52) | 4-(CF ₂) ₅ CF ₃ | 5-F | 5-F |
| CH ₂ (Y-52) | 3-Cl | 4-CF ₃ | - |
| CH ₂ (Y-93) | 2-C≡CH | 4-SCH ₃ | - |
| CH ₂ (Y-3) | - | - | - |
| CH ₂ (Y-3) | 4-C≡C(CH ₂) ₅ CH ₃ | 5-I | - |
| (CH ₂) ₁₀ (Y-4) | 4-SCH ₃ | 5-Cl | - |
| CH ₂ (Y-2) | - | - | - |
| CH ₂ (Y-2) | 3-OCF ₃ | - | - |
| CH ₂ (Y-2) | 3-O(CF ₂) ₅ CF ₃ | 4-CH ₃ | - |
| CH ₂ (Y-1) | - | - | - |
| CH ₂ (Y-1) | - | - | 5-Cl |
| (CH ₂) ₅ (Y-5) | 2-Br | 4-Br | 5-Br |
| CH ₂ (Y-16) | 2-CH ₃ | 3-CH ₂ CH ₃ | - |
| CH ₂ (Y-15) | 3-Br | - | - |
| CH ₂ (Y-15) | - | 3-CO ₂ CH ₂ CH ₃ | - |
| CH ₂ (Y-15) | - | 3-C(O)N(CH ₃) ₂ | - |
| CH ₂ (Y-15) | - | 3-C(O)N(CH ₂ CH ₃) ₂ | - |
| CH ₂ (Y-15) | 3-SCH ₂ CH ₂ CH ₃ | - | - |
| (CH ₂) ₁₀ (Y-15) | 3-N(CH ₃) ₂ | - | - |
| (CH ₂) ₅ (Y-17) | 3-N(CH ₂ CH ₃) ₂ | 5-CH ₃ | - |
| CH ₂ (Y-14) | 3-Br | - | - |
| CH ₂ (Y-14) | - | 3-CO ₂ CH ₂ CH ₃ | - |
| CH ₂ (Y-14) | - | 3-C(O)N(CH ₃) ₂ | - |
| CH ₂ (Y-14) | - | 3-C(O)N(CH ₂ CH ₃) ₂ | - |
| CH ₂ (Y-13) | 3-OCH ₂ CH ₂ CH ₃ | 5-CH ₂ CH ₃ | - |
| CH ₂ (Y-14) | 3-OCH ₂ CH ₂ CH ₃ | 4-CH ₂ CH ₃ | - |
| CH ₂ (Y-60) | 2-(4-Cl-Ph) | 5-CO ₂ CH ₃ | - |
| CH ₂ (Y-60) | 2-(2,4-diBr-Ph) | 5-CO ₂ CH ₃ | - |
| (CH ₂) ₈ (Y-21) | 2-(3-NO ₂ -Ph) | 5-CO ₂ CH ₃ | - |
| CH ₂ (Y-11) | 3-(2-CN-PhO) | - | - |

| | | | |
|--|--|--------------------|------|
| CH ₂ (Y-91) | 1-(4-CF ₃ -Ph) | - | - |
| (CH ₂) ₄ (Y-27) | 3-CF ₂ CF ₂ CF ₃ | - | - |
| CH ₂ (Y-37) | - | - | - |
| CH ₂ (Y-38) | - | - | - |
| (CH ₂) ₇ (Y-38) | 6-SCCl ₃ | 5-Cl | 2-Cl |
| CH ₂ (Y-39) | 2-S(CF ₂) ₅ CF ₃ | 6-CF ₃ | - |
| CH ₂ (Y-44) | - | - | - |
| (CH ₂) ₉ (Y-45) | 2-F | 5-F | 6-F |
| CH ₂ (Y-46) | 4-(C(O)CH ₃) | 6-I | - |
| CH ₂ (Y-51) | 3-C(CH ₃) ₃ | - | - |
| CH ₂ (Y-51) | 3-Ph | - | - |
| CH ₂ (Y-51) | 3-[4-CF ₃ (CF ₂) ₃ -Ph] | - | - |
| CH ₂ (Y-92) | 5-CF ₃ | 6-CF ₃ | - |
| (CH ₂)CH(CH ₃)CH ₂ (Y-66) | 4-CH=CH ₂ | 3-OCH ₃ | - |
| CH ₂ (Y-71) | 1-I | 3-Br | 4-Cl |
| CH ₂ (Y-75) | - | - | - |
| CH ₂ (Y-75) | 7-[4-CH ₃ O-Ph] | - | - |
| (CH ₂) ₂ (Y-75) | 4-(CH ₂) ₄ CH=CH ₂ | 2-SCH ₃ | - |
| CH ₂ (Y-85) | 6-(CH ₂)CH=CH(CF ₃) | 2-I | 4-I |
| CH ₂ (Y-85) | - | - | - |
| CH ₂ (Y-85) | 6-[4-CH ₃ (CH ₂) ₃ O-Ph] | - | - |
| CH ₂ (Y-78) | 5-CCl=CCl ₂ | - | - |
| CH ₂ (Y-78) | 6-CF=CF(CF ₂) ₃ CH ₃ | - | - |
| CH ₂ (Y-79) | 2-CF ₂ CF=CFCF ₃ | - | - |
| CH ₂ (Y-87) | - | - | - |
| CH ₂ (Y-87) | 7-Cl | 5-Cl | 3-Cl |
| CH ₂ (Y-89) | 4-[4-(CH ₃) ₃ C-Ph] | 2-CH ₃ | - |
| CH ₂ (Y-54) | 2-CH ₃ | 2-CH ₃ | - |
| CH ₂ (Y-54) | 2-Ph | - | - |
| CH ₂ (Y-95) | - | - | - |
| CH ₂ (Y-94) | - | - | - |

TABLE 11



Compounds of Formula I wherein: Q = O, R¹ = CH₂(tetrahydro-2-furanyl),

W = O, R³ = 6-I, R⁴ = H,

| <u>R²</u> | <u>R²</u> | <u>R²</u> | <u>R²</u> |
|---|---|---|---|
| CH ₂ CH ₂ CH ₂ F | <i>t</i> -Bu | <i>i</i> -Pr | <i>n</i> -Bu |
| <i>i</i> -Bu | <i>s</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| <i>n</i> -decyl | <i>c</i> -hexyl | 2-propenyl | 2-butenyl |
| 3-butenyl | 5-decenyl | 2-propynyl | 2-butyngyl |
| 3-butyngyl | CF ₃ | CH ₂ CF ₃ | CH ₂ CH=CHCl |
| CH ₂ C≡CBr | CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ CH ₂ OCH ₃ |
| CH ₂ SCH ₃ | CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| 2-Cl-Et | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ SCH ₂ CH=CH ₂ | (<i>c</i> -propyl)CH ₂ |
| (<i>c</i> -hexyl)CH ₂ | CH ₂ CH ₂ OCF ₃ | CH ₂ SCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ CN |
| CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ Et | CH ₂ OCH ₂ CH=CH ₂ | CH ₂ OCH ₂ CH ₂ Cl |
| Ph | 4-Me-Ph | CH ₂ CH ₂ CH ₂ N(CH ₃) ₂ | 2-F-Ph |
| 4-MeO-Ph | CH ₂ Ph | CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ Ph |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | CH ₂ CH ₂ NO ₂ | -N=CHPh | CH ₂ CH ₂ (4-F-Ph) |
| -N=CHCH ₂ CH ₂ CH ₃ | -N=C(CH ₃) ₂ | NHCH ₂ CH ₂ CH ₃ | N(CH ₃) ₂ |
| 2,4-diCl-Ph | 2,4,6-triF-Ph | 4-CF ₃ -Ph | 2-CN-Ph |
| CH ₂ (4-F-Ph) | -NHPh | -N(CH ₃)Ph | CH ₂ CH ₂ CH ₂ Ph |

W = S, R³ = 6-I, R⁴ = H,

| <u>R²</u> | <u>R²</u> | <u>R²</u> | <u>R²</u> |
|---|---|---|--|
| CH ₂ CH ₂ CH ₂ F | <i>t</i> -Bu | <i>i</i> -Pr | <i>n</i> -Bu |
| <i>i</i> -Bu | <i>s</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| <i>n</i> -decyl | <i>c</i> -hexyl | 2-propenyl | 2-butenyl |
| 3-butenyl | 5-decenyl | 2-propynyl | 2-butyngyl |
| 3-butyngyl | CF ₃ | CH ₂ CF ₃ | CH ₂ CH=CHCl |
| CH ₂ C≡CBr | CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ CH ₂ OCH ₃ |
| CH ₂ SCH ₃ | CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| 2-Cl-Et | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ SCH ₂ CH=CH ₂ | (<i>c</i> -propyl)CH ₂ |
| (<i>c</i> -hexyl)CH ₂ | CH ₂ CH ₂ OCF ₃ | CH ₂ SCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ CN |

| | | | |
|---|--|--|---|
| CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ Et | CH ₂ OCH ₂ CH=CH ₂ | CH ₂ OCH ₂ CH ₂ Cl |
| Ph | 4-Me-Ph | CH ₂ CH ₂ CH ₂ N(CH ₃) ₂ | 2-F-Ph |
| 4-MeO-Ph | CH ₂ Ph | CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ Ph |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | CH ₂ CH ₂ NO ₂ | -N=CHPh | CH ₂ CH ₂ (4-F-Ph) |
| -N=CHCH ₂ CH ₂ CH ₃ | -N=C(CH ₃) ₂ | NHCH ₂ CH ₂ CH ₃ | N(CH ₃) ₂ |
| 2,4-diCl-Ph | 2,4,6-triF-Ph | 4-CF ₃ -Ph | 2-CN-Ph |
| CH ₂ (4-F-Ph) | -NHPh | -N(CH ₃)Ph | CH ₂ CH ₂ CH ₂ Ph |

$W = O, R^3 = 6-I, R^4 = 8-I$

| <u>R²</u> | <u>R²</u> | <u>R²</u> | <u>R²</u> |
|---|---|---|---|
| CH ₂ CH ₂ CH ₂ F | <i>i</i> -Bu | <i>i</i> -Pr | <i>n</i> -Bu |
| <i>i</i> -Bu | <i>s</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| <i>n</i> -decyl | <i>c</i> -hexyl | 2-propenyl | 2-butenyl |
| 3-butenyl | 5-decenyl | 2-propynyl | 2-butyryl |
| 3-butyryl | CF ₃ | CH ₂ CF ₃ | CH ₂ CH=CHCl |
| CH ₂ C≡CBr | CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ CH ₂ OCH ₃ |
| CH ₂ SCH ₃ | CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |
| 2-Cl-Et | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ SCH ₂ CH=CH ₂ | (<i>c</i> -propyl)CH ₂ |
| (<i>c</i> -hexyl)CH ₂ | CH ₂ CH ₂ OCF ₃ | CH ₂ SCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ CN |
| CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ Et | CH ₂ OCH ₂ CH=CH ₂ | CH ₂ OCH ₂ CH ₂ Cl |
| Ph | 4-Me-Ph | CH ₂ CH ₂ CH ₂ N(CH ₃) ₂ | 2-F-Ph |
| 4-MeO-Ph | CH ₂ Ph | CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ Ph |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | CH ₂ CH ₂ NO ₂ | -N=CHPh | CH ₂ CH ₂ (4-F-Ph) |
| -N=CHCH ₂ CH ₂ CH ₃ | -N=C(CH ₃) ₂ | NHCH ₂ CH ₂ CH ₃ | N(CH ₃) ₂ |
| 2,4-diCl-Ph | 2,4,6-triF-Ph | 4-CF ₃ -Ph | 2-CN-Ph |
| CH ₂ (4-F-Ph) | -NHPh | -N(CH ₃)Ph | CH ₂ CH ₂ CH ₂ Ph |

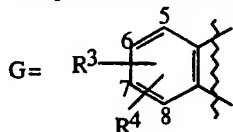
$W = S, R^3 = 6-I, R^4 = 8-I$

| <u>R²</u> | <u>R²</u> | <u>R²</u> | <u>R²</u> |
|---|--|---|--|
| CH ₂ CH ₂ CH ₂ F | <i>i</i> -Bu | <i>n</i> -Pr | <i>n</i> -Bu |
| <i>i</i> -Bu | <i>s</i> -Bu | <i>n</i> -pentyl | <i>n</i> -hexyl |
| <i>n</i> -decyl | <i>c</i> -hexyl | 2-propenyl | 2-butenyl |
| 3-butenyl | 5-decenyl | 2-propynyl | 2-butyryl |
| 3-butyryl | CF ₃ | CH ₂ CF ₃ | CH ₂ CH=CHCl |
| CH ₂ C≡CBr | CH ₂ OCH ₃ | CH ₂ OCH ₂ CH ₃ | CH ₂ CH ₂ OCH ₃ |
| CH ₂ SCH ₃ | CH ₂ CH ₂ SCH ₃ | CH ₂ CH ₂ CH ₂ S(O) ₂ CH ₃ | (<i>c</i> -pentyl)CH ₂ |

| | | | |
|---|---|--|---|
| 2-Cl-Et | CH ₂ CH ₂ OCH ₂ C≡CH | CH ₂ CH ₂ SCH ₂ CH=CH ₂ | (<i>c</i> -propyl)CH ₂ |
| (<i>c</i> -hexyl)CH ₂ | CH ₂ CH ₂ OCF ₃ | CH ₂ SCH ₂ C≡CH | CH ₂ CH ₂ CH ₂ CN |
| CH ₂ CH ₂ Si(CH ₃) ₃ | CH ₂ CH ₂ CO ₂ Et | CH ₂ OCH ₂ CH=CH ₂ | CH ₂ OCH ₂ CH ₂ Cl |
| Ph | 4-Me-Ph | CH ₂ CH ₂ CH ₂ N(CH ₃) ₂ | 2-F-Ph |
| 4-MeO-Ph | CH ₂ Ph | CH ₂ CH ₂ OCH ₂ CH=CH ₂ | CH ₂ CH ₂ Ph |
| CH ₂ CH ₂ CH ₂ NHCH ₃ | CH ₂ CH ₂ NO ₂ | -N=CHPh | CH ₂ CH ₂ (4-F-Ph) |
| -N=CHCH ₂ CH ₂ CH ₃ | -N=C(CH ₃) ₂ | NHCH ₂ CH ₂ CH ₃ | N(CH ₃) ₂ |
| 2,4-diCl-Ph | 2,4,6-triF-Ph | 4-CF ₃ -Ph | 2-CN-Ph |
| CH ₂ (4-F-Ph) | -NHPH | -N(CH ₃)Ph | CH ₂ CH ₂ CH ₂ Ph |

TABLE 12

Compounds of Formula I wherein W = Q = O and R¹ = CH₂(tetrahydro-2-furanyl), R² = *n*-Pr,



| R ³ | R ⁴ | R ³ | R ⁴ | R ³ | R ⁴ |
|-----------------------------------|----------------|---------------------------------------|-------------------|----------------------|--------------------|
| 6-Cl | H | 6-Me | H | 6-Me ₃ Si | 8-Br |
| 6-Br | 8-Me | 6-Et | 8-Br | 6-Me ₂ N | H |
| 6-I | 8-Br | 6-MeO | H | 6-EtNH | H |
| 6-Cl | 8-Cl | 6-MeS | 8-MeO | 6-Br | 8-Me |
| 6-Br | 8-Cl | 6-SCH ₂ CH=CH ₂ | H | 6-Br | 8-Et |
| 6-I | 8-I | 6-S(O) ₂ Me | H | 6- <i>i</i> -Pr | H |
| 6-C≡CH | H | 6-Br | 8-CF ₃ | 6-Br | 8-OCF ₃ |
| 6-C≡CH | 8-Br | 6-CH ₂ C≡CH | H | 6-CF ₃ O | H |
| H | H | 6-Br | 7-Br | 6-CH=CH ₂ | H |
| 6-CF ₃ | H | 6-CH ₂ CH=CH ₂ | H | 6-Br | 7-Me |
| 6-CH ₂ Br | H | 6-Br | 5-Me | 6-Br | 5-Br |
| 6-CH=CHBr | H | 6-(CH ₃) ₂ CH | H | 8-Br | H |
| 6-CH ₃ CH ₂ | H | 6-I | 8-Me | 6-Me | 8-Br |

Formulation/Utility

- 5 Compounds of this invention will generally be used as a formulation or composition with an agriculturally suitable carrier comprising at least one of a liquid diluent, a solid diluent or a surfactant. The formulation or composition ingredients are

selected to be consistent with the physical properties of the active ingredient, mode of application and environmental factors such as soil type, moisture and temperature. Useful formulations include liquids such as solutions (including emulsifiable concentrates), suspensions, emulsions (including microemulsions and/or suspoemulsions) and the like which optionally can be thickened into gels. Useful formulations further include solids such as dusts, powders, granules, pellets, tablets, films, and the like which can be water-dispersible ("wetable") or water-soluble. Active ingredient can be (micro)encapsulated and further formed into a suspension or solid formulation; alternatively the entire formulation of active ingredient can be encapsulated (or "overcoated"). Encapsulation can control or delay release of the active ingredient. Sprayable formulations can be extended in suitable media and used at spray volumes from about one to several hundred liters per hectare. High-strength compositions are primarily used as intermediates for further formulation.

The formulations will typically contain effective amounts of active ingredient, diluent and surfactant within the following approximate ranges which add up to 100 percent by weight.

| | Weight Percent | | |
|---|--------------------------|----------------|-------------------|
| | <u>Active Ingredient</u> | <u>Diluent</u> | <u>Surfactant</u> |
| Water-Dispersible and Water-soluble Granules, Tablets and Powders. | 5-90 | 0-94 | 1-15 |
| Suspensions, Emulsions, Solutions (including Emulsifiable Concentrates) | 5-50 | 40-95 | 0-15 |
| Dusts | 1-25 | 70-99 | 0-5 |
| Granules and Pellets | 0.01-99 | 5-99.99 | 0-15 |
| High Strength Compositions | 90-99 | 0-10 | 0-2 |

Typical solid diluents are described in Watkins, et al., *Handbook of Insecticide Dust Diluents and Carriers*, 2nd Ed., Dorland Books, Caldwell, New Jersey. Typical liquid diluents are described in Marsden, *Solvents Guide*, 2nd Ed., Interscience, New York, 1950. *McCutcheon's Detergents and Emulsifiers Annual*, Allured Publ. Corp., Ridgewood, New Jersey, as well as Sisely and Wood, *Encyclopedia of Surface Active Agents*, Chemical Publ. Co., Inc., New York, 1964, list surfactants and recommended uses. All formulations can contain minor amounts of additives to reduce foam, caking, corrosion, microbiological growth and the like, or thickeners to increase viscosity.

Surfactants include, for example, polyethoxylated alcohols, polyethoxylated alkylphenols, polyethoxylated sorbitan fatty acid esters, dialkyl sulfosuccinates, alkyl

sulfates, alkylbenzene sulfonates, organosilicones, *N,N*-dialkyltaurates, lignin sulfonates, naphthalene sulfonate formaldehyde condensates, polycarboxylates, and polyoxyethylene/polyoxypropylene block copolymers. Solid diluents include, for example, clays such as bentonite, montmorillonite, attapulgite and kaolin, starch, sugar, silica, talc, diatomaceous earth, urea, calcium carbonate, sodium carbonate and bicarbonate, and sodium sulfate. Liquid diluents include, for example, water, *N,N*-dimethylformamide, dimethyl sulfoxide, *N*-alkylpyrrolidone, ethylene glycol, polypropylene glycol, paraffins, alkylbenzenes, alkylnaphthalenes, oils of olive, castor, linseed, tung, sesame, corn, peanut, cotton-seed, soybean, rape-seed and coconut, fatty acid esters, ketones such as cyclohexanone, 2-heptanone, isophorone and 4-hydroxy-4-methyl-2-pentanone, and alcohols such as methanol, cyclohexanol, decanol and tetrahydrofurfuryl alcohol.

Solutions, including emulsifiable concentrates, can be prepared by simply mixing the ingredients. Dusts and powders can be prepared by blending and, usually, grinding as in a hammer mill or fluid-energy mill. Suspensions are usually prepared by wet-milling; see, for example, U.S. 3,060,084. Granules and pellets can be prepared by spraying the active material upon preformed granular carriers or by agglomeration techniques. See Browning, "Agglomeration", *Chemical Engineering*, December 4, 1967, pp 147-48, *Perry's Chemical Engineer's Handbook*, 4th Ed., McGraw-Hill, New York, 1963, pages 8-57 and following, and WO 91/13546. Pellets can be prepared as described in U.S. 4,172,714. Water-dispersible and water-soluble granules can be prepared as taught in U.S. 4,144,050, U.S. 3,920,442 and DE 3,246,493. Tablets can be prepared as taught in U.S. 5,180,587, U.S. 5,232,701 and U.S. 5,208,030. Films can be prepared as taught in GB 2,095,558 and U.S. 3,299,566.

For further information regarding the art of formulation, see U.S. 3,235,361, Col. 6, line 16 through Col. 7, line 19 and Examples 10-41; U.S. 3,309,192, Col. 5, line 43 through Col. 7, line 62 and Examples 8, 12, 15, 39, 41, 52, 53, 58, 132, 138-140, 162-164, 166, 167 and 169-182; U.S. 2,891,855, Col. 3, line 66 through Col. 5, line 17 and Examples 1-4; Klingman, *Weed Control as a Science*, John Wiley and Sons, Inc., New York, 1961, pp 81-96; and Hance et al., *Weed Control Handbook*, 8th Ed., Blackwell Scientific Publications, Oxford, 1989.

In the following Examples, all percentages are by weight and all formulations are prepared in conventional ways. Compound numbers refer to compounds in Index Tables A-B.

Example AWettable Powder

| | | |
|---|---|--------|
| | Compound 37 | 65.0% |
| | dodecylphenol polyethylene glycol ether | 2.0% |
| 5 | sodium ligninsulfonate | 4.0% |
| | sodium silicoaluminate | 6.0% |
| | montmorillonite (calcined) | 23.0%. |

Example BGranule

| | | |
|----|--|--------|
| 10 | Compound 41 | 10.0% |
| | attapulgite granules (low volatile matter, 0.71/0.30 mm; U.S.S. No. 25-50 sieves) | 90.0%. |

Example CExtruded Pellet

| | | |
|----|-----------------------------------|--------|
| 15 | Compound 37 | 25.0% |
| | anhydrous sodium sulfate | 10.0% |
| | crude calcium ligninsulfonate | 5.0% |
| | sodium alkyl naphthalenesulfonate | 1.0% |
| | calcium/magnesium bentonite | 59.0%. |

Example DEmulsifiable Concentrate

| | | |
|----|---|--------|
| | Compound 41 | 20.0% |
| | blend of oil soluble sulfonates and polyoxyethylene ethers | 10.0% |
| 25 | isophorone | 70.0%. |

The compounds of this invention are useful as plant disease control agents. The present invention therefore further comprises a method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof to be protected, or to the plant seed or seedling to be protected, an effective amount of a compound of the invention or a fungicidal composition containing said compound. The compounds and compositions of this invention provide control of diseases caused by a broad spectrum of fungal plant pathogens in the Basidiomycete, Ascomycete, Oomycete and Deuteromycete classes. They are effective in controlling a broad spectrum of plant diseases, particularly foliar pathogens of ornamental, vegetable, field, cereal, and fruit crops. These pathogens include *Plasmopara viticola*, *Phytophthora infestans*, *Peronospora tabacina*, *Pseudoperonospora cubensis*, *Pythium aphanidermatum*,

Alternaria brassicae, *Septoria nodorum*, *Septoria tritici*, *Cercosporidium personatum*, *Cercospora arachidicola*, *Pseudocercospora herpotrichoides*, *Cercospora beticola*, *Botrytis cinerea*, *Monilinia fructicola*, *Pyricularia oryzae*, *Podosphaera leucotricha*, *Venturia inaequalis*, *Erysiphe graminis*, *Uncinula necatur*, *Puccinia recondita*,
 5 *Puccinia graminis*, *Hemileia vastatrix*, *Puccinia striiformis*, *Puccinia arachidis*, *Rhizoctonia solani*, *Sphaerotheca fuliginea*, *Fusarium oxysporum*, *Verticillium dahliae*, *Pythium aphanidermatum*, *Phytophthora megasperma*, *Sclerotinia sclerotiorum*, *Sclerotium rolfsii*, *Erysiphe polygoni*, *Pyrenophora teres*, *Gaeumannomyces graminis*, *Rhynchosporium secalis*, *Fusarium roseum*, *Bremia lactucae* and other genera and
 10 species closely related to these pathogens.

Compounds of this invention can also be mixed with one or more other insecticides, fungicides, nematocides, bactericides, acaricides, growth regulators, chemosterilants, semiochemicals, repellents, attractants, pheromones, feeding stimulants or other biologically active compounds to form a multi-component pesticide giving an
 15 even broader spectrum of agricultural protection. Examples of such agricultural protectants with which compounds of this invention can be formulated are: insecticides such as abamectin, acephate, azinphos-methyl, bifenthrin, buprofezin, carbofuran, chlorpyrifos, chlorpyrifos-methyl, cyfluthrin, beta-cyfluthrin, deltamethrin, diafenthiuron, diazinon, diflubenzuron, dimethoate, esfenvalerate, fenpropathrin, fenvalerate, fipronil,
 20 flucythrinate, tau-fluvalinate, fonophos, imidacloprid, isofenphos, malathion, metaldehyde, methamidophos, methidathion, methomyl, methoprene, methoxychlor, monocrotophos, oxamyl, parathion, parathion-methyl, permethrin, phorate, phosalone, phosmet, phosphamidon, pirimicarb, profenofos, rotenone, sulprofos, tebufenozide, tefluthrin, terbufos, tetrachlorvinphos, thiodicarb, tralomethrin, trichlorfon and
 25 triflumuron; fungicides such as azoxystrobin (ICIA5504), benomyl, blasticidin-S, Bordeaux mixture (tribasic copper sulfate), bromuconazole, captafol, captan, carbendazim, chloroneb, chlorothalonil, copper oxychloride, copper salts, cymoxanil, cyproconazole, cyprodinil (CGA 219417), diclomezine, dicloran, difenoconazole, dimethomorph, diniconazole, diniconazole-M, dodine, edifenphos, epoxiconazole (BAS 480F), fenarimol, fenbuconazole, fenciclonil, fenpropidin, fenpropimorph,
 30 fluazinam, fluquinconazole, flusilazole, flutolanil, flutriafol, folpet, fosetyl-aluminum, furalaxyl, hexaconazole, ipconazole, iprobenfos, iprodione, isoprothiolane, kasugamycin, kresoxim-methyl (BAS 490F), mancozeb, maneb, mepronil, metalaxyl, metconazole, S-methyl 7-benzothiazolecarbothioate (CGA 245704), 5-methyl-5-(4-phenoxyphenyl)-3-phenylamino-2,4-oxazolidinedione (DPX-JE874), myclobutanil, neo-asozin (ferric methanearsonate), oxadixyl, penconazole, pencycuron, probenazole, prochloraz,
 35

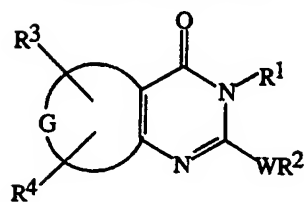
propiconazole, pyrifenoxy, pyroquilon, sulfur, tebuconazole, tetraconazole, thiabendazole, thiophanate-methyl, thiram, triadimefon, triadimenol, tricyclazole, triticonazole, uniconazole, validamycin and vinclozolin; nematocides such as aldoxycarb and fenamiphos; bactericides such as streptomycin; acaricides such as amitraz,
 5 chinomethionat, chlorobenzilate, cyhexatin, dicofol, dienochlor, fenazaquin, fenbutatin oxide, fenpropathrin, fenpyroximate, hexythiazox, propargite, pyridaben and tebufenpyrad; and biological agents such as *Bacillus thuringiensis*, *Bacillus thuringiensis* delta endotoxin, baculovirus, and entomopathogenic bacteria, virus and fungi.

10 In certain instances, combinations with other fungicides having a similar spectrum of control but a different mode of action will be particularly advantageous for resistance management.

Preferred for better control of plant diseases caused by fungal plant pathogens (e.g., lower use rate or broader spectrum of plant pathogens controlled) or resistance management are mixtures of a compound of this invention with a fungicide selected from
 15 the group azoxystrobin (ICIA5504), benomyl, captan, carbendazim, cymoxanil, cyproconazole, cyprodinil (CGA 219417), difenoconazole, epoxiconazole (BAS 480F), fenpropidin, fenpropimorph, fluazinam, flusilazole, hexaconazole, kresoxim-methyl (BAS 490F), mancozeb, maneb, metalaxyl, S-methyl 7-benzothiazolecarbothioate (CGA 245704), 5-methyl-5-(4-phenoxyphenyl)-3-phenylamino-2,4-oxazolidinedione (DPX-JE874), myclobutanil, penconazole, prochloraz, tebuconazole and tetraconazole.
 20 Specifically preferred mixtures (compound numbers refer to compounds in Index Tables A-B) are selected from the group: compound 37 and azoxystrobin (ICIA5504); compound 37 and benomyl; compound 37 and captan; compound 37 and carbendazim; compound 37 and cymoxanil; compound 37 and cyproconazole; compound 37 and cyprodinil (CGA 219417); compound 37 and difenoconazole; compound 37 and epoxiconazole (BAS 480F); compound 37 and fenpropidin; compound 37 and fenpropimorph; compound 37 and fluazinam; compound 37 and flusilazole; compound 37 and hexaconazole; compound 37 and kresoxim-methyl (BAS 490F); compound 37 and mancozeb; compound 37 and maneb; compound 37 and metalaxyl;
 30 compound 37 and S-methyl 7-benzothiazolecarbothioate (CGA 245704); compound 37 and 5-methyl-5-(4-phenoxyphenyl)-3-phenylamino-2,4-oxazolidinedione (DPX-JE874); compound 37 and myclobutanil; compound 37 and penconazole; compound 37 and prochloraz; compound 37 and tebuconazole; compound 37 and tetraconazole; compound 41 and azoxystrobin (ICIA5504); compound 41 and benomyl; compound 41 and captan; compound 41 and carbendazim; compound 41 and cymoxanil; compound 41 and cyproconazole; compound 41 and cyprodinil (CGA 219417); compound 41 and

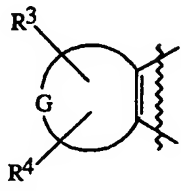
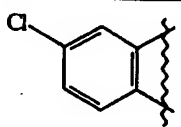
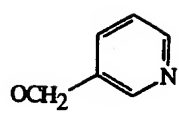
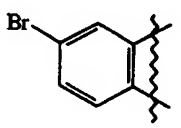
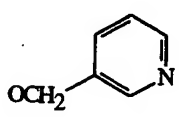
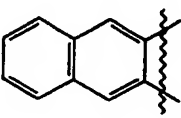
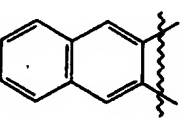
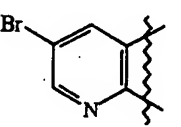
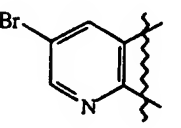
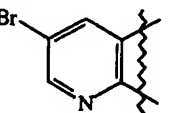
- difenoconazole; compound 41 and epoxiconazole (BAS 480F); compound 41 and fenpropidin; compound 41 and fenpropimorph; compound 41 and fluazinam; compound 41 and flusilazole; compound 41 and hexaconazole; compound 41 and kresoxim-methyl (BAS 490F); compound 41 and mancozeb; compound 41 and maneb;
- 5 compound 41 and metalaxyl; compound 41 and *S*-methyl 7-benzothiazolecarbothioate (CGA 245704); compound 41 and 5-methyl-5-(4-phenoxyphenyl)-3-phenylamino-2,4-oxazolidinedione (DPX-JE874); compound 41 and myclobutanil; compound 41 and penconazole; compound 41 and prochloraz; compound 41 and tebuconazole; and compound 41 and tetraconazole.
- 10 Plant disease control is ordinarily accomplished by applying an effective amount of a compound of this invention either pre- or post-infection, to the portion of the plant to be protected such as the roots, stems, foliage, fruit, seeds, tubers or bulbs, or to the media (soil or sand) in which the plants to be protected are growing. The compounds can also be applied to the seed to protect the seed and seedling.
- 15 Rates of application for these compounds can be influenced by many factors of the environment and should be determined under actual use conditions. Foliage can normally be protected when treated at a rate of from less than 1 g/ha to 5,000 g/ha of active ingredient. Seed and seedlings can normally be protected when seed is treated at a rate of from 0.1 to 10 g per kilogram of seed.
- 20 The following TESTS demonstrate the control efficacy of compounds of this invention on specific pathogens. The pathogen control protection afforded by the compounds is not limited, however, to these species. See Index Tables A-B for compound descriptions. The following abbreviations are used in the Index Tables which follow: *i* = iso, *c* = cyclo, Me = methyl, Et = ethyl, Pr = propyl, *i*-Pr = isopropyl,
- 25 Ph = phenyl, and piper = 1-piperidinyl. The abbreviation "Ex." stands for "Example" and is followed by a number indicating in which example the compound is prepared.

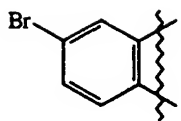
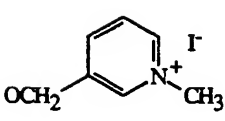
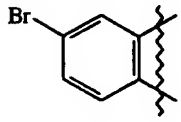
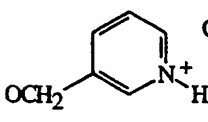
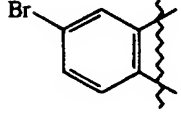
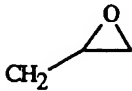
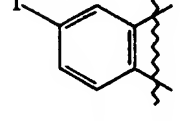
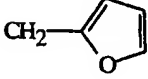
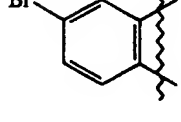
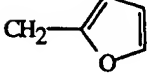
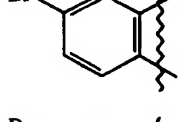
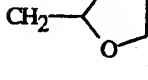
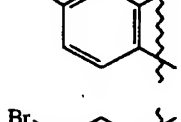
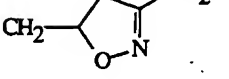
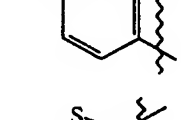
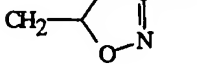
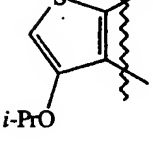
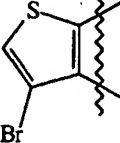
INDEX TABLE A



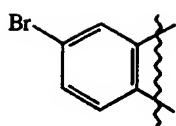
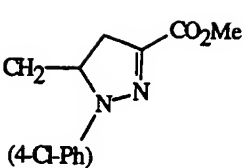
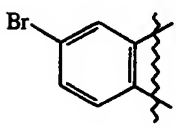
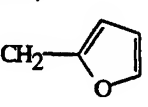
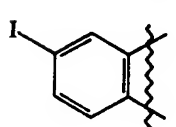
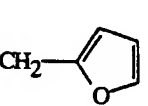
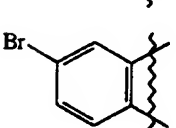
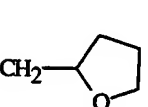
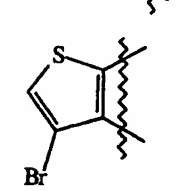
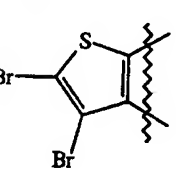
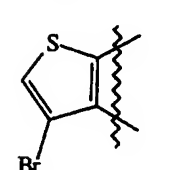
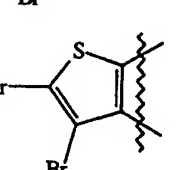
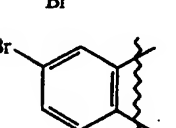
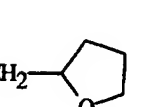
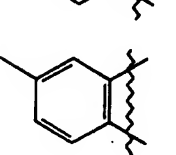
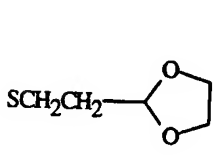
Ii

Compounds of Formula Ii

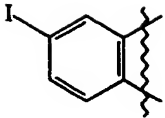
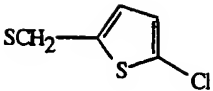
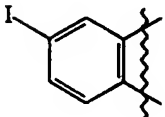
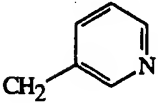
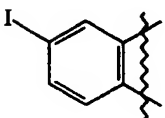
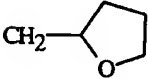
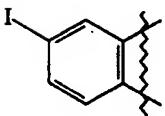
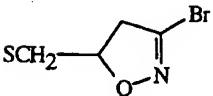
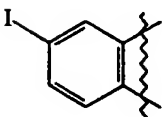
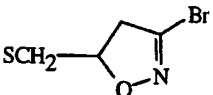
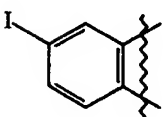
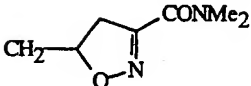
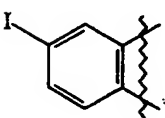
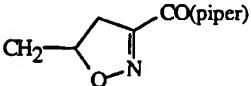
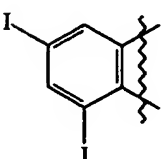
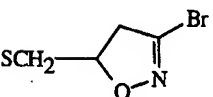
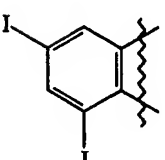
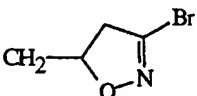
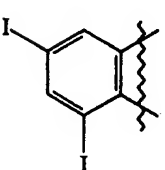
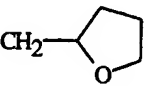
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| 2 Ex. 10 |  | CH ₂ CH ₂ CH ₃ |  | 120-124 |
| 3 Ex. 4 |  | CH ₂ CH ₂ CH ₃ | SCH ₃ | 143-145 |
| 4 Ex. 5 |  | CH ₂ CH ₂ CH ₃ | OCH ₂ CH ₂ CH ₃ | 76-79.5 |
| 5 Ex. 3 |  | CH ₂ CH ₂ CH ₃ | OCH ₂ CH ₂ CH ₃ | 100-103 |
| 6 |  | CH ₂ CH ₂ CH ₃ | SCH ₂ CH ₂ CH ₃ | 114-117 |
| 7 Ex. 2 |  | CH ₂ CH ₂ CH ₃ | SCH ₃ | 124-127 |

| | | | | |
|----------|---|---|--|---------|
| 8 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ |  | 152-155 |
| 9 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ |  | 235-238 |
| 10 Ex. 8 |  |  | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 84-87 |
| 11 |  |  | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 112-114 |
| 12 |  |  | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 118-121 |
| 13 Ex. 7 |  |  | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 83-85 |
| 14 Ex. 8 |  |  | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 139-141 |
| 15 |  |  | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 75-76 |
| 16 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ | SCH_3 | oil* |
| 17 Ex. 1 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ | SCH_3 | 146-147 |

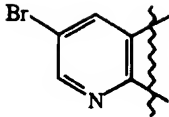
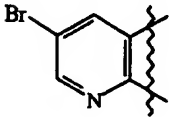
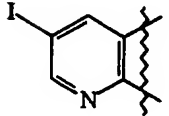
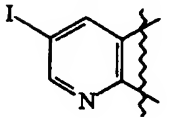
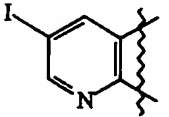
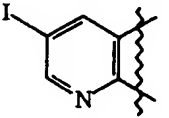
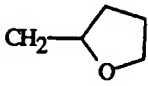
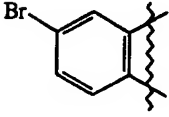
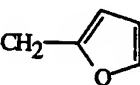
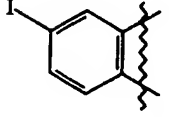
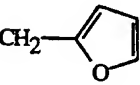
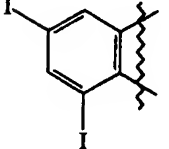
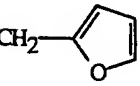
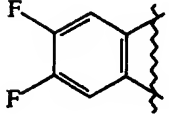
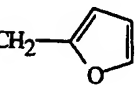
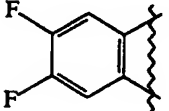
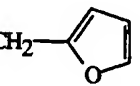
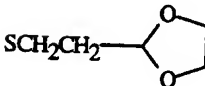
66

| | | | | |
|----------|---|--|--|---------|
| 18 |  |  (4-Cl-Ph) | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 167-169 |
| 19 |  |  | SCH_3 | 136-140 |
| 20 |  |  | SCH_3 | 143-147 |
| 21 Ex. 6 |  |  | SCH_3 | 68-72 |
| 22 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 67-70 |
| 23 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ | $\text{OCH}_2\text{CH}_2\text{CH}_3$ | 94-96 |
| 24 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ | $\text{NHCH}_2\text{CH}_2\text{CH}_3$ | 162-165 |
| 25 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ | $\text{NHCH}_2\text{CH}_2\text{CH}_3$ | 162-164 |
| 26 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 98-100 |
| 27 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ |  | 114-116 |

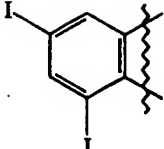
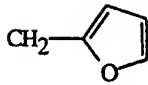
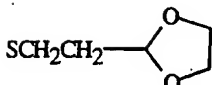
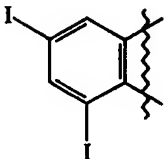
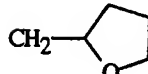
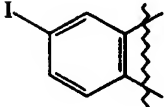
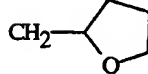
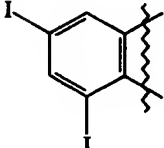
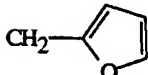
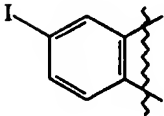
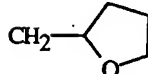
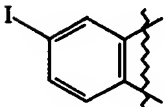
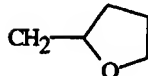
67

| | | | | |
|-----------|---|---|---|---------|
| 28 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ |  | 115-117 |
| 29 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 127-129 |
| 30 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 91-96 |
| 31 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ |  | oil* |
| 32 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ |  | 117-120 |
| 33 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 160-162 |
| 34 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 154-156 |
| 35 |  | $\text{CH}_2\text{CH}_2\text{CH}_3$ |  | 150-152 |
| 36 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 158-160 |
| 37 Ex. 12 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 108-111 |

68

| | | | | |
|-----------|---|---|---|---------|
| 38 |  | $\text{CH}_2(\text{c-propyl})$ | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 108-110 |
| 39 |  | $\text{CH}_2\text{CH}(\text{CH}_3)_2$ | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 105-107 |
| 40 |  | $\text{CH}_2\text{CH}(\text{CH}_3)_2$ | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 109-111 |
| 41 Ex. 11 |  | $\text{CH}_2(\text{c-propyl})$ | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 159-161 |
| 42 |  | $\text{CH}_2\text{CH}_2\text{CH}_2\text{OCH}_3$ | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 103-106 |
| 43 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 149-152 |
| 44 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 95-98 |
| 45 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 107-109 |
| 46 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 160-162 |
| 47 |  |  | $\text{SCH}_2\text{CH}_2\text{CH}_3$ | 85-86 |
| 48 |  |  |  | 70-73 |

69

| | | | | |
|-----------------|---|---|---|---------|
| 49 |  |  |  | 124-127 |
| 50 |  |  | SCH ₃ | 170-172 |
| 51 |  |  | SCH ₃ | 105-107 |
| 52 |  |  | SCH ₃ | 210-212 |
| 53 ^a |  |  | OCH ₂ CH ₂ CH ₃ | 97-98 |
| 54 ^b |  |  | OCH ₂ CH ₂ CH ₃ | 97-98 |

*See Index Table B for ¹H NMR data.^a Compound is the *S*-isomer.^b Compound is the *R*-isomer.

5

INDEX TABLE B

| Cmpd No. | ¹ H NMR Data (CDCl ₃ solution unless indicated otherwise) ^a |
|----------|---|
| 16 | δ 7.43 (s,1H), 5.39 (m,1H), 4.20 (t,2H), 2.34 (s,3H), 1.72 (m,2H), 1.47 (s,3H), 1.45 (s,3H), 0.99 (t,3H). |
| 31 | δ 1.02 (t,3H), 1.70-1.84 (m,2H), 3.20 (dd,1H), 3.38 (dd,1H), 3.53 (dd,1H), 3.70 (dd,1H), 4.07 (t,2H), 5.02-5.18 (m,1H), 7.24 (d,1H), 7.96 (dd,1H), 8.54 (d,1H). |

^a ¹H NMR data are in ppm downfield from tetramethylsilane. Couplings are designated by (s)-singlet, (d)-doublet, (t)-triplet, (m)-multiplet, (dd)-doublet of doublets.

BIOLOGICAL EXAMPLES OF THE INVENTION

Test compounds were first dissolved in acetone in an amount equal to 3% of the final volume and then suspended at a concentration of 200 ppm in purified water containing 250 ppm of the surfactant Trem® 014 (polyhydric alcohol esters). The resulting test suspensions were then used in the following tests. Spraying these 200 ppm test suspensions to the point of run-off on the test plants is the equivalent of a rate of 500 g/ha.

TEST A

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore dust of *Erysiphe graminis* f. sp. *tritici*, (the causal agent of wheat powdery mildew) and incubated in a growth chamber at 20°C for 7 days, after which disease ratings were made.

TEST B

The test suspension was sprayed to the point of run-off on wheat seedlings. The following day the seedlings were inoculated with a spore suspension of *Puccinia recondita* (the causal agent of wheat leaf rust) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 6 days, after which disease ratings were made.

TEST C

The test suspension was sprayed to the point of run-off on tomato seedlings. The following day the seedlings were inoculated with a spore suspension of *Phytophthora infestans* (the causal agent of potato and tomato late blight) and incubated in a saturated atmosphere at 20°C for 24 h, and then moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

TEST D

The test suspension was sprayed to the point of run-off on grape seedlings. The following day the seedlings were inoculated with a spore suspension of *Plasmopara viticola* (the causal agent of grape downy mildew) and incubated in a saturated atmosphere at 20°C for 24 h, moved to a growth chamber at 20°C for 6 days, and then incubated in a saturated atmosphere at 20°C for 24 h, after which disease ratings were made.

TEST E

The test suspension was sprayed to the point of run-off on cucumber seedlings. The following day the seedlings were inoculated with a spore suspension of *Botrytis cinerea* (the causal agent of gray mold on many crops) and incubated in a saturated

atmosphere at 20°C for 48 h, and moved to a growth chamber at 20°C for 5 days, after which disease ratings were made.

TEST F

The test suspension was sprayed to the point of run-off on cucumber seedlings.

- 5 The following day the seedlings were inoculated with a spore suspension of *Erysiphe cichoracearum* (the causal agent of cucumber powdery mildew) and moved to a greenhouse for 7 days. Disease ratings were made 7 days following application of the test compounds.

- 10 Results for Tests A-F are given in Table A. In the table, a rating of 100 indicates 100% disease control and a rating of 0 indicates no disease control (relative to the controls). A dash (-) indicates no test results.

Table A

| <u>Cmpd No.</u> | <u>Test A</u> | <u>Test B</u> | <u>Test C</u> | <u>Test D</u> | <u>Test E</u> | <u>Test F</u> |
|-----------------|---------------|---------------|---------------|---------------|---------------|---------------|
| 1 | 99* | 61 | 0 | 41 | 0 | 100 |
| 2 | 100* | 12 | 0 | 17 | 0 | - |
| 3 | 53* | 0 | 0 | 14 | 37 | - |
| 4 | 76* | 0 | 26 | 39 | 0 | - |
| 5 | 100* | 0 | 26 | 22 | 14 | 100* |
| 6 | 100* | 0 | 26 | 0 | 71 | 100* |
| 7 | 92* | 0 | 26 | 44 | 14 | 52* |
| 8 | 81* | 91 | 24 | 10 | 0 | 100 |
| 9 | 85* | 0 | 0 | 10 | 0 | 100 |
| 10 | 99* | 0 | 0 | 41 | 0 | - |
| 11 | 100* | 2 | 0 | 83 | 0 | 100 |
| 12 | 98* | 2 | 0 | 8 | 0 | 100 |
| 13 | 100* | 56 | 0 | 34 | 0 | 100 |
| 14 | 95* | 56 | 0 | 0 | 0 | 100 |
| 15 | 97* | 2 | 0 | 34 | 0 | 100 |
| 16 | 0* | 0 | 0 | 55 | 0 | - |
| 17 | 28* | 9 | 47 | 99 | 0 | 46* |
| 18 | 90 | 19 | 0 | 36 | 0 | - |
| 19 | 75* | 0 | 0 | 23 | 0 | - |
| 20 | 68* | 0 | 0 | 62 | 0 | - |
| 21 | 99* | 0 | 0 | 92 | 0 | - |

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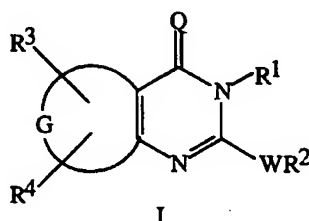
| | | | | | | |
|----|------|----|----|----|----|------|
| 22 | 88* | 66 | 0 | 18 | 0 | - |
| 23 | 100* | 66 | 0 | 0 | 4 | - |
| 24 | 9* | 25 | 47 | 18 | 68 | - |
| 25 | 74* | 0 | 47 | 0 | 9 | 64* |
| 26 | 100* | 41 | 0 | 72 | 0 | 100* |
| 27 | 100* | 41 | 0 | 12 | 0 | 11* |
| 28 | 98* | 0 | 0 | 0 | 0 | 70* |
| 29 | 47* | 41 | 0 | 72 | 0 | 0* |
| 30 | 100* | 74 | 0 | 72 | 0 | 100* |
| 31 | 100* | 0 | 0 | 91 | 8 | 100* |
| 32 | 89* | 0 | 25 | 0 | 47 | 90* |
| 33 | 0* | 0 | 0 | - | 0 | 70* |
| 34 | 40* | 0 | 25 | - | 47 | 9* |
| 35 | 0* | 0 | 22 | - | 0 | - |
| 36 | 78* | 0 | 22 | - | 0 | - |
| 37 | 100* | 0 | 22 | - | 0 | - |
| 38 | 100* | 0 | 0 | - | 0 | - |
| 39 | 99* | 0 | 0 | - | 0 | - |
| 40 | 100* | 26 | 0 | - | 0 | - |
| 41 | 100* | 0 | 0 | - | 0 | - |
| 42 | 100* | 85 | 0 | - | 0 | - |
| 43 | 100* | 0 | 0 | - | 0 | - |
| 44 | 92* | 0 | 11 | - | 0 | - |
| 45 | 77* | 24 | 0 | - | 0 | - |
| 46 | 74* | 0 | 0 | - | 0 | - |
| 47 | 0* | 24 | 0 | - | 0 | - |
| 48 | 45* | 23 | 10 | - | 68 | - |
| 49 | 67* | 23 | 10 | - | 94 | - |
| 50 | 97* | 23 | 10 | - | 44 | - |
| 51 | 100* | 65 | 10 | - | 68 | - |
| 52 | 97* | 23 | 0 | - | 0 | - |
| 53 | 100* | - | - | - | - | - |
| 54 | 100* | - | - | - | - | - |

* Test was run at 10 ppm.

CLAIMS

What is claimed is:

1. A compound selected from Formula I, *N*-oxides and agriculturally suitable salts thereof,



wherein

W is O, S(O)_n or NR⁵;

n is 0, 1 or 2;

Q is O or S;

G is a fused phenyl, naphthalene, thiophene, furan, pyrrole, pyridine, thiazole, oxazole, imidazole, pyrazine, pyridazine or pyrimidine ring;

R¹ is C₁-C₁₀ alkyl; C₃-C₆ cycloalkyl; C₃-C₁₀ alkenyl; C₃-C₁₀ alkynyl; C₁-C₁₀ haloalkyl; C₃-C₁₀ haloalkenyl; C₃-C₁₀ haloalkynyl; C₂-C₁₀ alkoxyalkyl; C₂-C₁₀ alkylthioalkyl; C₂-C₁₀ alkylsulfonylalkyl; C₄-C₁₀ cycloalkylalkyl; C₄-C₁₀ alkenyloxyalkyl; C₄-C₁₀ alkynyloxyalkyl; C₄-C₁₀ alkenylthioalkyl; C₄-C₁₀ alkynylthioalkyl; C₂-C₁₀ haloalkoxyalkyl; C₄-C₁₀ alkoxyalkenyl; C₄-C₁₀ alkylthioalkenyl; C₄-C₁₀ trialkylsilylalkyl; C₁-C₁₀ alkoxy; NR⁶R⁷; R¹¹; phenyl, pyridinyl, furanyl, thienyl, naphthalenyl, benzofuranyl, benzo[*b*]thiophenyl or quinolinyl each optionally substituted with R⁸, R⁹ and R¹⁰; or C₁-C₁₀ alkyl substituted with NR⁶R⁷, nitro, cyano, CO₂R⁶, or phenyl optionally substituted with R⁸, R⁹ and R¹⁰;

R² is C₁-C₁₀ alkyl; C₃-C₇ cycloalkyl; C₃-C₁₀ alkenyl; C₃-C₁₀ alkynyl; C₁-C₁₀ haloalkyl; C₃-C₁₀ haloalkenyl; C₃-C₁₀ haloalkynyl; C₂-C₁₀ alkoxyalkyl; C₂-C₁₀ alkylthioalkyl; C₂-C₁₀ alkylsulfonylalkyl; C₄-C₁₀ cycloalkylalkyl; C₄-C₁₀ alkenyloxyalkyl; C₄-C₁₀ alkynyloxyalkyl; C₄-C₁₀ alkenylthioalkyl; C₄-C₁₀ alkynylthioalkyl; C₂-C₁₀ haloalkoxyalkyl; C₄-C₁₀ alkoxyalkenyl; C₄-C₁₀ alkylthioalkenyl; C₄-C₁₀ trialkylsilylalkyl; R¹¹; phenyl optionally substituted with R⁸, R⁹ and R¹⁰; or C₁-C₁₀ alkyl substituted with NR⁶R⁷, cyano, nitro, CO₂R⁶, or phenyl optionally substituted with R⁸, R⁹ and R¹⁰;

or

- when W is NR⁵, then R² can additionally be selected from -OR⁷; -N=CR⁶R⁶; -NR⁶R⁷; and pyridinyl, furanyl, thienyl and naphthalenyl each optionally substituted with R⁸, R⁹ and R¹⁰; or
- when W is O, then R² can additionally be selected from -N=CR⁶R⁶ and -NR⁶R⁷;
- 5 R³ is hydrogen; halogen; C₁-C₈ alkyl; C₃-C₈ cycloalkyl; C₂-C₈ alkenyl; C₂-C₈ alkynyl; C₁-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₃-C₈ haloalkynyl; C₁-C₈ alkoxy; C₁-C₈ haloalkoxy; C₃-C₈ alkenyloxy; C₃-C₈ alkynyloxy; C₁-C₈ alkylthio; C₁-C₈ alkylsulfonyl; C₂-C₈ alkoxyalkyl; C₃-C₈ trialkylsilyl; nitro; NR⁶R⁷; C₅-C₈ trialkylsilylalkynyl; or phenyl optionally substituted with at least one R¹³;
- 10 R⁴ is hydrogen, halogen, C₁-C₄ alkyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy or C₁-C₄ haloalkoxy;
- R⁵ is hydrogen, C₁-C₄ alkyl or -C(=O)R¹²;
- each R⁶ is independently hydrogen; C₁-C₄ alkyl; or phenyl optionally substituted with at least one R¹³;
- 15 each R⁷ is independently hydrogen; C₁-C₈ alkyl; or phenyl optionally substituted with at least one R¹³; or
- each pair of R⁶ and R⁷, when attached to the same nitrogen atom, can independently be taken together as -CH₂CH₂CH₂CH₂-, -CH₂(CH₂)₃CH₂-, -CH₂CH₂OCH₂CH₂-, -CH₂CH(Me)CH₂CH(Me)CH₂- or -CH₂CH(Me)OCH(Me)CH₂-;
- 20 each R⁸ is independently C₁-C₆ alkyl; C₁-C₆ alkoxy; C₁-C₆ haloalkyl; halogen; C₂-C₈ alkynyl; C₁-C₆ alkylthio; phenyl or phenoxy each optionally substituted with at least one R¹³; cyano; nitro; C₁-C₆ haloalkoxy; C₁-C₆ haloalkylthio; C₂-C₆ alkenyl; C₂-C₆ haloalkenyl; acetyl; CO₂Me; or N(C₁-C₂ alkyl)₂;
- 25 each R⁹ is independently methyl, ethyl, methoxy, methylthio, halogen, CO₂(C₁-C₃ alkyl), C(O)NR⁶R⁷ or trifluoromethyl;
- each R¹⁰ is independently halogen;
- 30 each R¹¹ is independently C₁-C₁₀ alkyl substituted with an 8-, 9- or 10-membered fused carbobicyclic or fused heterobicyclic ring; or R¹¹ is C₁-C₁₀ alkyl substituted with a 3-, 4-, 5- or 6-membered heteromonocyclic ring; wherein said heterobicyclic or heteromonocyclic rings contain 1 to 4 heteroatoms independently selected from the group nitrogen, oxygen and sulfur, provided
- 35 that each heterobicyclic or heteromonocyclic ring contains no more than 4 nitrogens, no more than 2 oxygens and no more than 2 sulfurs, wherein said

heterobicyclic or heteromonocyclic ring is bonded to the alkyl group through a carbon atom of the ring, and wherein said carbobicyclic, heterobicyclic or heteromonocyclic ring is optionally substituted with R^8 , R^9 and R^{10} ;

R^{12} is hydrogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy or NR^6R^7 ; and

5 each R^{13} is independently halogen, C_1 - C_4 alkyl, C_1 - C_4 alkoxy, C_1 - C_4 haloalkyl, nitro or cyano;

provided that

- (i) when G is a fused thiophene ring, then R^3 is other than hydrogen, C_1 - C_8 alkyl, nitro or phenyl optionally substituted with at least one R^{13} ;
- 10 (ii) when G is a fused pyridine ring, then R^3 is other than hydrogen or C_1 - C_8 alkyl;
- (iii) when G is a fused imidazole ring, then R^3 is other than hydrogen or C_1 - C_8 alkyl; and
- (iv) when G is a fused phenyl ring, then at least one of R^1 and R^2 is R^{11} .

2. A compound of Claim 1 wherein:

15 Q is O;

G is a fused naphthalene, thiophene, pyridine, thiazole, oxazole or pyrimidine ring;

R^1 is C_1 - C_8 alkyl; C_3 - C_5 cycloalkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_1 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; C_2 - C_8 alkoxyalkyl; C_2 - C_8 alkylthioalkyl; C_4 - C_8 cycloalkylalkyl; C_4 - C_8 alkenyloxyalkyl; C_1 - C_8 alkoxy; phenyl, pyridinyl, furanyl or thienyl each optionally substituted with R^8 and R^9 ; or C_1 - C_8 alkyl substituted with cyano;

R^2 is C_1 - C_8 alkyl; C_3 - C_8 alkenyl; C_3 - C_8 alkynyl; C_1 - C_8 haloalkyl; C_3 - C_8 haloalkenyl; C_2 - C_8 alkoxyalkyl; C_2 - C_8 alkylthioalkyl; C_4 - C_8 cycloalkylalkyl; C_4 - C_8 alkenyloxyalkyl; phenyl optionally substituted with R^8 ; or C_1 - C_8 alkyl substituted with cyano; or

25 when W is NR^5 , then R^2 can additionally be selected from $-N=CR^6R^6$ and $-NR^6R^7$;

R^3 is hydrogen, halogen, C_1 - C_8 alkyl, C_3 - C_8 cycloalkyl, C_2 - C_8 alkynyl, C_1 - C_8 haloalkyl, C_1 - C_8 alkoxy, C_1 - C_8 haloalkoxy, C_1 - C_8 alkylthio, C_1 - C_8 alkylsulfonyl, C_2 - C_8 alkoxyalkyl or C_5 - C_8 trialkylsilylalkynyl;

30 each R^8 is independently methyl, ethyl, methoxy, ethoxy, C_1 - C_2 haloalkyl, halogen, ethynyl, 2-propynyl, methylthio, ethylthio, cyano, nitro, C_1 - C_2 haloalkoxy, ethenyl, 2-propenyl, acetyl, CO_2Me or $N(C_1-C_2 \text{ alkyl})_2$; and

R^9 is methyl, ethyl, methoxy, methylthio, halogen or trifluoromethyl.

35 3. A compound of Claim 2 wherein:

G is a fused naphthalene, thiophene or pyridine ring;

- R^1 is C₃-C₈ alkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₃-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₃-C₈ alkoxyalkyl; or phenyl optionally substituted with R⁸ and R⁹;
- 5 R^2 is C₃-C₈ alkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₃-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₃-C₈ alkoxyalkyl; or phenyl optionally substituted with R⁸; or when W is NR⁵, then R² can additionally be selected from -N=CR⁶R⁶ and -NR⁶R⁷;
- R^3 is halogen, C₁-C₄ alkyl, ethynyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy or trimethylsilylethynyl; and
- 10 each R⁸ is independently methyl, ethyl, methoxy, trifluoromethyl, halogen, methylthio or N(C₁-C₂ alkyl)₂.
4. A compound of Claim 3 wherein:
- G is a fused thiophene or pyridine ring;
- 15 R^1 is C₃-C₈ alkyl, C₃-C₈ alkenyl, C₃-C₈ alkynyl, C₃-C₈ haloalkyl or C₃-C₈ haloalkenyl;
- R^2 is C₃-C₈ alkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₃-C₈ haloalkyl; C₃-C₈ haloalkenyl; or phenyl optionally substituted with R⁸;
- R^3 is halogen; and
- R^4 is hydrogen or halogen.
- 20 5. A compound of Claim 1 wherein:
- Q is O;
- G is a fused phenyl ring;
- 25 R^1 is C₁-C₈ alkyl; C₃-C₆ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₁-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₂-C₈ alkoxyalkyl; C₂-C₈ alkylthioalkyl; C₄-C₈ cycloalkylalkyl; C₄-C₈ alkenyloxyalkyl; C₁-C₈ alkoxy; R¹¹; phenyl, pyridinyl, furanyl or thienyl each optionally substituted with R⁸ and R⁹; or C₁-C₈ alkyl substituted with cyano;
- 30 R^2 is C₁-C₈ alkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₁-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₂-C₈ alkoxyalkyl; C₂-C₈ alkylthioalkyl; C₄-C₈ cycloalkylalkyl; C₄-C₈ alkenyloxyalkyl; R¹¹; phenyl optionally substituted with R⁸; or C₁-C₈ alkyl substituted with cyano; or
- when W is NR⁵, then R² can additionally be selected from -N=CR⁶R⁶ and -NR⁶R⁷;
- 35 R^3 is hydrogen, halogen, C₁-C₈ alkyl, C₂-C₈ alkynyl, C₁-C₈ haloalkyl, C₁-C₈ alkoxy, C₁-C₈ haloalkoxy, C₁-C₈ alkylthio, C₁-C₈ alkylsulfonyl, or C₅-C₈ trialkylsilylalkynyl;

each R⁸ is independently methyl, ethyl, methoxy, ethoxy, C₁-C₂ haloalkyl, halogen, ethynyl, 2-propynyl, methylthio, ethylthio, cyano, nitro, C₁-C₂ haloalkoxy, ethenyl, 2-propenyl, acetyl or N(C₁-C₂ alkyl)₂; and

5 each R¹¹ is independently C₁-C₆ alkyl substituted with a 8-, 9- or 10-membered fused carbobicyclic or fused heterobicyclic ring; or R¹¹ is C₁-C₆ alkyl substituted with a 5- or 6-membered heteromonocyclic ring; wherein said heterobicyclic or heteromonocyclic rings contain 1 to 4 heteroatoms independently selected from the group nitrogen, oxygen and sulfur, provided that each heterobicyclic or heteromonocyclic ring contains no more than 4
10 nitrogens, no more than 2 oxygens and no more than 2 sulfurs, and wherein said carbobicyclic, heterobicyclic or heteromonocyclic ring is optionally substituted with R⁸ and R⁹.

6. A compound of Claim 5 wherein:

15 R¹ is C₃-C₈ alkyl; C₃-C₅ cycloalkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₃-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₃-C₈ alkoxyalkyl; C₄-C₅ cycloalkylalkyl; R¹¹; or phenyl optionally substituted with R⁸ and R⁹;

R² is C₃-C₈ alkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₃-C₈ haloalkyl; C₃-C₈ haloalkenyl; C₃-C₈ alkoxyalkyl; R¹¹; or phenyl optionally substituted with R⁸; or

20 when W is NR⁵, then R² can additionally be selected from -N=CR⁶R⁶ and -NR⁶R⁷;

R³ is halogen, C₁-C₄ alkyl, ethynyl, C₁-C₄ haloalkyl, C₁-C₄ alkoxy, C₁-C₄ haloalkoxy or trimethylsilylethynyl;

25 each R⁸ is independently methyl, ethyl, methoxy, trifluoromethyl, halogen, methylthio or N(C₁-C₂ alkyl)₂; and

each R¹¹ is independently C₁-C₄ alkyl substituted with a fused heterobicyclic ring selected from 1,3-benzodioxole, benzo[*b*]thiophene and benzofuran; or R¹¹ is C₁-C₄ alkyl substituted with a 5- or 6-membered heteromonocyclic ring selected from thiophene, furan, thiazole, oxazole, isothiazole, isoxazole,
30 pyrrole, pyrazole, imidazole, tetrahydrofuran, 1,3-dioxolane, dihydrooxazole, dihydroimidazole, dihydropyrazole, dihydroisoxazole, pyridine, dihydrooxazine, tetrahydropyran and morpholine; wherein said heterobicyclic or heteromonocyclic ring is optionally substituted with R⁸ and R⁹.

7. A compound of Claim 6 wherein:

35 R¹ is R¹¹;

R² is C₃-C₈ alkyl; C₃-C₈ alkenyl; C₃-C₈ alkynyl; C₃-C₈ haloalkyl; C₃-C₈ haloalkenyl; or phenyl optionally substituted with R⁸;

R³ is halogen;

R⁴ is hydrogen or halogen; and

5 R¹¹ is C₁-C₄ alkyl substituted with a 5- or 6-membered heteromonocyclic ring selected from thiophene, furan, thiazole, oxazole, isothiazole, isoxazole, pyrazole, imidazole, tetrahydrofuran, 1,3-dioxolane, dihydropyrazole, dihydroisoxazole, pyridine and dihydrooxazine; wherein said heteromonocyclic ring is optionally substituted with R⁸ and R⁹.

10 8. The compound of Claim 1 which is selected from the group:
7-bromo-2-propoxy-3-propylthieno[3,2-*d*]pyrimidin-4(3*H*)-one;
6-bromo-2-propoxy-3-propylpyrido[2,3-*d*]pyrimidin-4(3*H*)-one;
6-bromo-3-propyl-2-(propylthio)pyrido[2,3-*d*]pyrimidin-4(3*H*)-one;
6-iodo-2-propyloxy-3-[(tetrahydro-2-furanyl)methyl]-4(3*H*)-quinazolinone;
15 6-bromo-2-propyloxy-3-[(tetrahydro-2-furanyl)methyl]-4(3*H*)-quinazolinone;
6-bromo-3-[(3-bromo-4,5-dihydro-5-isoxazolyl)methyl]-2-propoxy-4(3*H*)-quinazolinone;
6,7-dibromo-2-propoxy-3-propylthieno[3,2-*d*]pyrimidin-4(3*H*)-one;
6,8-diiodo-2-(propylthio)-3-[(tetrahydro-2-furanyl)methyl]-4(3*H*)-
20 quinazolinone; and
3-(cyclopropylmethyl)-6-iodo-2-(propylthio)pyrido[2,3-*d*]pyrimidin-4(3*H*)-one.

9. A fungicidal composition comprising a fungicidally effective amount of a compound of Claim 1 and at least one of a surfactant, a solid diluent or a liquid diluent.

25 10. A method for controlling plant diseases caused by fungal plant pathogens comprising applying to the plant or portion thereof, or to the plant seed or seedling, a fungicidally effective amount of a compound of Claim 1.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 96/10774

A. CLASSIFICATION OF SUBJECT MATTER

IPC 6 C07D401/12 A01N43/54 C07D239/95 C07D471/04 C07D495/04
 C07D405/06 C07D413/06 C07D403/06 C07D405/12 C07D401/06
 //(C07D471/04,239:00,221:00),(C07D495/04,333:00,239:00)

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 6 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

| Category* | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|-----------|--|-----------------------|
| X | <p>J. HETEROCYCL. CHEM. (JHTCAD,0022152X);90; VOL.27 (4); PP.1079-83, UNIV. GRANADA;FAC. CIENC. EXP.; JAEN; 23071; SPAIN (ES), XP002015995 QUIJANO M L ET AL: "Synthesis and anticancer and antimicrobial activities of pyrrolo[2,3-d]pyrimidines" see compound 1b, p 1079 --- -/--</p> | 1 |



Further documents are listed in the continuation of box C.



Patent family members are listed in annex.

* Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
 "E" earlier document but published on or after the international filing date
 "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
 "O" document referring to an oral disclosure, use, exhibition or other means
 "P" document published prior to the international filing date but later than the priority date claimed

- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
 "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
 "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
 "&" document member of the same patent family

Date of the actual completion of the international search

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Date of mailing of the international search report

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 96/10774

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|---|-----------------------|
| X | <p>BULL. CHEM. SOC. JPN. (BCSJA8,00092673);86; VOL.59 (6); PP.1915-19, NAGOYA UNIV.;FAC. AGRIC.; NAGOYA; 464; JAPAN (JP), XP002015996 OKAMOTO K ET AL: "Synthesis of ara-cadeguomycin. 2-Amino-3,4-dihydro-4-oxo-7-(.beta. -D-arabinofuranosyl)-7H-pyrrolo[2,3-d]pyri midine-5-carboxylic acid" see compound 3</p> <p>---</p> | 1 |
| X | <p>CHEM. LETT. (CMLTAG,03667022);80; (5); PP.559-62, NAGOYA UNIV.;DEP. AGRIC. CHEM.; NAGOYA; 464; JAPAN, XP002015997 KONDO T ET AL: "Synthesis of 7-cyano-7-deazaguanine, one of the nucleoside Q (queuosine) precursors for the post-transcriptional modification of tRNA" see compound 3</p> <p>---</p> | 1 |
| X | <p>INDIAN J. CHEM., SECT. B (IJSBDB,03764699);83; VOL.22B (3); PP.243-8, EAST INDIA PHARM. WORKS LTD.;RES. DEV. DIV.; CALCUTTA; 700 061; INDIA (IN), XP002015998 TALUKDAR P B ET AL: "Studies on fused-ring mesoionic thiazolo[3,2-a]thiazolo[5,4-d]pyrimidine system" see compounds IVe and IVf</p> <p>---</p> | 1 |
| X | <p>J. CHEM. SOC., PERKIN TRANS. 1 (JCPRB4);73; (22); PP.2647-55, HEB. UNIV.;DEP. PHARMACOL.; JERUSALEM; ISRAEL, XP002015999 REICHMAN U ET AL: "Tautomerism, ionization, and methylation of 2-(methylthio)- and 2,8-bis(methylthio)hypoxanthines" see compound 17</p> <p>---</p> | 1 |
| X | <p>J. MED. CHEM. (JMCMAR,00222623);84; VOL.27 (8); PP.981-5, UNIV. PADERBORN;DEP. CHEM.; PADERBORN; D-4790; FED. REP. GER. (DE), XP002016000 SEELA F ET AL: "Isomeric N-methyl-7-deazaguanines: synthesis, structural assignment, and inhibitory activity on xanthine oxidase" see compounds 4b and 4c</p> <p>---</p> | 1 |

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INTERNATIONAL SEARCH REPORT

International Application No

PCT/US 96/10774

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
|------------|--|-----------------------|
| X | CHEMICAL ABSTRACTS, vol. 075, no. 5, 2 August 1971 Columbus, Ohio, US; abstract no. 034976, UL'YANOVA T N ET AL: "Electron structure, PMR spectra, and alkylating capacity of some 2- and 6-alkoxypurines" XP002016004 see abstract & KHIM. GETEROTSIKL. SOEDIN. (KGSSAQ);71; VOL.7 (2); PP.265-71, VSES. NAUCHNO-ISSLED. KHIM.-FARM. INST. IM. ORDZHONIKIDZE;MOSCOW, USSR, --- | 1 |
| X | CHEMICAL ABSTRACTS, vol. 64, no. 7, 1966 Columbus, Ohio, US; abstract no. 9725a, A.NIKOLAEVA: "Synthesis of purines" page 9725; XP002016005 see abstract & PROBL. ORGAN. SINTEZA. AKAD. NAUK. SSSR, 1965, pages 192-197, A.NIKOLAEVA ET AL: --- | 1 |
| X | EP,A,0 276 825 (NISSHIN FLOUR MILLING CO. LTD.) 3 August 1988 see general formula and specific examples --- | 1,5,6 |
| X | EGYPT. J. PHARM. SCI. (EJPSBZ,03015068);94; VOL.35 (1-6); PP.245-56, AL-FATEH UNIVERSITY MEDICAL SCIENCES;FACULTY PHARMACY; TRIPOLI; LIBYA (LY), XP002016001 EL-FEKY S A ET AL: "Synthesis and central nervous system activity of some novel 4(3H)-quinazolinones including thiazolo[3,2-b]-s-triazoles" see compounds 3b-3h, page 246 --- | 1,5,6 |
| X | INDIAN J. FOR. (IJFODJ,0250524X);84; VOL.7 (2); PP.151-3, UNIV. LUCKNOW;DEP. CHEM.; LUCKNOW; 226007; INDIA (IN), XP002016002 SHUKLA S K ET AL: "Antimicrobial activity of 2,3-disubstituted 4(3H)-quinazolone derivatives" see compound 14, Table 1, p 153 --- | 1,5,6,9 |
| 1 Y | WO,A,94 26722 (E.I.DU PONT DE NEMOURS AND COMPANY) 24 November 1994 see whole document, especially the definitions of R1 and R2 --- | 1-10 |

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INTERNATIONAL SEARCH REPORT

Int. onal Application No

PCT/US 96/10774

| C(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT | | |
|---|---|-----------------------|
| Category * | Citation of document, with indication, where appropriate, of the relevant passages | Relevant to claim No. |
| Y | US,A,3 755 582 (G BULLOCK) 28 August 1973 cited in the application see the whole document --- | 1-10 |
| Y | US,A,3 867 384 (G BULLOCK) 18 February 1975 cited in the application see the whole document --- | 1-10 |
| A | DE,A,24 11 273 (SCHERING AG) 18 September 1975 cited in the application see the whole document --- | 1-10 |
| Y | DE,A,24 11 274 (SCHERING AG) 18 September 1975 cited in the application see the whole document --- | 1-10 |
| A | DE,A,22 10 503 (CENTRE D'ETUDES POUR L'INDUSTRIE PHARMACEUTIQUE) 21 September 1972 cited in the application see the whole document --- | 1-10 |
| Y | ACTA CIENC. INDICA, [SER.] CHEM. (ACICDV,02537338);83; VOL.9 (1-4); PP.109-12, RAVENSHAW COLL.;MAYURBHANJ CHEM. LAB.; CUTTACK; 753003; INDIA (IN), XP002016003 MITTRA P ET AL: "Synthesis of quinazolone and benzimidazole and their derivatives as potential fungicides" see the whole document --- | 1-10 |
| Y | J. INDIAN CHEM. SOC. (JICSAH,00194522);79; VOL.56 (4); PP.398-400, RAVENSHAW COLL.;MAYURBHANJ CHEM. LAB.; CUTTACK; 753003; INDIA, XP000602383 DAS N B ET AL: "Synthesis of quinazolone and its derivatives as potential fungicides" see the whole document ----- | 1-10 |